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10/574,612
FILE 'HOME' ENTERED AT 14:21:16 ON 06 OCT 2009
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chain nodes :
6 7
ring nodes :
1 2 3 4 5
chain bonds :
2-6 5-7
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 4-5 5-7
isolated ring systems :
containing 1 :
G1:0,S
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom
Generic attributes :
6:
Saturation
                 : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System
                 : Monocyclic
7:
Saturation
                 : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
=> s 11 sam
T.2
          18 SEA SSS SAM L1
=> s 11 full
L3 6150 SEA SSS FUL L1
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Page 1 of 155

=> file caplus => s 13

L4

97 L3

=> s 14 and pd< oct 2002 22869643 PD< OCT 2002 (PD<20021000)

53 L4 AND PD< OCT 2002

=> dis 15 1-53 bib abs hitstr

ANSWER 1 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN L5

AN 2008:1383618 CAPLUS Full-text

DN 149:575973

TΙ The [3 + 2] nitrone-olefin cycloaddition reaction

AU Confalone, Pat N.; Huie, Edward M.

E. I. du Pont de Nemours and Co., Wilmington, DE, USA

SO Organic Reactions (Hoboken, NJ, United States) (1988), 36, No pp. given

CODEN: ORHNBA

URL: http://www3.interscience.wiley.com/cgi-bin/mrwhome/107610747/HOME PB John Wiley & Sons, Inc.

DT

Journal; General Review; (online computer file)

LA English

O.S. CASREACT 149:575973

AB A review of the article The [3 + 2] nitrone-olefin cycloaddn. reaction.

ΙT 21746-10-1P 32465-88-6P 68752-88-5P

68752-92-1P 1071032-23-9P 1071120-27-8P 1071120-37-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (The [3 + 2] nitrone-olefin cycloaddn. reaction)

21746-10-1 CAPLUS RN

CN Pyridine, 4-[3-(5-nitro-2-furany1)-2-pheny1-5-isoxazolidiny1]- (CA INDEX NAME)

- RN 32465-88-6 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 6-[2-phenyl-5-(4-pyridinyl)-3-isoxazolidinyl]-(CA INDEX NAME)

10/574,612

- RN 68752-88-5 CAPLUS
- CN 4-Isoxazolidinecarboxylic acid, 4-cyano-2-phenyl-3,5-di-2-pyridinyl-, ethyl ester (CA INDEX NAME)

- RN 68752-92-1 CAPLUS
- CN 4,4-Isoxazolidinedicarboxylic acid, 2-phenyl-3,5-di-2-pyridinyl-, 4,4-diethyl ester (CA INDEX NAME)

- RN 1071032-23-9 CAPLUS
- CN 4-Isoxazolidinecarboxylic acid, 4-cyano-2-phenyl-3,5-di-2-pyridinyl-, methyl ester (CA INDEX NAME)

- RN 1071120-27-8 CAPLUS
- CN Pyridine, 4-[(3R,5R)-2-methyl-3-(5-nitro-2-furanyl)-5-isoxazolidinyl]-,
 rel- (CA INDEX NAME)

Relative stereochemistry.

RN 1071120-37-0 CAPLUS

CN Pyridine, 4-[(3R,5S)-2-methyl-3-(5-nitro-2-furanyl)-5-isoxazolidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 2 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2003:159868 CAPLUS Full-text

DN 139:364853

TI Access to 5,5'-diaryl substituted 4,5,4',5'-tetrahydro[3,3']biisoxazolyl 2,2'-dioxides, 4,5,4',5'-tetrahydro[3,3']biisoxazolyls, and [3,3']biisoxazolyls via an initial ring-opening of 3,4-dinitrothiophene

AU Bianchi, Lara; Dell'Erba, Carlo; Gasparrini, Francesco; Novi, Marino; Petrillo, Giovanni; Sancassan, Fernando; Tavani, Cinzia

CS Dipartimento di Chimica e Chimica Industriale, Universita di Genova, Genoa, I-16146, Italy

SO ARKIVOC (Gainesville, FL, United States) [online computer file] (2001), (11), 142-158 CODEN: AGFUAR

URL: http://www.arkat-usa.org/ark/journal/2002/Spinelli/MS-580H/580H.pdf

PB Arkat USA Inc.

DT Journal; (online computer file)

LA English

OS CASREACT 139:364853

GI

- AB By means of an iodide-catalyzed nitrocyclopropane to 4,5-dihydroisoxazoline 2-oxide isomerization, the 1,1'-dinitro-[1,1']bi(cyclopropyl)s I (Ar = 4-McC6H4, 1-naphthyl, 2-thienyl), derived from an initial ring-opening of 3,4-dinitrothiophene, can be stereospecifically converted into the bisnitronates II (same Ar). From these, successive N-oxide reduction [P(DMe)3/dioxane] and aromatization (DDQ/toluene) provide convenient access to the interesting 4,5,4'5'-tetrahydro[3,3']biisoxazolyls III and [3,3']biisoxazolyls IV, resp. 420594-83-4P 620594-89-0P
- 620594-90-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 - (Reactant or reagent) (preparation of 4,5,4',5'-tetrahydro[3,3']biisoxazolyl 2,2'-dioxides, 4,5,4',5'-tetrahydro[3,3']biisoxazolyls, and [3,3']biisoxazolyls via iodide-catalyzed isomerization of nitrocyclopropanes and subsequent reduction and aromatization)
- RN 620594-83-4 CAPLUS
- CN 3,3'-Blisoxazole, 4,4',5,5'-tetrahydro-5,5'-di-2-thienyl-, 2,2'-dioxide, (5R,5'R)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 620594-84-5 CAPLUS

Relative stereochemistry.

RN 620594-89-0 CAPLUS

CN 3,3'-Biisoxazole, 4,4',5,5'-tetrahydro-5,5'-di-2-thienyl-, (5R,5'R)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 620594-90-3 CAPLUS

CN 3,3'-Biisoxazole, 4,4',5,5'-tetrahydro-5,5'-di-2-thienyl-, (5R,5'S)-rel-(CA INDEX NAME)

Relative stereochemistry.

IT 620594-91-4P 620594-94-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of 4,5,4',5'-tetrahydro[3,3']biisoxazolyl 2,2'-dioxides, 4,5,4',5'-tetrahydro[3,3']biisoxazolyls, and [3,3']biisoxazolyls via iodide-catalyzed isomerization of nitrocyclopropanes and subsequent reduction and aromatization

RN 620594-91-4 CAPLUS

CN 3,3'-Biisoxazole, 5,5'-di-2-thienyl- (CA INDEX NAME)

- RN 620594-94-7 CAPLUS
- CN 3,3'-Biisoxazole, 4,5-dihydro-5,5'-di-2-thienyl- (CA INDEX NAME)



- OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
 RE.CNT 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L5 ANSWER 3 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2003:86384 CAPLUS Full-text
- DN 139:69179
- TI Synthesis and antitubercular activity studies of some unsymmetrical 1,4-dihydropyridines
- AU Gaveriya, H.; Desai, B.; Vora, V.; Shah, A.
- CS Department of Chemistry, Saurashtra University, Rajkot, 360 005, India
- SO Indian Journal of Pharmaceutical Sciences (2002), 64(1), 59-62 CODEN: IJSIDW: ISSN: 0250-474X
- PB Indian Pharmaceutical Association
- DT Journal
- LA English
- OS CASREACT 139:69179
- AB Unsym. 1,4-dihydropyridines having isoxazole and pyridine system were synthesized from 2,6-dimethyl-4-[3''-nitrophenyl]-5-carbomethoxy-3-[3''- aryl propene-l''-one]-1,4-dihydropyridines. All compds. were tested for antitubercular activity against M. tuberculosis (H37RV) strain by using Bactec 460 method. The isoxazole derive. Showed modeat activity.
- IT 551928-91-7P
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 - (synthesis and antitubercular activity studies of some unsym. 1,4-dihydropyridines containing isoxazole or pyridine units)
- RN 551928-91-7 CAPLUS
- CN 3-Pyridinecarboxylic acid, 5-[5-(2-furany1)-3-isoxazoly1]-1,4-dihydro-2,6-dimethy1-4-(3-nitropheny1)-, methyl ester (CA INDEX NAME)

OSC. G THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS) RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN 2002:585823 CAPLUS Full-text

AN DN 137:247634

Versatile "traceless" sulfone linker for SPOS: preparation of TI

isoxazolinopyrrole 2-carboxylates AII Hwang, Sung Hee; Kurth, Mark J.

CS Department of Chemistry, University of California, Davis, CA, 95616-5295,

SO Journal of Organic Chemistry (2002), 67(18), 6564-6567 CODEN: JOCEAH: ISSN: 0022-3263

PB American Chemical Society

DT Journal

T.A English

OS CASREACT 137:247634

AB A five-step solid-phase synthesis of isoxazolinopyrrole-2-carboxylates that employs a traceless sulfone linker strategy is reported. Resin-bound diene, obtained by acetylation and concomitant β -elimination of acetate from resinbound allylic alc., underwent regioselective 1,3-dipolar cycloaddns. with nitrile oxides. Formation of the pyrrole products in a resin-releasing strategy was performed by pyrrole annulation with alkyl isocyanoacetates, which react with the vinyl sulfone moiety to generate the target isoxazolinopyrrole-2-carboxylates. Use of this chemical afforded eight isoxazolinopyrrole-2-carboxylates in 6-24% overall yields from polystyrene/divinylbenzene sulfinate.

IT 410523-66-9P

> RL: SPN (Synthetic preparation); PREP (Preparation) (traceless sulfone linker for solid-phase synthesis of isoxazolinopyrrolecarboxylates)

410523-66-9 CAPLUS RN

CN 1H-Pyrrole-2-carboxylic acid, 3-[4,5-dihydro-3-(2-pyridinyl)-5-isoxazolyl]-, ethyl ester (CA INDEX NAME)



OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

ALL CITATIONS AVAILABLE IN THE RE FORMAL

L5 ANSWER 5 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2001:905594 CAPLUS Full-text

DN 136:309874

TI 1,3-Dipolar cycloaddition of nitrile oxides to 1-phenylsulfonyl-1,3-butadienes: synthesis of 3-(4,5-dihydroisoxazol-5-yl)pyrroles

AU Hwang, Sung Hee; Kurth, Mark J.

CS Department of Chemistry, University of California, Davis, CA, 95616-5295, USA

SO Tetrahedron Letters (2001), Volume Date 2002, 43(1), 53-56 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 136:309874

AB Novel heterocyclic compds. containing the 3-(4,5-dihydroisoxazol-5-yl)pyrrole ring system were synthesized in good yields (66-78%) by regioselective 1,3dipolar cycloaddn. of nitrile oxides to 1-phenylsulfonyl-1,3-dienes followed by Barton-Zard ovrrole annulation.

IT 410523-66-9P 410523-68-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (1,3-dipolar cycloaddn. of nitrile oxides to (phenylsulfonyl)butadienes)

RN 410523-66-9 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 3-[4,5-dihydro-3-(2-pyridinyl)-5-isoxazolyl]-, ethyl ester (CA INDEX NAME)

RN 410523-68-1 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 3-[4,5-dihydro-5-methyl-3-(2-pyridinyl)-5isoxazoiyl]-, ethyl ester (CA INDEX NAME)



OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

ALL CITATIONS AVAILABLE IN THE RE FORMA.

L5 ANSWER 6 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2001:870498 CAPLUS Full-text

DN 136:134705

TI Use of iodoacetylene as a dipolarophile in the synthesis of

5-iodoisoxazole derivatives

AU Ku, Yi-Yin; Grieme, Tim; Sharma, Padam; Pu, Yu-Ming; Raje, Prasad; Morton, Howard; King, Steve

CS Chemical Process Research Global Pharmaceutical Research and Development,
Abbott Laboratories, North Chicago, IL, 60064-4000, USA

SO Organic Letters (2001), 3(26), 4185-4187

CODEN: ORLEF7; ISSN: 1523-7060 PB American Chemical Society

DT Journal

LA English

OS CASREACT 136:134705

GI C

- AB Iodoacetylene was prepared in situ from the reactions of ethynylmagnesium bromide or tributyl(ethynyl)tin with iodine. It was used as a dipolarophile in the [2 + 3] cyclization reaction with 1,3-dipolar nitrile oxide derivs. to produce 2-(5-iodoisoxazol-3-yl)pyridine and 3-(4-fluorophenyl)-5-iodoisoxazole in good yield (70-90%). Subsequently, several 5-substituted isoxazole derivs. I (R = C.tplbond.CSiMe3, Ph, 2-thienyl, CH:CH2) were obtained by Pd-catalyzed coupling reactions. The crystal structure of 2-(5-iodoisoxazol-3-yl)pyridine was determined
- IT 85903-28-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(generation and cyclization of iodoacetylene with nitrile oxide derivs. and coupling of (iodoisoxazolyl)pyridine)

RN 85903-28-2 CAPLUS

CN Pyridine, 2-[5-(2-thienyl)-3-isoxazolyl]- (CA INDEX NAME)



OSC.G 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
RE.CNT 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2001:334349 CAPLUS Full-text

DN 134:346538

I Isoxazole derivatives and their use in liquid crystalline mixtures

IN Schmidt, Wolfgang; Hornung, Barbara; Wingen, Rainer

PA Clariant G.m.b.H., Germany

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19953801	A1	20010510	DE 1999-19953801	19991109 <
	US 6616989	B1	20030909	US 2000-708853	20001107
PRA	I DE 1999-19953801	A	19991109		
PRA				US 2000-708853	20001107

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 134:346538

GI

- AB The invention relates to isoxazole derive. represented by I or II (X = S, O; R1, R2 = H, F, CN, C1-20-alkyl, C2-20-alkenyl; Al, A2 = phenylene-1, 4-diyl, phenylene-1, 3-diyl, cyclohexane-1, 4-diyl, 1-cyclohexene-1, 4-diyl; pyridin-2, 5-diyl, thiophene-2, 5-diyl, furan-2, 5-diyl, naphthalene-2, 6-diyl; MI = -OCCO-, -OCH2D-2, -OCCOH2D-1, -OCCH2CH2-, -C-tplbond.C-, -(CH2) 4-, single bond; a = 0, 1), their prepns., and their use in liquid crystalline mixts. The liquid crystalline mixts are suitable for chiral smectic switching- and/or display devices of inverse mode.
- IT 337980-70-8P 337980-74-2P 337981-04-1P

337981-05-2P 337981-06-3P 337981-07-4P 337981-08-5P 337981-16-5P

RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(isoxazole derivs. and their use in liquid crystalline mixts. suitable for chiral smectic switching- and/or display devices of inverse mode)

RN 337980-70-8 CAPLUS

CN Pyridine, 5-[3-(5-heptyl-2-thienyl)-5-isoxazolyl]-2-(hexyloxy)- (CA INDEX NAME)

RN 337980-74-2 CAPLUS

CN Isoxazole, 3-(5-butyl-2-thienyl)-5-(5-heptyl-2-thienyl)- (CA INDEX NAME)

RN 337981-04-1 CAPLUS

CN Isoxazole, 3-(5-butyl-2-thienyl)-5-(5-nonyl-2-thienyl)- (CA INDEX NAME)

RN 337981-05-2 CAPLUS

CN Isoxazole, 3-(5-hepty1-2-thieny1)-5-(5-propy1-2-thieny1)- (CA INDEX NAME)

RN 337981-06-3 CAPLUS

CN Isoxazole, 3-(5-heptyl-2-thienyl)-5-(5-pentyl-2-thienyl)- (CA INDEX NAME)

RN 337981-07-4 CAPLUS

CN Isoxazole, 3-(5-heptyl-2-thienyl)-5-(5-nonyl-2-thienyl)- (CA INDEX NAME)

RN 337981-08-5 CAPLUS

CN Isoxazole, 3-(5-decyl-2-thienyl)-5-(5-pentyl-2-thienyl)- (CA INDEX NAME)

RN 337981-16-5 CAPLUS

CN Isoxazole, 5-(5-ethyl-2-furanyl)-3-(5-heptyl-2-thienyl)- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L5 ANSWER 8 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2000:291033 CAPLUS Full-text

DN 132:308343

TI Preparation of 3-aryl-5-heterocyclyl-1,2,4-triazoles as insecticides and

acaricides.

Tisdell, Francis E.; Johnson, Peter L.; Pechacek, James T.; Suhr, Robert G.; Devries, Donald H.; Denny, Carl P.; Ash, Mary L.

PA Dow Agrosciences Llc, USA

PCT Int. Appl., 78 pp. SO

CODEN: PIXXD2 Patent DT

English LA FAN CNT 1

PAN.CNI I																		
	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
PI	WO 20	000247	39		A1	_	2000	0504		WO 1	999-	US24	858		1	9991	 022 <	
	W	AE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,	
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	
		IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	
		MG.	MK.	MN.	MW,	MX.	NO.	NZ.	PL.	PT.	RO.	RU.	SD.	SE.	SG.	SI.	SK.	
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	JP 20											5783					022 <	
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	ES 22				Т3		2006			ES 1	999-	9551	45		1	9991	022	
PRAI	US 19	98-105	354P		P		1998	1023										
	WO 19	99-US2	4858		W		1999	1022										
os	MARPA'	r 132:	3083	43														

AB Title compds. [I; Ar = substituted Ph; R1 = alkvl, haloalkvl, alkenvl, alkynyl, alkoxyalkyl; HET = (substituted) isothiazolyl, isoxazolyl, oxazolyl, thiazolyl, pyrazolyl, pyrrolyl, thiadiazolyl], were prepared Thus, 3-chloro-5phenylisothiazole-2-carboxylic acid was refluxed with SOC12 and the resulting crude acid chloride was refluxed with amidrazone II (preparation given) and cat. p-TsOH in PhMe to give 50% 3-(2,6-difluorophenyl)-5-(3-phenyl-4chloroisothiazol-5-yl)-1-methyl-1,2,4- triazole. The latter at 100 ppm gave 91-100% control of Tetranychus urticae.

265325-76-6 265325-77-7

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(preparation of 3-aryl-5-heterocyclyl-1,2,4-triazoles as insecticides and acaricides)

- RN 265325-76-6 CAPLUS
- CN Pyridine, 2-[4-bromo-5-[3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazol-5-yl]-3-isothiazolyl]- (CA INDEX NAME)

- RN 265325-77-7 CAPLUS
- CN Pyridine, 2-[4-chloro-5-[3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazol-5-yl]-3-isothiazolvl]- (CA INDEX NAME)

- IT 265325-75-5P 265325-78-8P
- RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of 3-aryl-5-heterocyclyl-1,2,4-triazoles as insecticides and acaricides)
- RN 265325-75-5 CAPLUS
- CN Pyridine, 2-[4-bromo-5-[3-(2,6-difluorophenyl)-1-methyl-1H-1,2,4-triazol-5yl]-3-isothiazolyl]- (CA INDEX NAME)

- RN 265325-78-8 CAPLUS
- CN Pyridine, 2-[5-[3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazol-5yl]-3-isothiazolyl]- (CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2000:260283 CAPLUS Full-text

DN 132:293757

- TI Preparation of novel 4,5-dihydroisoxazole derivatives and their use as pharmaceuticals for T cell-mediated diseases
- IN Freyne, Eddy Jean Edgard; Andres-Gil, Jose Ignacio; Deroose, Frederik Dirk; Petit, Davy Petrus Franciscus Maria; Matesanz-Ballesteros, Maria Encarnacion; Alvarez Escobar, Rosa Maria
- PA Janssen Pharmaceutica N.V., Belg.
- SO PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DT Patent

LA English FAN.CNT 1

	PATENT NO.						KIND DATE				APPLICATION NO.					DATE				
PI	WO 2000021959				A1 20000420				WO 1999-EP7803						19991007 <					
		W:	AE.	AL.	AM.	AT.	AU.	AZ,	BA.	BB.	BG.	BR.	BY.	CA.	CH.	CN.	CR.	CU,		
								ES.												
			IN.	IS.	JP.	KE.	KG.	KP.	KR.	KZ.	LC.	LK.	LR.	LS.	LT.	LU.	LV.	MD.		
			MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,		
			SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW				
		RW:	GH,	GM,	KE,	LS,	MW,	SD.	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,		
			DK,	ES,	FI.	FR.	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,		
			CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG						
	CA	2346	396			A1		2000	0420		CA 1	999-	2346	396		1	9991	007	<	
	CA	2346	396			С		2009	0428											
	EP 1119568				A1		2001	0801		EP 1	999-	9538	47		1	9991	007	<		
	EP	1119	568			B1		2004	0218											
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
					LT,															
	JΡ	2002	5274	38		T		2002	0827		JP 2	000-	5758	65		1	9991	007	<	
	AU	7634 2598	60			B2		2003	0724		AU 2	000-	1039	3		1	9991	007		
	AT	2598	03			T		2004	0315		AT 1	999-	9538	47		1	9991	007		
	ES	2216	579			Т3		2004	1016		ES 1	999-	9538	47		1	9991	007		
	US	6583	141			B1		2003	0624		US 2	001-	8071	49		2	0010	406		
	HK	1038	565			A1		2004	0618			002-								
	US	2004	0019	059		A1		2004	0129		US 2	003-	4035	43		2	0030	331		
		7414						2008	0819											
PRAI		1998						1998												
	WO	1999	-EP7	803		W		1999	1007											
	US	2001	-807	149		A3		2001	0406											

US 2001-807149 A3 20010406 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 132:293757

GI

$$\begin{array}{c} \begin{array}{c} N-O \\ \\ R2 \end{array} \text{ (Alk) m-B-(Alk) n-D-Q-(Alk) p-L} \end{array}$$

AΒ The invention concerns title compds. I and their N-oxides, pharmaceutically acceptable addition salts, quaternary ammonium salts, and stereochem. isomeric forms [wherein m, n, p = 0 or 1; R1 = (un)substituted pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl or phenyl; B = amide, ketone, or oxadiazole; D = (un) substituted arvl or heterocyclyl; Q = bond, CO, (un) substituted NH, CONH, CH2, CH(:CH2), C(:NH), SO, SO, 3-oxobutenyl, pyrazole, isoxazole, or thiazole nucleus; L = (un)substituted arvl or heteroarvl; R2, R3 = H, halo, C1-6 alkyloxy, or (un)substituted C1-6 alkyl]. Also disclosed is a process for their preparation, compns. comprising them, and their medical use. The compds. show growth inhibitory activity against T cell blasts and keratinocytes in vitro. The compds, are claimed for use in the treatment of prevention of rheumatic, arthritic, and inflammatory diseases, psoriasis, T cell leukemia, transplant rejection, and graft-vs.-host disease. For instance, base-catalyzed cycloaddn. of N-hydroxy-3-pyridinecarboximidoyl chloride with Me 2-propenoate gave 98% Me 4,5-dihydro-3-(3-pyridinyl)-5isoxazolecarboxylate, which was amidated with (4-aminophenyl)phenylmethanone to give 58% title compound II. At a concentration of 10-6 M, II gave 81% inhibition of T cell blast formation in human whole blood. 264605-63-2P 264605-64-3P 264605-65-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of dihydroisoxazole derivs. as antiproliferatives and immunomodulators)

RN 264605-63-2 CAPLUS

CN Methanone, [4-[3-[4,5-dihydro-3-(3-pyridinyl)-5-isoxazolyl]-1,2,4oxadiazol-5-yl]phenyl]phenyl- (CA INDEX NAME)

RN 264605-64-3 CAPLUS

CN Methanone, [4-[5-[4,5-dihydro-3-(3-pyridinyl)-5-isoxazolyl]-1,2,4-oxadiazol-3-vl]phenvl]phenvl- (CA INDEX NAME)

$$\operatorname{Ph-C} \operatorname{\operatorname{In}} \operatorname{\operatorname{In}} \operatorname{\operatorname{In}} \operatorname{\operatorname{In}}$$

- RN 264605-65-4 CAPLUS
- CN Methanone, [4-[5-[4,5-dihydro-3-(3-pyridinyl)-5-isoxazolyl]-1,3,4oxadiazol-2-yl]phenyl]phenyl- (CA INDEX NAME)

- OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
- RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L5 ANSWER 10 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1999:327180 CAPLUS Full-text
- DN 130:352269
- TI Preparation of imidazoline-5-ones as agrochemical fungicides
- IN Pilkington, Brian Leslie; Russell, Sally Elizabeth; Whittle, Alan John; Mound, William Roderick; Turnbull, Michael Drysdale; Kozakiewicz, Anthony Marian; Hughes, David John; Whittingham, William Guy
- PA Zeneca Limited, UK
 - O Brit. UK Pat. Appl., 76 pp.
- CODEN: BAXXDU
- DT Patent LA English
- Dr. Diigiioi
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2327676	A	19990203	GB 1998-16117	19980723 <
PRAI	GB 1997-15768	A	19970725		
OS	MARPAT 130:352269				

GI

alkoxy, haloalkoxy, cyano, alkylsulfinyl, alkylsulfonyl; R4 = NH, NR6, NCOR6; R5, R6 = H, alkyl, (substituted) aryl, heteroaryl, aralkyl; R7 = H, alkyl, haloalkyl, alkylthio, alkoxy, haloalkoxy, cyano, amino, (substituted) aryl, heteroaryl; R8 = H, (substituted) alkyl, aryl, alkenyl, alkynyl, heteroaryl, acyl, haloacyl; X = O, S, NH], were prepared Thus, alanine Me ester hydrochloride, Me 3-phenyldithiocarbazate (preparation given), and Et3N were heated in DMF at 110° for 5 h to give 71% 4-methyl-1-phenylamino-2thionoimidazolidin-5-one. This was refluxed 5 h with K2CO3 and MeI in acetone to give 75% 4-methyl-2-methylthio-1-phenylamino-2-imidazolin-5-one. The latter at -70° in THF was treated with LiN(SiMe3)2, Me2NCH2CH2NMe2, and then with H2CO gas to give 64% 4-hydroxymethyl-4-methyl-2-methylthio-1phenylamino-2-imidazolidin-5-one. This in CH2C12 was added to (COC1)2 and Me2SO in CH2C12 at -70° followed by warming to -50°, treatment with Ophenylhydroxylamine hydrochloride and warming to room temperature to give 50.8% 4-methyl-2-methylthio-1-phenylamino-4-(0- phenylaldoximino)-2imidazolin-5-one. The latter gave complete control of Plasmopara viticola on vines.

IT 224575-04-6P 224575-06-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of imidazoline-5-ones as agrochem. fundicides)

RN 224575-04-6 CAPLUS

CN 4H-Imidazol-4-one, 5-[4,5-dihydro-5-(4-methyl-5-thiazolyl)-3-isoxazolyl]3,5-dihydro-5-methyl-2-(methylthio)-3-(phenylamino)- (CA INDEX NAME)

RN 224575-06-8 CAPLUS

CN 4H-Imidazol-4-one, 5-[4,5-dihydro-5-(2-pyrazinyl)-3-isoxazolyl]-3,5-dihydro-5-methyl-2-(methylthio)-3-(phenylamino)- (CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

- L5 ANSWER 11 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1997:41486 CAPLUS Full-text
- DN 126:59867

OREF 126:11753a,11756a

- TI Preparation of 3-(tetrahydropyridin-1-ylmethyl)pyrrolo[2,3-b]pyridines as ligands for dopamine receptor subtypes
- IN Curtis, Neil Roy; Kulagowski, Janusz Jozef; Leeson, Paul David; Ridgill,

Mark Peter

PA Merck Sharp & Dohme Limited, UK

Brit. UK Pat. Appl., 37 pp. SO CODEN: BAXXDU

Patent

English LA FAN.CNT 1

PATENT NO. KIND DATE GB 2299581 A 19961009 PRAI GB 1996-6782 Α 19960329

19950407

APPLICATION NO. GB 1996-6782

DATE

19960329 <--

GB 1995-7291 MARPAT 126:59867 OS

AB The title compds. [I; A = H, C1-6 alkyl, C1-6 alkoxy, halo, CN, CF3; R1 = H, halo, CN, etc.; Y = a divalent monocyclic radical selected from the following groups of formula II to VIII (wherein X = O, S, (un) substituted NH; Z = CH, N); R = H, C1-6 alkvl; R2 = (un)substituted arvl, heteroarvll, which are ligands for dopamine receptor subtypes within the body, in particular the D4 subtype, and therefore useful in the treatment and/or prevention of disorders of the dopamine system, including schizophrenia and depression, were prepared Thus, refluxing of 4-(3-phenylisoxazol-5-yl)-1,2,3,6-tetrahydropyridine with 3-dimethylaminomethyl-1H-pyrrolo[2,3-b]pyridine in PhMe afforded 30% I [A = R = R1 = H; R2Y = 3-phenylisoxazol-5-yl] which showed Ki of < 1.5 µM for displacement of [3H]-spiperone from the human dopamine D4 receptor subtype.

185132-30-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-(tetrahydropyridin-1-ylmethyl)pyrrolo[2,3-b]pyridines as ligands for dopamine receptor subtypes)

RN 185132-30-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 3-[[3,6-dihydro-4-[3-(3-pyridiny1)-5isoxazolyl]-1(2H)-pyridinyl]methyl]- (CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L5 ANSWER 12 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:731727 CAPLUS Full-text

DN 123:112056

OREF 123:20021a,20024a

TI 5-Arylisoxazol-4-yl-substituted 2-amino carboxylic acid compounds

IN Moltzen, Lenz Sibylle; Falch, Erik; Boegesoe, Klaus Peter; Krogsgaard-Larsen, Povl

PA H. Lundbeck A/S, Den.

SO PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DT Patent

LA English

	CNT	
E Patr	CIAI	_

								APPLICATION NO.											
PI		9512587				A1 19950511			WO 1994-DK411					19941102 <					
		W:						BR,											
								KP,											
								RO,											
		RW:																	
					PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,	NE,	SN,	
			TD,																
	CA	2175 9480	685			A1		1995	0511		CA 1	994-	2175	685		1	9941	102	<
	AU	9480	579			A		1995	0523		AU 1	994-	8057	9		1	9941	102	<
		6800																	
		9408																	
		7268																	
		R:																	
	CN	1136 1056	810			A		1996	1127		CN 1	994-	1943	88		1	9941	102	<
	CN	1056	837			C		2000	0927										
	HU	7469	2			A2		1997	0128		HU 1	996-	1167			1	9941	102	<
	JP	0950 2138	4531			T		1997	0506		JP 1	994-	5129	70		1	9941	102	<
		9941																	
		R:				DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
	FΙ	9601	872			A		1996											
		9601						1996			NO 1	996-	1783			1	9960	502	<
PRAI																			
		1994						1994											
		1994				W		1994	1102										
os	MAI	RPAT	123:	1120	56														

GΙ

AB 2-Aminocarboxylic acid compds. substituted with 5-arylisoxazol-4-yl or 5arylisothiazol-4-yl groups are claimed, specifically compds. I [A = bond or spacer; B = group CH(NR'R'')CO2H where R' and R'' = H or C1-6 alkyl, or B = cyclobutenedione group Q wherein R2, R3 and R4 = various substituents; or R3R4 or R2R4 form ring; E = O, S, CO2, (CH2)nCO2, O(CH2)nCO2, or S(CH2)nCO2 wherein n = 1-6, 5-tetrazolyl, 5-tetrazolylalkyl, 3-hydroxyisoxazolyl, or 3hydroxvisoxazolylalkyl; D = O or S; R1 = (un)substituted aryl or heteroaryl; certain racemic forms excluded]. I are excitatory amino acid receptor ligands useful in the treatment of cerebral ischemia, Huntington's disease, epileptic disorders, Parkinson's disease, Alzheimer's disease, schizophrenia, pain, depression and anxiety. For example, cyanation of 2-bromothiophene with CuCN in refluxing NMP gave 63% 2-thiophenecarbonitrile, which reacted with MeCHBrCO2Et and Zn in the presence of CuBr2 to give 72% Et 2-methyl-3-(2thienyl)-3-oxopropionate. This was cyclized with NH2OH to give 55% isoxazole derivative II (G3 = OH, G4 = Me), which underwent O-ethylation with EtBr and K2CO3 (51%) and benzylic bromination with NBS (100%) to give II (G3 = OEt, G4 = CH2Br). The latter was used to alkylate AcNHCH(CO2Et)2 (68%), and the resulting malonate diester was saponified, decarboxylated, deacetylated, and deethylated in refluxing 48% HBr, to give 30% title compound (±)-III. In the cortical wedge model in rats, this compound showed an AMPA agonist profile, with an EC50 of 5.8 μM . A variety of addnl. I were similarly prepared and tested by this and other binding assays; they showed activity as agonists or antagonists at NMDA and/or AMPA receptors.

IT 166180-68-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of arylisoxazolyl amino carboxylic acids as AMPA/NMDA receptor ligands)

RN 166180-68-3 CAPLUS

CN Propanedioic acid, 2-(acetylamino)-2-[[3-(2H-tetrazo1-5-y1)-5-(2-thieny1)4-isoxazolyl]methyl]-, 1,3-diethyl ester (CA INDEX NAME)

IT 166180-27-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylisoxazolyl amino carboxylic acids as AMPA/NMDA receptor ligands)

RN 166180-27-4 CAPLUS

CN 4-Isoxazolepropanoic acid, α-amino-3-(2H-tetrazol-5-y1)-5-(2-thieny1)- (CA INDEX NAME)

- OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
- RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L5 ANSWER 13 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1995:673548 CAPLUS Full-text
- DN 123:340713
- OREF 123:61171a,61174a
- TI 2'-Deoxyuridines with a 5-heteroaromatic substituent: synthesis and biological evaluation
- AU Luyten, I.; Jie, L.; Van Aershot, A.; Pannecouque, C.; Wigerinck, P.; Rozenski, J.; Hendrix, C.; Wang, C.; Wiebe, L.; et al.
- CS Lab. Medicinal Chem., Inst. Medical Research, Louvain, B-3000, Belg.
- SO Antiviral Chemistry & Chemotherapy (1995), 6(4), 262-70
- CODEN: ACCHEH; ISSN: 0956-3202 PB Blackwell
- PB Blackwel DT Journal
- LA English
- LA Eligi

- AB A series of novel 2'-deoxyuridines with a thienyl substituent in the 5position were synthesized as potential anti-HSV-1 agents. The brominated derivs. I-III were obtained via halogenation reactions of the protected 5-(2thienyl)-2'-deoxyuridine and 5-(3-thienyl)-2'-deoxyuridine, resp. The palladium-catalyzed cross-coupling reaction with stannylated thiophene was used for the synthesis of (E)-5-(2-thienylvinyl)-2'-deoxyuridine (IV) and 5-(2,2'-bithien-5-y1)-2'-deoxyuridine (V). These compds. show moderate to good activity against herpes simplex virus type 1 (HSV-1) in the order of decreasing activity I>IV>II>III.apprx.V. Finally, 5-isoxazolyl derivs. VI (X = S, O) were prepared via a 1,3-dipolar cycloaddn. of the protected 5-ethynyl-2'-deoxyuridine. VI were inactive against HSV-1. The new compds. were inactive against several other viruses. They also demonstrated poor affinity for HSV-1-specific thymidine kinase. V had a CC50 (50% cytostatic concentration) of 16 µg/mL, whereas the other compds. had no marked cytotoxicity.
- IT 169687-87-0P 169687-88-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and anti-HSV-1 activity of heteroarom.-substituted deoxyuridines)

- RN 169687-87-0 CAPLUS
- CN Uridine, 2'-deoxy-5-[3-(2-furany1)-5-isoxazoly1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169687-88-1 CAPLUS

CN Uridine, 2'-deoxy-5-[3-(2-thienyl)-5-isoxazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 170453-17-5P 170453-18-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and anti-HSV-1 activity of heteroarom.-substituted deoxyuridines)

RN 170453-17-5 CAPLUS

N Uridine, 2'-deoxy-5-[3-(2-furany1)-5-isoxazo1y1]-, 3',5'-bis(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 170453-18-6 CAPLUS
- CN Uridine, 2'-deoxy-5-[3-(2-thieny1)-5-isoxazoly1]-, 3',5'-bis(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

- L5 ANSWER 14 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1995:631029 CAPLUS Full-text
- DN 123:286459
- OREF 123:51351a,51354a

- TI Synthesis and antiviral activities of some new 5-heteroaromatic substituted derivatives of 2'-deoxyuridine
- AU Liu, J.; Van Aerschot, A.; Luyten, I.; Wigernick, P.; Pannecouque, C.; Balzarini, J.; De Clercq, E.; Herdewijn, P.
- CS Laboratories Medicinal Chemistry Antiviral Chemotherapy, Rega Institute Medical Research, Louvain, B-3000, Belg.
- SO Nucleosides & Nucleotides (1995), 14(3-5), 525-8 CODEN: NUNUD5; ISSN: 0732-8311
- PB Dekker
- DT Journal
- LA English
 - HN RHO $R^2 = R^3 = R^3$
- AB Eight new 5-heteroarom. substituted analogs of 2'-deoxyuridine, e.g. I (R = R1, R2, R3, X = 0, S), have been synthesized and evaluated for their inhibitory properties against a panel of different viruses. Several analogs containing a substituted thiophene moiety proved to be highly selective against herpes simplex virus type I (HSV-I).
- IT 169687-97-00 169687-99-10 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 - (synthesis and antiviral activities of heteroarom. substituted derivs. of deoxyuridine)
- RN 169687-87-0 CAPLUS
- CN Uridine, 2'-deoxy-5-[3-(2-furanyl)-5-isoxazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169687-88-1 CAPLUS

CN Uridine, 2'-deoxy-5-[3-(2-thienyl)-5-isoxazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

- L5 ANSWER 15 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1993:449381 CAPLUS Full-text
- DN 119:49381

OREF 119:8961a,8964a

- TI Preparation of 3-alkoxy-2-[2-(3-isoxazolyl)pyrrolo]acrylates and analogs as agrochemical fungicides
- IN Camaggi, Giovanni; Filippini, Lucio; Meazza, Giovanni; Riva, Raul; Zanardi, Giampaolo; Garavaglia, Carlo; Mirenna, Luigi
- PA Ministero dell' Universita' e della Ricerca Scientifica e Tecnologica, Italy
- SO Eur. Pat. Appl., 15 pp.
- CODEN: EPXXDW
- DT Patent
- LA English

FAN.	CNT 1			
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	EP 532126	A1 19930317	EP 1992-202794	19920912 <
	EP 532126	B1 19961218		
	R: AT, BE, CH	, DE, DK, ES, FR,	GB, GR, IT, LI, LU, MC,	NL, PT, SE
	AU 9222194	A 19930318	AU 1992-22194	19920908 <
	AU 652471	B2 19940825		
	US 5268383	A 19931207	US 1992-943335	19920910 <
	CA 2078065	A1 19930314	CA 1992-2078065	19920911 <
	RU 2065860	C1 19960827	RU 1992-5052900	19920911 <
	AT 146469	T 19970115	AT 1992-202794	19920912 <
	ES 2096709	T3 19970316	ES 1992-202794	19920912 <
	JP 06157519	A 19940603	JP 1992-270882	19920914 <
PRA1	IT 1991-MI2421	A 19910913		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

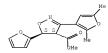
OS MARPAT 119:49381

GT

- AB Title compds. [I; A,B,D = N, CR; R = H, halo, NO2, cyano, (halo)alkvj; R1,R2 = (halo)alkvj; R3,R4 = H, alkvj, cyano, alkoxycarbonyl; R3R4 = bond; R5,R6 = H, halo, alkyl, Ph, heterocyclyl, etc.] were prepared Thus, 1-(methoxycarbonyl)pyrrole-2-carboxoldehyde was oximated and the product cyclocondensed with 4-ClC6H4C.tplbond.CH to give isoxacolylpyrroloacetate II (R4 = C6H4C1-4)(III; R7 = CH2CO2Me) which was condensed with HCO2Et and the product 0-methylated to give (2)-III [R7 = C(CO2Me):COMe]. II [R4 = CMs3, R7 = C(CO2Me):COMe] are younglessed to some control of Sphaerotheca fuliginia on cucumber plants when sprayed at 500 ppm.
- II 148191-69-9P RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as agrochem. fungicide)
- RN 148191-69-9 CAPLUS CN 1H-Pyrrole-1-acetic acid, α -(methoxymethylene)-2-[5-(2-thienyl)-3-isoxazolyl]-, methyl ester (CA INDEX NAME)

- OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
- L5 ANSWER 16 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1991:100773 CAPLUS Full-text
- DN 114:100773
- OREF 114:17169a,17172a
- ${\tt TI}$ Cycloadditions of 2,5-dimethyl-3-furannitrile oxide to alkenes and alkynes
- AU Jedlovska, Eva; Fisera, Lubor; Balkova, Anna; Kovac, Jaroslav; Stibranyi, Ladislav
- CS Dep. Org. Chem., Slovak Inst. Technol., Bratislava, 812 37, Czech.
- SO Collection of Czechoslovak Chemical Communications (1990), 55(10), 2481-92
 - CODEN: CCCCAK; ISSN: 0010-0765
- DT Journal
- LA English
- OS CASREACT 114:100773
- AB Regioselectivity of 1,3-dipolar cycloaddns. of 2,5-dimethyl-3-furannitrile oxide (1) to alkenes or alkynes is described. I generated in situ reacts with monosubstituted alkenes or alkynes to give exclusively 5-substituted 3-(5-dimethyl-3-furyl)-2-isoxazolines and isoxazoles, 2,5-disubstituted alkenes sometimes afforded a mixture of regioisomeric isoxazolines. Reactivity of furannitrile oxides in cycloaddns. to ethene was studied by the MNDO method.
- IT 132366-45-1P 132366-46-2P RL: SPN (Synthetic preparation); PREP (Preparation)
- (preparation of) RN 132366-45-1 CAPLUS
- CN 4-Isoxazolecarboxylic acid, 3-(2,5-dimethyl-3-furanyl)-5-(2-furanyl)-4,5-dihydro-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 132366-46-2 CAPLUS

CN 4-Isoxazolecarboxylic acid, 3-(2,5-dimethyl-3-furanyl)-4,5-dihydro-5-(5-nitro-2-furanyl)-, methyl ester (CA INDEX NAME)

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L5 ANSWER 17 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1990:552319 CAPLUS Full-text

DN 113:152319

OREF 113:25895a,25898a

TI Studies in the pyridine series. LIX. Synthesis and reactions of novel 1,3-dipyridinyl-1,3-propanediones

AU Ferles, Miloslav; Liboska, Radek; Trska, Petr

CS Dep. Org. Chem., Prague Inst. Chem. Technol., Prague, 166 28, Czech.

SO Collection of Czechoslovak Chemical Communications (1990),

55(5), 1228-33

CODEN: CCCCAK; ISSN: 0010-0765

DT Journal

LA English

OS CASREACT 113:152319

GI

AB Condensation of 2-, 3-, and 4-acetylpyridine with Et 2-, 3- or 4pyridinecarboxylates gave RCOCH2CORI (I, R = 2-pyridyl, 3-pyridyl; R1 = 3pyridyl, 4-pyridyl). Pyrazoles II (R = R1 = 2-pyridyl, R2 = H, Ph; R = 2pyridyl, R1 = 3-pyridyl, 4-pyridyl; R2 = H, Ph) were prepared by

10/574,612

cyclocondensation of I with H2NNHPh. Isoxazoles III (R = R1 = 2-pyridyl, 3-pyridyl; R = 2-pyridyl, R1 = 4-pyridyl; R = 4-pyridyl, R1 = 2-pyridyl) were prepared by cyclocondensation of I with H2NOH.

- IT 129485-54-7p 129485-55-9p 129485-56-9p 129485-57-0p
 - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 129485-54-7 CAPLUS
- CN Pyridine, 2,2'-(3,5-isoxazolediy1)bis- (9CI) (CA INDEX NAME)

- RN 129485-55-8 CAPLUS
- CN Pyridine, 3,3'-(3,5-isoxazolediyl)bis- (9CI) (CA INDEX NAME)

- RN 129485-56-9 CAPLUS
- CN Pyridine, 2-[3-(4-pyridinyl)-5-isoxazolyl]- (CA INDEX NAME)

- RN 129485-57-0 CAPLUS
- CN Pyridine, 2-[5-(4-pyridinyl)-3-isoxazolyl]- (CA INDEX NAME)

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

ANSWER 18 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1989:457640 CAPLUS Full-text

111:57640 DN

OREF 111:9783a,9786a

The 1,3-dipolar cycloadditions of 3-arylsydnone-4-carbonitrile oxides with alkenes

AU Yeh, Mou Yung; Chu, Wai Cheung

CS Dep. Chem., Natl. Cheng Kung Univ., Tainan, 70101, Taiwan

Journal of the Chinese Chemical Society (Taipei, Taiwan) (1988), 35(6), 451-7

CODEN: JCCTAC: ISSN: 0009-4536 Journal

DT

LA English

_ C == N → O

AB 3-Arylsydnone-4-carbonitrile oxides (I) may undergo 1,3-dipolar cycloaddns. with alkenes to produce the corresponding 3-aryl-4-(5-substituted-isoxazolin-3-yl)sydnones (II). The direct reaction of 3-arylsydnone-4-carbohydroximic acid chlorides with alkenes may also give the same products, and with higher yield. Thus, I (R = Ph, p-tolyl, p-EtOC6H4) and H2C:CHR1 (R1 = CN, Ph, 2pyridinyl, AcO, CH2Cl, CH3OH, 2--pyrrolidinon-1-vl, Ac) gave 34-87% 24 II. 121692-57-7P 121692-58-8P 121692-59-9P ΙT

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and spectra of)

RN 121692-57-7 CAPLUS

1,2,3-Oxadiazolium, 4-[4,5-dihydro-5-(2-pyridinyl)-3-isoxazolyl]-5-hydroxy-CN 3-phenvl-, inner salt (CA INDEX NAME)

121692-58-8 CAPLUS RN

CN 1,2,3-Oxadiazolium, 4-[4,5-dihydro-5-(2-pyridinyl)-3-isoxazolyl]-5-hydroxy-3-(4-methylphenyl)-, inner salt (CA INDEX NAME)

RN 121692-59-9 CAPLUS

CN 1,2,3-Oxadiazolium, 4-[4,5-dihydro-5-(2-pyridiny1)-3-isoxazoly1]-3-(4-ethoxypheny1)-5-hydroxy-, inner salt (CA INDEX NAME)

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L5 ANSWER 19 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1988:94457 CAPLUS Full-text

DN 108:94457

OREF 108:15535a,15538a

 ${\tt TI}$ Synthesis of thiazolylpyrazolines and -isoxazolines from acrylothiazoles and their microbial activity

AU Gawande, N. G.; Shingare, M. S.

- CS Chem. Dep., Marathwada Univ., Aurangabad, 431 004, India
- 80 Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1987), 26B(4), 351-5 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

- LA English
- OS CASREACT 108:94457

GI

- AB Thiazoles I (R = H, Br, Cl, Me, OMe, OEt; R1 = CH:CHR2; R2 = Ph, 2-HOC6H4, C6H4R3-4, 2-pyridyl, 2-furyl, 2-t-henyl; R3 = Cl, Br, NO2, Me, OMe; II) were prepared by the Claisen Schmidt condensation of 5-acetyl-2-arylamino-4-methylthiazoles I (R1 = Me). II reacted with N2H4 and NH2OH to give and thiazolylpyrazolines III (X = NH) and thiazolylisoxazolines III (X = O), resp. Some III (X = NH, O) were screened for fungicidal activity against Penicillium notatum by dry wet technique, and they showed activity.
- II 112834-37-4P 112834-75-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and fungicidal activity of)
- RN 112834-37-4 CAPLUS
- CN 2-Thiazolamine, N-(4-bromophenyl)-5-[4,5-dihydro-5-(2-thienyl)-3isoxazolyl]-4-methyl- (CA INDEX NAME)

- RN 112834-75-0 CAPLUS
- CN 2-Thiazolamine, 5-[4,5-dihydro-5-(2-thienyl)-3-isoxazolyl]-N-(4-ethoxyphenyl)-4-methyl- (CA INDEX NAME)

- IT 112834-26-1P 112834-27-2F 112834-38-3F 112834-35-2F 112834-36-5P 112834-45-4F 112834-46-5P 112834-17-6F 112834-55-6F 112834-56-7P 112834-57-8P 112834-64-7P 112834-65-8P 112834-73-8P 112834-74-5P RE: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 112834-26-1 CAPLUS
- CN 2-Thiazolamine, 5-[4,5-dihydro-5-(2-pyridinyl)-3-isoxazolyl]-4-methyl-N-

phenyl- (CA INDEX NAME)

RN 112834-27-2 CAPLUS

CN 2-Thiazolamine, 5-[5-(2-furanyl)-4,5-dihydro-3-isoxazolyl]-4-methyl-N-phenyl- (CA INDEX NAME)

RN 112834-28-3 CAPLUS

CN 2-Thiazolamine, 5-[4,5-dihydro-5-(2-thieny1)-3-isoxazoly1]-4-methyl-N-phenyl- (CA INDEX NAME)

RN 112834-35-2 CAPLUS

CN 2-Thiazolamine, N-(4-bromophenyl)-5-[4,5-dihydro-5-(2-pyridinyl)-3isoxazolyl]-4-methyl- (CA INDEX NAME)

RN 112834-36-3 CAPLUS

CN 2-Thiazolamine, N-(4-bromophenyl)-5-[5-(2-furanyl)-4,5-dihydro-3isoxazolyl]-4-methyl- (CA INDEX NAME)

RN 112834-45-4 CAPLUS

CN 2-Thiazolamine, N-(4-chlorophenyl)-5-[4,5-dihydro-5-(2-pyridinyl)-3isoxazolyl]-4-methyl- (CA INDEX NAME)

RN 112834-46-5 CAPLUS

CN 2-Thiazolamine, N-(4-chlorophenyl)-5-[5-(2-furanyl)-4,5-dihydro-3isoxazolyl]-4-methyl- (CA INDEX NAME)

RN 112834-47-6 CAPLUS

CN 2-Thiazolamine, N-(4-chlorophenyl)-5-[4,5-dihydro-5-(2-thienyl)-3isoxazolyl]-4-methyl- (CA INDEX NAME)

RN 112834-55-6 CAPLUS

CN 2-Thiazolamine, 5-[4,5-dihydro-5-(2-pyridinyl)-3-isoxazolyl]-4-methyl-N-(4-methylphenyl)- (CA INDEX NAME)

RN 112834-56-7 CAPLUS

CN 2-Thiazolamine, 5-[5-(2-furanyl)-4,5-dihydro-3-isoxazolyl]-4-methyl-N-(4-methylphenyl)- (CA INDEX NAME)

RN 112834-57-8 CAPLUS

CN 2-Thiazolamine, 5-[4,5-dihydro-5-(2-thieny1)-3-isoxazoly1]-4-methyl-N-(4methylphenyl)- (CA INDEX NAME)

RN 112834-64-7 CAPLUS

CN 2-Thiazolamine, 5-[4,5-dihydro-5-(2-pyridinyl)-3-isoxazolyl]-N-(4-methoxyphenyl)-4-methyl- (CA INDEX NAME)

RN 112834-65-8 CAPLUS

CN 2-Thiazolamine, 5-[4,5-dihydro-5-(2-thienyl)-3-isoxazolyl]-N-(4-methoxyphenyl)-4-methyl- (CA INDEX NAME)

RN 112834-73-8 CAPLUS

CN 2-Thiazolamine, 5-[4,5-dihydro-5-(2-pyridinyl)-3-isoxazolyl]-N-(4-ethoxyphenyl)-4-methyl- (CA INDEX NAME)

RN 112834-74-9 CAPLUS

CN 2-Thiazolamine, N-(4-ethoxyphenyl)-5-[5-(2-furanyl)-4,5-dihydro-3isoxazolyl]-4-methyl- (CA INDEX NAME)

OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L5 ANSWER 20 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1987:67261 CAPLUS Full-text

DN 106:67261

OREF 106:11063a,11066a

II Reactions of o-aminothiophenol, guanidine, thiourea, hydrazine hydrate, and hydroxylamine with acryloylthiazoles and microbial activities of the reaction products

AU Kulkarni, S. E., Miss; Mane, R. A.; Ingle, D. B.

CS Chem. Dep., Marathwada Univ., Aurangabad, 431 004, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1996), 25B(4), 452-5 CODEN: 1JSBDB, 1SSN. 0376-4699

DT Journal

LA English

OS CASREACT 106:67261

GI

- AB Acryloylthiazoles I (R = 2-furyl, 3-, 4-pyridyl, 2-thienyl) have been synthesized by the Claisen-Schmidt condensation of 5-acetyl-4-methyl-2-(2-pyridylamino)thiazole and RCHO. I react with 2-HSC6H4NH2, guanidine, thiourea, NZH4, and NH2OH to give thiazolylbenzothiazepines, thiazolylpyrimidinidniamines, thiazolylpyrimidinithiones, thiazolylpyrimidinidniamines thiazolylpyrimidinidniamines of thiazolylpyrimidinidniamines (name thiazolylisoxazolines, resp., all of which have fungicidal activity (no data).
- 106535-14-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 - (preparation and fungicidal activity of)
- RN 106535-11-9 CAPLUS
- CN 2-Pyridinamine, N-[5-[5-(2-furanyl)-4,5-dihydro-3-isoxazolyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)

- RN 106535-12-0 CAPLUS
- CN 2-Pyridinamine, N-[5-[4,5-dihydro-5-(3-pyridiny1)-3-isoxazoly1]-4-methyl-2thiazolyl]- (CA INDEX NAME)

- RN 106535-13-1 CAPLUS
- CN 2-Pyridinamine, N-[5-[4,5-dihydro-5-(4-pyridiny1)-3-isoxazoly1]-4-methyl-2thiazolyl]- (CA INDEX NAME)

RN 106535-14-2 CAPLUS

CN 2-Pyridinamine, N-[5-[4,5-dihydro-5-(2-thienyl)-3-isoxazolyl]-4-methyl-2-thiazolyl]- (CA INDEX NAME)

OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L5 ANSWER 21 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1985:523393 CAPLUS <u>Full-text</u>

DN 103:123393

OREF 103:19737a,19740a

- TI Synthesis and properties of azoles and their derivatives. Part IX. Synthesis and reaction of alkenes with acrylonitrile and methacrylonitrile N-oxides
- AU Baranski, Andrzej
- CS Inst. Org. Chem. Technol., Polytech. Univ., Krakow, 31155, Pol.
- SO Polish Journal of Chemistry (1984), 58(4-5-6), 425-37 CODEN: PJCHDQ; ISSN: 0137-5083
- DT Journal
- LA English
- OS CASREACT 103:123393
- GI

- AB Treating CH2:CRCH2NO2 (R = H, Me) with PhNCO and CH2:CRR1 (R1 = Ph, OEt, CN, CO2Me, CH2Cl) in absolute C6H6 containing Et3N overnight at room temperature gave 60-86% isoxazolines I. Treating I (R = H, R1 = Ph) (II) with benzonitrile oxide gave 66% bisioxazoline III; treatment with PhC(:NOH)Cl gave 68% III; treatment of IV with PhCH:CH2 gave 70% III; and treatment of II with PhCH2NO2 gave 68% III. Addnl. obtained were the trisisoxazolines V (R = H, R1 = Ph, CH2Cl; R = F, R1 = Ph).
- IT 98135-98-9F 98185-99-0P 98186-00-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
- RN 98185-98-9 CAPLUS
- CN 3,5':3',5''-Terisoxazole, 4,4',4'',5,5',5''-hexahydro-3'',5-diphenyl-(9CI) (CA INDEX NAME)

- RN 98185-99-0 CAPLUS
- CN 3,5':3',5''-Terisoxazole, 5-(chloromethyl)-4,4',4'',5,5',5''-hexahydro-3''-phenyl- (9CI) (CA INDEX NAME)

RN 98186-00-6 CAPLUS

CN 3,5':3',5''-Terisoxazole, 3''-(4-fluorophenyl)-4,4',4'',5,5',5''-hexahydro-5-phenyl- (9CI) (CA INDEX NAME)

- L5 ANSWER 22 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1984:209730 CAPLUS Full-text
- DN 100:209730
- OREF 100:31847a,31850a
- TI Azachalcones. III. Reactions of azachalcones with amines and hydrazines
- AU Attia, A.; Michael, M.
- CS Lab. Appl. Org. Chem., Natl. Res. Cent., Cairo, Egypt
 - O Acta Chimica Hungarica (1983), 114(3-4), 337-48
 - CODEN: ACHUDC; ISSN: 0231-3146
- DT Journal LA English
- OS CASREACT 100:209730
- GI CASREACT 100:209/

AB RCOCH:CHR1 (I, R = 2-, 3-, 4-pyridyl, R1 = 2-thienyl) were converted to their oximes which were treated with RZNCO (R2 = Me, CHMe2, Bu, Ph, 4-C1C6H4) to give R1CH:CHCR:NO2CNHR2. Treatment of I with R3NHNH2 (R3 = Ac, Ph, 4-MeC6H4, 4-C1C6H4) gave the pyrazoles II and with thiourea gave the pyrimidinethiones

III. I were brominated and treated with NH2OH to give isoxazoles IV. All the products were tested for bactericidal activity, but had little effect.

IT 85903-29-3P 85903-30-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of)

RN 85903-29-3 CAPLUS

CN Pyridine, 3-[5-(2-thienyl)-3-isoxazolyl]- (CA INDEX NAME)



RN 85903-30-6 CAPLUS

CN Pyridine, 4-[5-(2-thienyl)-3-isoxazolyl]- (CA INDEX NAME)



OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L5 ANSWER 23 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1984:174772 CAPLUS Full-text

DN 100:174772

OREF 100:26585a,26588a

TI Studies in the field of nitrogen heterocyclic compounds. Part VIII. Syntheses and structures of some novel pyrazolo[1,5-a]pyrimidine derivatives

AU Balicki, Roman; Nantka-Namirski, Pawel

CS Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01224, Pol.

SO Polish Journal of Chemistry (1983), Volume Date 1982, 56(7-8-9), 963-73 CODEN. FJCHDO; ISSN: 0137-5083

DT Journal

LA English

OS CASREACT 100:174772

G

10/574,612

- AB Cyclocondensation of RCOCH2CO28t [R = 2-pyridinyl (I), 3-pyridinyl (II)] with aminopyrazoles III (Rl = R2 = H, R3 = H, Pt; Rl = R3 = H, R2 = Ph) gave pyrazolo[1,5-a]pyrimidines IV, whose structures were confirmed by independent synthesis. Reaction of I and II with III (Rl = R2 = Me, R3 = H) gave pyrazolo[3,4-b]pyrimidines V.
- IT 89819-66-9P 89819-68-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and reductive cyclization of) RN 89819-66-9 CAPLUS
- CN 1H-Pyrazol-5-amine, 3-phenyl-1-[3-(4-pyridinyl)-5-isoxazolyl]- (CA INDEX NAME)

- RN 89819-68-1 CAPLUS
- CN 1H-Pyrazol-5-amine, 4-phenyl-1-[3-(4-pyridinyl)-5-isoxazolyl]- (CA INDEX NAME)

- L5 ANSWER 24 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1983:215512 CAPLUS Full-text
- DN 98:215512

OREF 98:32769a,32772a

II Studies on isomeric pyridylisoxazoles

- AU Belgodere, Elena; Bossio, Ricardo; De Sio, Francesco; Marcaccini, Stefano; Pepino, Roberto
- CS Ist. Chim. Org., Univ. Firenze, Florence, 50121, Italy
- SO Heterocycles (1983), 20(3), 501-4 CODEN: HTCYAM; ISSN: 0385-5414
- DT Journal
- LA English
- OS CASREACT 98:215512
- GI



- AB The cyclocondensation reaction of RCOCH2COR1 (R = 2-, 3-, and 4-pyridyl, 2-thienyl; R1 = Ph, 2-thienyl, Me) with HONH2 gave mixts. of isoxazole isomers I and II. α-(2-Pyridinecarbonyl)acetophenone reacted with HONH2.HC1 and Na2CO3 to give 75% I (R = 2-pyridyl, R1 = Ph) and 25% II (R = 2-pyridyl, R1 = Ph).
- IT 65903-28-2P 85903-29-3P 85903-30-6P 85903-36-2P
- RL: SPN (Synthetic preparation); PREP (Preparation)
- (preparation of) RN 85903-28-2 CAPLUS
- CN Pyridine, 2-[5-(2-thienv1)-3-isoxazolv1]- (CA INDEX NAME)



- RN 85903-29-3 CAPLUS
- CN Pyridine, 3-[5-(2-thienyl)-3-isoxazolyl]- (CA INDEX NAME)

- RN 85903-30-6 CAPLUS
- CN Pyridine, 4-[5-(2-thienyl)-3-isoxazolyl]- (CA INDEX NAME)



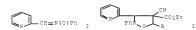
RN 85903-36-2 CAPLUS

CN Pyridine, 4-[3-(2-thienyl)-5-isoxazolyl]- (CA INDEX NAME)



OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

- L5 ANSWER 25 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1979:38242 CAPLUS Full-text
- DN 90:38242 CAFEGS
- OREF 90:6151a,6154a
- TI Nitrones and oxaziridines. XXI. Electronic substituent effects in
 - nitrone cycloadditions to highly polarized alkenes
- AU Black, David St. C.; Crozier, Robert F.; Rae, Ian D.
- CS Dep. Chem., Monash Univ., Clayton, Australia
- SO Australian Journal of Chemistry (1976), 31(10), 2239-46 CODEN: AJCHAS; ISSN: 0004-9425
- DT Journal
- LA English
- OS CASREACT 90:38242
- CT



- AB Kinetic data indicated that the cycloaddn. of I to RCH:C(CN)CO2Et (R = 2-pyridyl, Ph, 4-O2NC6H4, 4-MeOC6H4, 2-O2NC6H4) to give II involved a nonsynchronous addition via a dipolar intermediate or possibly a 2-step addition via a discrete zwitterionic intermediate.
- IT 68752-88-5P 68752-92-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) RN 68752-88-5 CAPLUS

CN 4-Isoxazolidinecarboxylic acid, 4-cyano-2-phenyl-3,5-di-2-pyridinyl-, ethyl ester (CA INDEX NAME)

RN 68752-92-1 CAPLUS

CN 4,4-Isoxazolidinedicarboxylic acid, 2-phenyl-3,5-di-2-pyridinyl-, 4,4-diethyl ester (CA INDEX NAME)

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L5 ANSWER 26 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1976:75532 CAPLUS Full-text

DN 84:75532

OREF 84:12399a,12402a

TI Isomeric diketopiperazines

AU Stockel, Richard F.

CS Hydron Lab., Inc., New Brunswick, NJ, USA

SO Textile Research Journal (1975), 45(5), 433-4

CODEN: TRJOA9; ISSN: 0040-5175

DT Journal

LA English

AB A polemic. The low extents of methylolation of 2,5- and 2,3-piperazinedione (I) [13092-86-9] reported by H. Enders and G. Pusch (ibid. 1966, 36, 322-32) are in error.

IT 55632-04-3P 56632-05-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 56632-04-3 CAPLUS

CN 2(1H)-Pyridinone, 3-[5-(2-furany1)-4,5-dihydro-3-isoxazoly1]-4-pheny1-6-(2thieny1)- (CA INDEX NAME)

56632-05-4 CAPLUS

CN 2(1H)-Pyridinone, 3-[4,5-dihydro-5-(2-thienyl)-3-isoxazolyl]-4-phenyl-6-(2thienyl) - (CA INDEX NAME)

L5 ANSWER 27 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

1975:170772 CAPLUS Full-text

DN 82:170772

OREF 82:27289a,27292a

- Direction of enolization of some furyl-substituted \(\beta \)-diketones
- AU Lesiak, Tadeusz; Nielek, Stefan
- CS Inst. Chem., Copernicus Univ., Torun, Pol.
- SO Khimiya Geterotsiklicheskikh Soedinenii (1975), (2), 162-6 CODEN: KGSSAQ; ISSN: 0132-6244

Journal

- DT LA Russian
- os CASREACT 82:170772
- GI For diagram(s), see printed CA Issue.
- AB RCOCH:CHR1 (I; R = Ph, 2-thienyl, R1 = 2-furyl) treated with NH2OH (2:3) gave 40 and 57% RC(:NOH)CH2CH(NHOH)R1 (II) and 18 and 20% isoxazolines (III). Cyclization of II by AcOH gave 80 and 66% isoxazoles (IV). Treatment of I with NH2OH (1:2) gave 90% (RCOCH2CHR1)2NOH which on further treatment with NH2OH gave II and III.
- 55367-31-2P 55367-32-3P 55367-34-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

55367-31-2 CAPLUS RN

CN Isoxazole, 5-(2-furanyl)-4,5-dihydro-3-(2-thienyl)- (CA INDEX NAME)

RN 55367-32-3 CAPLUS

CN Isoxazole, 5-(2-furanyl)-3-(2-thienyl)- (CA INDEX NAME)

RN 55367-34-5 CAPLUS

CN Isoxazole, 5-(5-bromo-2-furanyl)-3-(5-bromo-2-thienyl)- (CA INDEX NAME)

- L5 ANSWER 28 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1973:461409 CAPLUS Full-text
- DN 79:61409
- OREF 79:9847a,9850a
- TI Stability of nitrofuran derivatives to cysteine, gastrointestinal contents, and light
- AU Fujioka, Hiroshi; Nakanishi, Yutaka; Nakamura, Kiyoshi
- CS Res. Dev. Div., Dainippon Pharm. Co., Ltd., Suita, Japan
- SO Yakugaku Zasshi (1973), 93(5), 570-83
- CODEN: YKKZAJ; ISSN: 0031-6903
- DT Journal
- LA Japanese
- AB Nitrofuran derivs., such as 5-amino-4-cyano-3-(5-nitro-2-furyl)isoxazole (I) [15427-09-5] and (5-nitro-2-furfurylidenamino)urea [59-87-0], were decomposed by the SH group of cysteine [52-90-4] and by the contents of the digestive tract. The sensitivity of nitrofuran derivs. to cysteine decreased in the order: heterocyclic type > azomethine type > vinylog type. The therapeutic effect of vinylog type derivs. on typhoid-infected mice increased with increasing stability of drugs. Nitrofuran derivs. in aqueous solution were sensitive to sunlight and the decomposed products of drugs had no antibacterial activity.
- TT 7137-35-5
 - RL: BIOL (Biological study)

(cysteine and intestinal contents and light effect on, antityphoidal activity in relation to) $\,$

RN 7197-35-5 CAPLUS

CN Pyridine, 3-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

RL: PRP (Properties)

(stability of, uv light and mercapto group in relation to

- L5 ANSWER 29 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1972:140776 CAPLUS <u>Full-text</u>
- DN 76:140776

OREF 76:22859a,22862a

- TI Antibacterial and antiprotozoal 3-(5-nitro-2-furyl)isoxazoline derivatives
- IN Minami, Shinsaku; Matsumoto, Junichi; Shimizu, Masanao; Takase, Yoshiyuki
- PA Dainippon Pharmaceutical Co., Ltd.
- SO U.S., 10 pp.
- CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3631169	A	19711228	US 1966-581192	19660922 <
PRAI	US 1966-581192	A	19660922		

GI For diagram(s), see printed CA Issue.

AB Is-oxazoles (I, R1 = H, Ac, CN, Me, Et, COZEt, R2 = H, Me, NN12, Ph, pyridyl, iso-Bu, Et) and isoxazolines (II, R1 = H, Me, R2 = H, Me, CH2Ph, COZEt, Et, R3 = Et, Ph, H, Me, etc., R4 = H, CH2Cl, CH2CN, COZEt, etc.; III, R1 = 1-pyrrolidinyl, morpholino, piperiddino, NEE2) were prepared by treatment of either 5-nitro-2-furohydroxamoyl halide in the presence of base or of 5-nitrofuronitrile oxide with olefins. Dihydro compds. (II, III) were treated with acid to give I. Thue, treatment of 5-nitro-2-furohydroxamoyl chloride and 1-piperidinocyclohexene with Et3N gave III (R1 = piperidino) (IV). IV at min. inhibitory concentration 0.01-10 µg/ml was active against, e.g., Mycobacterium tuberculosis, Staphylococcus aureus, and Trichomonas vaginalis. About 75 addnl. I, II, and III were prepared similarly. Antimicrobial data for 21 addnl. I, II, and III were given.

IT 7194-23-2P 7197-35-5P 14730-45-1P 14734-52-2P 14734-58-8P 14734-59-9P

14734-60-2P 14775-91-6P 21706-51-4P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 7194-23-2 CAPLUS

CN Pyridine, 2-[3-(5-nitro-2-furany1)-5-isoxazoly1]- (CA INDEX NAME)

$$\text{No.2}$$

CN Pyridine, 3-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

- RN 14730-45-1 CAPLUS
- CN Pyridine, 2-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-(1-piperidinyl)-5isoxazolyl]- (CA INDEX NAME)

- RN 14734-52-2 CAPLUS
- CN Pyridine, 4-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

- RN 14734-58-8 CAPLUS
- CN Pyridine, 4-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

- RN 14734-59-9 CAPLUS
- CN Pyridine, 5-[4,5-dihydro-3-(5-nitro-2-furany1)-5-isoxazoly1]-2-methyl-(CA INDEX NAME)

- RN 14734-60-2 CAPLUS
- CN Pyridine, 2-[4,5-dihydro-3-(5-nitro-2-furany1)-5-isoxazoly1]- (CA INDEX NAME)

- RN 14775-81-6 CAPLUS
- CN Pyridine, 4-[4,5-dihydro-3-(5-nitro-2-furany1)-5-(1-piperidiny1)-5isoxazoly1]- (CA INDEX NAME)

- RN 21706-51-4 CAPLUS
- CN Morpholine, 4-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-(3-pyridinyl)-5isoxazolyl]- (CA INDEX NAME)

- OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
- L5 ANSWER 30 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1971:405599 CAPLUS Full-text
- DN 75:5599
- OREF 75:930h,931a

- Heteroaromaticity. LII. Syntheses and reactions of α -acetylenic TΙ ketones containing a nitrofuran ring
- Sasaki, Tadashi; Yoshioka, Toshivuki AU
- CS Fac. Eng., Nagoya Univ., Nagoya, Japan
- SO Bulletin of the Chemical Society of Japan (1971), 44(3), 803-8 CODEN: BCSJA8; ISSN: 0009-2673
- DT Journal
- English LA.
- GI For diagram(s), see printed CA Issue.
- The furyl acetylenes (I, II, and III) were prepared by condensation of 5-AB nitrofurfural with aryl Me ketones, followed by bromination and dehydrobromination. Addition of PhNH2 and cyclohexylamine to I gave IV and V, resp. Treatment of I and II with H2NOH, N2H4.H2O, semicarbazide, and benzamidine gave isoxazoles, pyrazoles, 1-ureidopyrazoles, and pyrimidines, resp. With PhCN oxide I gave 4-benzoyl-5-(5-nitro-2-furyl)-3-phenylisoxazole and furoxan, but heating I and II with 5-nitro-2-furonitrile oxide gave 4benzoyl- and 4-p-toluoyl-3,5-bis(5-nitro-2-furyl)isoxazole, resp. With phenacylpyridinium ylide, I and II gave pyrrocolines (VI and VII).
- 32023-60-2P 32023-61-3P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 32023-60-2 CAPLUS
- CN Methanone, [3,5-bis(5-nitro-2-furanyl)-4-isoxazolyl]phenyl- (CA INDEX NAME)

- RN 32023-61-3 CAPLUS
- Methanone, [3,5-bis(5-nitro-2-furanvl)-4-isoxazolvl](4-methylphenyl)- (CA CN INDEX NAME)

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

- ANSWER 31 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN L5
- 1971:76406 CAPLUS Full-text AN
- DN 74:76406

OREF 74:12403a,12406a

TI Tetrahydroisoxazole derivatives

IN Sasaki, Tadashi

PA Dainippon Pharmaceutical Co., Ltd.

SO Jpn. Tokkyo Koho, 2 pp. CODEN: JAXXAD

DT Patent

LA Japanese FAN.CNT 1

GI For diagram(s), see printed CA Issue.

AB A mixture of 0.3 g N-phenylorotaldoxime, 0.5 g 1-morpholino-1-cyclohexene, and 15 ml dioxane in a N atmospheric is heated 3 days at 85° in a sealed tube to give 0.36 g I, m. 202-3° (decomposition). Similarly prepared is II, m. 210-14° (decomposition) (MeOH).

IT 32465-88-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 32465-88-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 6-[2-phenyl-5-(4-pyridinyl)-3-isoxazolidinyl](CA INDEX NAME)

- L5 ANSWER 32 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1970:12709 CAPLUS Full-text

DN 72:12709

OREF 72:2316h,2317a

- TI Antibacterial 3-(5-nitro-2-furyl)isoxazoles
- PA Dainippon Pharmaceutical Co., Ltd.

SO Brit., 21 pp.

CODEN: BRXXAA

DT Patent

LA English FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1162257		19690820	GB 1966-41885	19660920 <
	DE 1670534			DE	
	FR 6916			FR	
	JP 46020386		19710000	JP	<
PRAI	JP		19650922		

OS MARPAT 72:12709

GI For diagram(s), see printed CA Issue.

AB The title compds. possessing antibacterial and antiprotozoal properties were prepared by reacting a 5-nitro-2-furoyl halide oxime with an ethylenic

compound or with a $\beta\text{-keto}$ ester or $\beta\text{-diketone}$. To a solution of 0.23 g Na in 6 ml MeOH was added 1.16 q AcCH2CO2Me and the resulting solution added dropwise to 1.9 g 5-nitro-2-furovl chloride oxime (I) in 5 ml MeOH to give after 1 hr at room temperature 1.3 q II (R 1 = CO2Me, R2 = Me) (IIa), m. 121-2° (MeOH). Similarly were prepared the following II (R1, R2, and m.p. given): Ac, Me, 111-13°; CO2Et, Ph, 99-100°; CO2Et, H, 81-2°; Ac, H, 131-2°; CN, Ph, 177-9°. To a solution of 1.9 g I in 65 ml CHCl3 was added 1.5 g 1pyrrolidinocyclohexene and 1 ml Et3N and the solution refluxed 0.5 hr to give 1.6 g III (R = pyrrolidino) (IV), m. 115-16° (EtOH). Similarly were prepared III (R = morpholino), m. 158-60°, and III (R = piperidino), m. 126-9°; HCl salt m. 160-2°. The following V were prepared analogously (R1, R2, R3, and m.p. given): Ph, piperidino, H (VI), 147-9°; Et H, Me, 152-3°; morpholino, 3pyridyl, H, 195-7°; piperidino, H, Me, 104-6°; piperidino, H, Ph, 153-5°; morpholino, H, PhCH2, 131-2°; pyrrolidino, iso-Bu, H, 116-19°; piperidino, Et, H, 133-6°; piperidino, 3-pyridyl, H, 160-3°; piperidino, 4-pyridyl, H, 180° (decomposition). 4,5-Dihydro-3-(5-nitro-2-fury1)-5-pyrrolidino-4,5trimethylenisoxazole, m. 129-31°, was also prepared Heating a mixture of 0.72 q IV, 2.5 ml concentrated HCl, and 1 ml EtOH 10 m in on the steam bath and cooling gave 0.6 g III (R = H), m. $126-8^{\circ}$ (aqueous EtOH), also obtained as a by-product in the preparation of IV. Similarly from VI was prepared V (R1 = R3 = H, R2 = Ph), m, 204-5°. The following V (R1 = H) were similarly prepared (R2, R3, and m.p. given): Et, Me, 110°; 3-pyridyl, H, 194-5°; H, Ph, 80-2°; H, Me, 146-9 °; iso-Bu, H, 99-100°; Et, H, 137-40°; 2-pyridyl, H, 240-3°; 4pyridyl, H, 280-3°. Addition of 0.95 g I in 10 ml Et20 to 0.58 g 1pyrrolidino-1-propene in 20 ml Et2O gave 0.3 g V (R1 = R2 = H, R3 = Me), m. 146-9°, directly. Reaction of 1.9 g I in 50 ml CHC13 with 1.4 g 1-piperidino-1-butene and 1 g Me3N gave crude V (R1 = piperidino, R2 = H, R3 = Et) hydrolyzed without purification to V (R1 = R2 = H, R3 = Et), m. 102-3°. II (R1 = R2 = H), m. 167-9° (MeOH), was prepared (0.18 g) by heating a mixture of 0.2 g II (R1 = H, R2 = EtO) (VII), 1.5 ml concentrated HC 1, and 2 ml EtOH on the steam bath 10 min or by stirring a mixture of 1.9 g I, 1 g vinyl acetate (VIII), 40 ml C6H6, and 1 g Et3N 1 hr at room-temperature then 10 min at 95°. 1-Piperidinoethylene could be used in place of VIII. To a solution of 0.95 g I in 10 ml Et20 was gradually added 0.5 g Et3N. Filtration and concentration of the filtrate gave 5-nitro-2-furonitrile oxide to which was added 0.5 g CH2:CHC02Et in 20 ml C6H6 giving after 3 hr 0.87 g V (R1 = R3 = H, R2 = CO2Et), m. 89-91° (EtOH-iso-PrOH). Similarly were prepared V (R1 = R3 = H, R2 = Ac), m. 110-11° (from AcCH:CH2); VII, m. 85-6° (iso-PrOH) (from EtOCH:CH2); V (R1 = R3 = CO2Et, R2 = H) (from di-Et maleate), b0.001 160-5° (bath), n20D 1.5522; V (R1 = H, R2 = Ph, R3 = CO2Et), n20D 1.6068; V (R1 = R3 = H, R2 = CH2Cl), m. 101-2° (from acryloyl chloride). Other V prepared were (R1, R2, R3, and m.p. given): 2-pyridyl, H, H (VIIa), 138-9°; CONH2, H, H (VIIb), 220-1°; CH2CN, H, H, 147-8°; CONH2, Me, H, 203-5°; 2,3-epoxypropyloxy, H, H, 69-72°; 2-methyl-5-pyridyl, H, H, 144-5°; 4-pyridyl, H, H, 168-71°; Ph, H, H, 129-30°; Et2N, H, Et, 62-3°. Following similar methods were obtained: III (R = Et2N), m. 111-13°; 4,5-dihydro-4,4-dimethyl-3-(5-nitro-2-furyl)-5piperidinooxazole, m. 121-4°; 3-(5-nitro-2-furyl)tetrahydropyrano-[3,2-d]-2isoxazoline (from 3 ,4-dihydro-2H-pyran), m. 125-6°; 4,6-dioxo-3-(5-nitro-2furyl)-5-phenylpyrrolidino[3,4-d]-2-isoxazoline (from N-phenylmaleimide), m. 245-6°; II (R1 = PhNHCO, R2 = Me) (from β-morpholino-N-phenylcrotonamide), m. 208-10°; II (R1 = CN, R2 = NH2) (VIIIa) (from malononitrile), m. 245-7°. Refluxing a mixture of 1 ml Ac2O, 12 ml (EtO)3CH, and 1 g VIIIa 4 hr gave 0.96 q II (R1 = CN, R2 = EtOCH:N), m. 121-2° (C6H6). II (R1 = CONH2, R2 = NH2) (IX), m. 219-21° (decomposition) (MeOH- Me2CO), was prepared by heating a mixture of 1 g VIIIa and 3 ml concentrated H2SO4 on the steam-bath 5 min. Treatment of 150 mg IX with 3 ml (EtO)3CH and 0.5 ml Ac2O under reflux 1.5 hr gave 130 mg 4,5-dihydro-3-(5-nitro-2-furyl)-4-oxoisoxazolo[5,4-d]pyrimidine, m. >250° (EtOHMe2CO). Refluxing a mixture of 0.5 g VIIIa, 20 ml isopropenyl acetate, and 0.2 g p-MeC6H4SO3H (X) 3 hr gave 0.3 g N-acetyl derivative (XI) of VIIIa, m. 237-9° (MeOH). Refluxing a mixture of 1 g VIIIa, 30 ml Ac20, and

0.3 g X 2 hr gave 0.25 g 4,5-dihydro-6-methyl-3-(5-nitro-2-furyl)-4-oxoisoxazolo[5,4-d]pyrimidine (XII), m.>250°, and 140 mg XI. Under similar conditions, IX gave XII. Following the method used to prepare IIa, I and CNCH2CO2Et gave II (R1 = CO2Et, R2 = NH2), m. 204-6°; N-acetyl derivative m. 168-9°. A mixture of 130 mg VIIa, 110 mg N-bromosuccinimide, 2 mg Es202 and 20 ml CC14 was refluxed 10 hr and the basic product isolated by extraction with 15% HCl to give 70 mg II (R1 = H, R2 = 2-pyridyl), m. 240-3° (MeOH-Me2CO). II (R1 = H, R2 = 4-pyridyl), m. 280-3°, and II (R1 = H, R2 = Ph), m. 204-5°, were similarly prepared Many of the compds. described showed good activity in vitro against bacteria such as Staphylococcus aureus, Escherichia coli, Salmonella typhimurium, Shigella sonnei, Trichomonas vaginalis, etc. One of the most effective compds. in protecting mice against infections of Salmonella typhimurium was VIIb, active at 25-50 mg/kg orally or 1.p.

IT 7194-23-2P 7197-35-5P 14730-45-1P 14734-52-2P 14734-55-8P 14734-59-9P 14734-60-2P 14775-81-6P 21706-51-4P RI: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) RN 7194-23-2 CAPLUS

CN Pyridine, 2-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

$$\text{No}_2$$

RN 7197-35-5 CAPLUS

CN Pyridine, 3-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

RN 14730-45-1 CAPLUS

CN Pyridine, 2-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-(1-piperidinyl)-5isoxazolyl]- (CA INDEX NAME)

RN 14734-52-2 CAPLUS

CN Pyridine, 4-[3-(5-nitro-2-furany1)-5-isoxazoly1]- (CA INDEX NAME)

RN 14734-58-8 CAPLUS

CN Pyridine, 4-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

RN 14734-59-9 CAPLUS

CN Pyridine, 5-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-isoxazolyl]-2-methyl-(CA INDEX NAME)

$$\stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \text{NO}_2$$

RN 14734-60-2 CAPLUS

CN Pyridine, 2-[4,5-dihydro-3-(5-nitro-2-furany1)-5-isoxazoly1]- (CA INDEX NAME)

RN 14775-81-6 CAPLUS

CN Pyridine, 4-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-(1-piperidinyl)-5isoxazolyl]- (CA INDEX NAME)

RN 21706-51-4 CAPLUS

CN Morpholine, 4-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-(3-pyridinyl)-5isoxazolyl]- (CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

- L5 ANSWER 33 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1969:524412 CAPLUS Full-text
- DN 71:124412
- OREF 71:23126h.23127a
 - II 3-(5-Nitro-2-furyl)isoxazoles
- IN Minami, Shinsaku; Matsumoto, Junichi; Shimizu, Masanao; Takase, Yoshiyuki
- PA Dainippon Pharmaceutical Co., Ltd.
- SO Jpn. Tokkyo Koho, 3 pp.
- CODEN: JAXXAD
 DT Patent
- LA Japanese

FAN. CNT 1

E LINA .	CIVI I				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	JP 44023325	B4	19691003	JP	19661020 <
0.7			1 03 7		

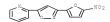
GI For diagram(s), see printed CA Issue.

AB The preparation of I, a bactericide and an antiseptic, is described. Thus, 0.3 g, 5-(diethylamino)-4,5-dihydro-4-ethyl-3-(5-nitro-2-furyl)isoxazole is refluxed 30 min. in 5 ml. 10% H2SO4 and 3 ml. EtOH to give 0.15 g. I (R = Et, Rl = H), m. 102-3° (iso-PrOH). Similarly prepared are the following I (R, Rl, and m.p. given): Ph, H, 80-2° H, Ph, 204-5° Me, Et, 110°; H, Et, 137-40°; H, 2-pyridyl, 240-3°; H, H, 157-9°. Also is prepared I [(RRI =) tetramethylene], m. 126-8°.

IT 7194-23-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

- RN 7194-23-2 CAPLUS
- CN Pyridine, 2-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)



- L5 ANSWER 34 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1969:512916 CAPLUS Full-text
- DN 71:112916
- OREF 71:21019a,21022a
- TI 5-Substituted (5-nitro-2-furvl)isoxazoles
- IN Minami, Shinsaku; Matsumoto, Junichi; Shimizu, Masanao; Takase, Yoshiyuki
- PA Dainippon Pharmaceutical Co., Ltd.
- SO Jpn. Tokkyo Koho, 2 pp.
- CODEN: JAXXAD
- DT Patent
- LA Japanese
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 44018298	B4	19690811	JP	19661020 <-
GI	For diagram(s), se	e printe	d CA Issue.		

- AB Manufacture of I, useful as bactericide and antiseptic, by reaction of II with N-bromosuccinimide (III) is described. In an example, a mixture of 130 mg. II (R = 2-pyridyl), 110 mg. III, 20 ml. CCl4, and 2 mg. dibenzoyl peroxide is refluxed 10 hrs., evaporated, the residue extracted with 15% HCl, and the extract neutralized with NHJOH to give 70 mg. I (R = 2-pyridyl), m. 240-3° (MeORMe2CO). Similarly prepared are the following I (R and m.p. given): 4-pyridyl, 280-3°; Ph. 204-5°.
- IT 7194-23-2P 14734-52-2P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 7194-23-2 CAPLUS
- CN Pyridine, 2-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

- RN 14734-52-2 CAPLUS
- CN Pyridine, 4-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)



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ANSWER 35 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
AN
    1969:430383 CAPLUS Full-text
    71:30383
DN
OREF 71:5605a,5608a
    Isoxazole chemistry. I. 3- or 5-(5-Nitro-2-fury1)-5- or
    -3-methylisoxazoles
AU
    Micetich, Ronald G.
CS
    R. and L Mol. Res. Ltd., Edmonton, AB, Can.
SO
    Journal of Medicinal Chemistry (1969), 12(4), 611-16
    CODEN: JMCMAR; ISSN: 0022-2623
DT
    Journal
T.A
    English
    For diagram(s), see printed CA Issue.
GI
AB
    Several 5-methyl-3-(5-nitro-2-furyl) isoxazoles (I) and their flip isomers,
     3-methyl-5-(5-nitro-2-furyl)isoxazoles, have been synthesized and their
     antibacterial, antitrichomonal, and lysogenic activities have been determined
     The antitrichomonal activity of several members of the dialkylaminoalkyl ester
     series is considerably better than that of 1-(2-hydroxyethy1)-2-methy1-5-
     nitroimidazole and these compds. are characterized by low toxicities. The
     N.M.R. spectrum is a convenient method of distinguishing between isomer pairs.
    22996-54-9P
                  22396-55-0P
    RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
    22996-54-9 CAPLUS
RN
    4-Isoxazolecarboxylic acid, 5-(2-furanyl)-3-(5-nitro-2-furanyl)-, ethyl
    ester (CA INDEX NAME)
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$$\bigcap_{\mathsf{C}-\mathsf{OEt}}^{\mathsf{N}}\bigcap_{\mathsf{N}^{\mathsf{O}}2}$$

- RN 22996-55-0 CAPLUS
- CN 4-Isoxazolecarboxylic acid, 3,5-bis(5-nitro-2-furanyl)-, ethyl ester (CA INDEX NAME)

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L5 ANSWER 36 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN AN 1969:87414 CAPLUS Full-text
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DN 70:87414

OREF 70:16317a

TI Heteroaromaticity. XXIV. 1,3-Dipolar cycloaddition of

C-(5-nitro-2-furv1)-N-phenvl nitrone

- AU Sasaki, Tadashi; Yoshioka, Toshiyuki; Izure, Iwao
- CS Nagoya Univ., Nagoya, Japan
- SO Bulletin of the Chemical Society of Japan (1968), 41(12), 2964-9 CODEN: BCSJA8; ISSN: 0009-2673
- DT Journal
- LA English
- GI For diagram(s), see printed CA Issue.
- AB C-(5-Nitro-2-furv1)-N-phenylnitrone (I) was prepared from 5-nitro-2-furfural and PhNHOH in an 80% yield. The 1,3-dipolar cycloaddn, reactions of I with various olefins were carried out, and the corresponding 5-substituted isoxazolidine derivs. were obtained. The structural elucidation of these products was made on the basis of the N.M.R. spectral data. Several observations support the theory that these reactions proceed via a concerted one-step process.
- ΙT 21746-10-1P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- 21746-10-1 CAPLUS RN
- CN Pyridine, 4-[3-(5-nitro-2-furanyl)-2-phenyl-5-isoxazolidinyl]- (CA INDEX NAME)



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

- 1.5 ANSWER 37 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- 1969:68242 CAPLUS Full-text AN
- DN 70:68242
- OREF 70:12761a,12764a
- TI Nitrofurvl pyrazoles and nitrofurvl isoxazoles
- AU Haber, Ralph G.; Schoenberger, Eva
- CS Res. Dep., Abic Ltd., Ramat-Gan, Israel
- SO Israel Journal of Chemistry (1968), 6(5), 631-9
- CODEN: ISJCAT: ISSN: 0021-2148
- DT Journal
- LA English
- AB 5-Nitro-2-(RCOCH2CO-substituted)-furans (I) are converted into 3-(5-nitro-2furyl)-5-(R-substituted)-isoxazoles (II) and 3-(R-substituted)-5-(R1substituted)-1-(R2-substituted)-pyrazoles (III). Thus, a solution of 1 g. I (R = Ph) in 50 ml. MeOH is treated with 0.3 q. N2H4.H2O, and the mixture refluxed 5 hrs. to give 3-(5-nitrofuryl)-5-phenylpyrazole, m. 214-16°. A solution of 1 q. I (R = Ph) in 50 ml. iso-PrOH is treated with a solution of 3 q. HONH2.HCl in 10 ml. water, and the mixture refluxed 6 hrs. to give 0.9 g. 3-(5nitrofuryl)-5-phenylisoxazole, m. 192-3°. Similarly prepared are the following II (R and m.p. given): Me, 133-5°; Et, 127-8°; p-tolyl, 195-6°; p-C1C6H4, 193-4°; p-BrC6H4, 209-10°; furyl, 201-2°; 5-nitrofuryl, 227-9°;

thienyl, 212-14°; 2-pyridyl, 227-9°; 3-pyridyl, 185-6°; 4-pyridyl, 261-3°; 2-pyridyl (N-oxide), 181-3°; and 3-pyridyl, 252-4°; the following III (R = 5-nitro-2-furyl, R2 = H) (R1 and m.p. given): Me, 221-2°; Et, 154-5°; p-tolyl, 226-8°; p-ClC6H4, 277-8°; furyl, 192-5°; 2-pyridyl, 260-2°; 3-pyridyl, 280-1°; 4-pyridyl, 290-2°; and 3-pyridyl (N-oxide), 298-9°; and the following III (R, R1, R2, and m.p. given): 5-nitrofuryl (or Me), Me (or 5-nitrofuryl), Me, 152-3°; 5-nitrofuryl (or Et), Et (or 5-nitrofuryl), Me, 108-9°; Me, 5-nitrofuryl, N-h, 75-7°; and 5-nitrofuryl (or Me), Me (or 5-nitrofuryl), Il-2°. Also prepared, according to known methods, are the following I (R and m.p. given): Me, 115-16°; Pr, 74-5°; Ph, 161-3°; p-BrC6H4, 174-6° (hydratel); p-tolyl, 145-6°; 2-pyridyl, 141-2°; 3-pyridyl, 176-7°; and furyl, 177-9°.

II 7194-24-3P RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation) (Nitrofuryl pyrazoles and nitrofuryl isoxazoles)

RN 7194-24-3 CAPLUS

CN Isoxazole, 3-(5-nitro-2-furanyl)-5-(2-thienyl)- (CA INDEX NAME)

IT 5052-78-8P 5230-17-1P 7194-23-2P 7197-35-5P 14734-52-2P 21603-06-5P 21720-18-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 5052-78-8 CAPLUS

CN Isoxazole, 5-(2-furany1)-3-(5-nitro-2-furany1)- (CA INDEX NAME)

RN 5230-17-1 CAPLUS

CN Isoxazole, 3,5-bis(5-nitro-2-furanyl)- (CA INDEX NAME)

RN 7194-23-2 CAPLUS

CN Pyridine, 2-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

RN 7197-35-5 CAPLUS

CN Pyridine, 3-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

RN 14734-52-2 CAPLUS

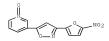
CN Pyridine, 4-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

RN 21603-06-5 CAPLUS

CN Pyridine, 2-[3-(5-nitro-2-furany1)-5-isoxazoly1]-, 1-oxide (CA INDEX NAME)

RN 21720-18-3 CAPLUS

CN Pyridine, 3-[3-(5-nitro-2-furanyl)-5-isoxazolyl]-, 1-oxide (CA INDEX NAME)



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L5 ANSWER 38 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
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AN 1969:57816 CAPLUS Full-text

DN 70:57816

OREF 70:10861a,10864a

TI 3-(5-Nitro-2-furyl)isoxazoles

IN Minami, Shinsaku; Matsumoto, Junichi; Fujimoto, Katsuro; Takase, Yoshiyuki

PA Dainippon Pharmaceutical Co., Ltd.

SO Jpn. Tokkyo Koho, 5 pp. CODEN: JAXXAD

DT Patent

LA Japanese

FAN.CNT 1

PI JP 43026294 AB Manufacture of 4,5-(R3,R2-disubstituted)-3-(5-nitro-2-furyl)isoxazoles (I) is described. Both I and II are bactericides and fungicides. In an example, 1, 1, 1-pyrrolidinocyclohexene and 1 g. NEt3 are added to a solution of 1.9 g. intro-2-furylcarbohydroxamic acid chloride in 65 ml. CHCl3, the mixture refluxed 30 min., evaporated in vacuo, and EtOH added to the residue to give 1.6 g. II [R1 = pyrrolidino, (R2R3 =) tetramethylene] [ITa], m. 115-16° (EtOH). Similarly prepared are the following II (R1, R2, R3, and m.p. given) morpholino, (R2R3 =) tetramethylene, 126-9°, piperidino, Ph, H, 147-9°; morpholino, Et, Me, 152-3° morpholino, R, 187, 197, pyrrolidino, (R2R3 =) tetramethylene, 126-9°, piperidino, Ph, H, 147-9°; morpholino, Et, Me, 152-3° morpholino, H, H, 195-7°, pyrrolidino, (R2R3 =) tetramethylene, 126-9°, piperidino, H, Ph, 153-5°; morpholino, H, H, 111-2°; pyrrolidino, iso-Bu, H, 116-19°; piperidino, Et, H, 133-6°, piperidino, pyridyl, H, 160-3°; piperidino, 4-pyridyl, H, 180° (decomposition). IIa (0.° g.) is heated 10 min. with a mixture of 2.5 ml. concentrated Hcl and 1 ml. EtOH to give 0.6 g. I ((R2R3 =) tetramethylene), m. 126-8°. Similarly prepared are the following I, (R2, R3, and m.p. given): H, H, 204-5°; Et, Me, 110°, 3-pyridyl, H, 195-10°; iso-Bu, H, 99-100°;	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 43026294 Manufacture of 4,5 4,5,5-(R3,R1,R2-tr described. Both I g. 1-pyrrolidinocy nitro-2-furylcarbo refluxed 30 min., 1.6 g. II [R1 = py (EtCH). Similarly morpholino, (R2R3 tetramethylene, 12 morpholino, H, H, piperidino, H, H, 2°; pyrrolidino, i pyridyl, H, 160-3° g.) is heated 10 m EtCH to give 0.6 g	 B (R3,R2-isubstification) and II clohexen hydroxate evaporate recoliding prepare = tetre (6-9°; p. 104-6°; so-Bu, i, piper in. with I. I [(R.	1968112 disubstitut. cuted) -3-(5-) are bacter are bacter are bacter are bacter are bacter and 1 g. 1 and a caid chie ced in vacuo, no, (R2R3 =) ad are the famethylene, peridino, piperidino, piperidino, the famethylene, compared	JP ed)-3-(5-nitro-2-furyl) initro-2-furyl)-2-isoxaz ides and fungicides. EES are added to a solides in the final considering in the final consid	Josofolog < isoxazoles (I) via olines (II) is In an example, 1.5 ution of 1.9 g. 5- the mixture residue to give m. 115-16° , and m.p. given): 2R3 =) o, Et. Me, 152-3°; ,129-31°; lino, H, H, 131- 6°; piperidino, 2- tion). IIa (0.72 HCl and 1 ml. Similarly
Et, H, 137-40°; 2-pyridyl, H, 240-3°; 4-pyridyl, H, 280-3°.	prepared are the f 110°; 3-pyridyl, H	ollowing , 194-5	g I, (R2, R3, °; H, Ph, 80	, and m.p. given): H, H -2°; H, Me, 146-9°; iso	, 204-5°; Et, Me,

IT 7194-23-2P 7197-35-5P 14730-45-1P 14734-52-2P 14775-81-6P 21706-51-4P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 7194-23-2 CAPLUS

CN Pyridine, 2-(3-(5-nitro-2-furanyl)-5-isoxazolyl)- (CA INDEX NAME)

$$\text{No}_2$$

RN 7197-35-5 CAPLUS

CN Pyridine, 3-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

RN 14730-45-1 CAPLUS

CN Pyridine, 2-[4,5-dihydro-3-(5-nitro-2-furany1)-5-(1-piperidiny1)-5isoxazoly1]- (CA INDEX NAME)

RN 14734-52-2 CAPLUS

CN Pyridine, 4-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

RN 14775-81-6 CAPLUS

CN Pyridine, 4-[4,5-dihydro-3-(5-nitro-2-furany1)-5-(1-piperidiny1)-5isoxazoly1]- (CA INDEX NAME)

RN 21706-51-4 CAPLUS

CN Morpholine, 4-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-(3-pyridinyl)-5isoxazolyl]- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L5 ANSWER 39 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1969:37600 CAPLUS Full-text

DN 70:37600

OREF 70:7020h,7021a

TI Selenophene chemistry. LX. Direction of enolization in β -diketones of the selenophene series with the 3-selenienyl radical

AU Yur'ev, Yu. K.; Magdesieva, N. N.; Monakhova, A. T.

CS Mosk. Gos. Univ. im. Lomonosova, Moscow, USSR

SO Khimiya Geterotsiklicheskikh Soedinenii (1968), 4(4), 645-9 CODEN: KGSSAO; ISSN: 0132-6244

DT Journal

LA Russian

GI For diagram(s), see printed CA Issue.

The following compds. of the type RCOCH:CHR1 (I) were obtained in the reaction AR of selenophene-2-carboxaldehyde with 3-acetoselenophene in MeOH in the presence of NaOH (R, R1, m.p., and % yield given) (C4H3Se = selenophene-yl) β -C4H3Se, α -C4H3Se (Ia), 89.5-91°, 87; β -C4H3Se, Ph (Ib), 107-8°, 87; α -C4H3Se, β-C4H3Se, 69-9.5°, 61.5; and Ph, β-C4H3Se, 88-9°, 77. Refluxing the ketones with NH2OH HCl and 10% NaOH in EtOH 2 hrs. gave RC(:NOH)CH2CH(NHOH)R1 (II); II $(R = \beta - C4H3Se, R1 = Ph)$ m. 186-7°; the others were oils. Ia and Ib refluxed in EtOH 4 hrs. with NH2OH·HCl and pyridine, gave isoxazoles (III) m. 107.5-109°, 94.5%, m. 121-1.5°, 92.5%, resp. All 4 II heated 2 hrs. at 125° gave the corresponding III, 91.5% (m. 107.5-109°), 85% (m. 120.5-1.5°), 59% (m. 104.5-106°), and 73.5% (m. 118.5-19°), resp. 2-Bromo-3-methylselenophene, b10 70°, was obtained in 74% yield from 3-methylselenophene and Nbromosuccinimide. Selenophene-3-carboxylic acid Me ester was reduced with LiAlH4 to give 90% selenophene-3-vlcarbinol, b10 110° (phenylurethane m. 160-1.5°), which with SO2Cl2 in CHCl3 at -15° gave 16% 3-chloromethylselenophene. b5 69-71.5°. Selenophene-3-carbonitrile reduced with LiAlH4 gave 39% selenophene-3-carboxaldehyde (IV), b4 81.5-82°; 2,4-dinitrophenylhydrazone m. 231-2°; semicarbazone m. 218-19°; thiosemicarbazone m. 157-8.5°. IV heated with hippuric acid and anhydrous AcONa, in Ac20 at 70° 1 hr. gave 59% 2phenyl-4-(selenophene-3-ylmethylene)-5-oxazolone, m. 187-8° (C6H6). 9 references.

IT 21421-51-2P 21421-53-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 21421-51-2 CAPLUS

CN Isoxazole, 5-selenophene-2-y1-3-selenophene-3-y1- (CA INDEX NAME)



RN 21421-53-4 CAPLUS

CN Isoxazole, 3-selenophene-2-y1-5-selenophene-3-y1- (CA INDEX NAME)



1.5 ANSWER 40 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

1968:443703 CAPLUS Full-text AN

DN 69:43703

OREF 69:8179a,8182a

ΤI 1,3-Dipolar cycloaddition of furancarbonitrile oxide with olefins

Sakai, Tadashi; Yoshioka, Toshiyuki AU

CS Nagoya Univ., Nagoya, Japan

SO Nippon Kagaku Zasshi (1967), 88(10), 1122-3 CODEN: NPKZAZ; ISSN: 0369-5387

DT Journal

LA Japanese O.S. CASREACT 69:43703

GI

For diagram(s), see printed CA Issue.

- AB α-Chlorofuraldoxime (I) (0.12 g.) in 5 ml. CC14 was treated with 0.13 ml. Et3N to give 3,4-di-2-furylfuroxan, isolated from the solution I (1.0 g.) in 40 ml. Et20 treated with 1.0 ml. Et3N in 10 ml. Et20 followed by 1.0 ml. PhCH:CH2 at the b.p. gave 1.5 g. 3-(2-furyl)-5-phenylisoxazoline, m. 91-2°. Similarly the following 5-substituted 3-(2-furyl)isoxazolines (II) were obtained from I (substituent, % yield and m.p. given): p-MeC6H4, 10, 92-3°; 4-pyridyl, 31, 113-14° (picrate m. 171-2°); and H2NCO, 29, 186-8°. Similar reaction with 2.5-dihydrothiophene 1.1-dioxide gave 5% III, m. 202-3°.
- IT 18709-82-5P 18709-83-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 18709-82-5 CAPLUS

CN Pyridine, 4-[3-(2-furanyl)-4,5-dihydro-5-isoxazolyl]- (CA INDEX NAME)



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18709-83-6 CAPLUS
CN
   Pyridine, 4-[3-(2-furanyl)-4,5-dihydro-5-isoxazolyl]-, compd. with
    2,4,6-trinitrophenol (1:1) (CA INDEX NAME)
    CM 1
    CRN 18709-82-5
    CMF C12 H10 N2 O2
    CM
    CRN 88-89-1
     CMF C6 H3 N3 O7
OSC.G 1
             THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
L5 ANSWER 41 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1967:432656 CAPLUS Full-text
DN 67:32656
OREF 67:6182h,6183a
TI
    1,3-Dipolar cycloaddition of 5-nitro-2-furonitrile oxide
AU Minami, Shinsaku; Matsumoto, Junichi
    Res. Lab., Dainippon Pharm. Co., Ltd., Osaka, Japan
SO Chemical & Pharmaceutical Bulletin (1967), 15(3), 366-9
```

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal LA English

- OS CASREACT 67:32656
- GI For diagram(s), see printed CA Issue.
- Ia as an unstable liquid was prepared by adding Et3N to Ib. Treatment of Ia AB with an enamine, R1CH:CR2R3 (R3 = nitrogenous group), gave the following II (R1, R2, R3, m.p., and % yield given): (R1R2 =) (CH2)3, 1-tetrahydrofuryl, 129-32°, 72; (R1R2 =) (CH2)4, 1-tetrahydrofuryl, 126-9°, 69; H, Ph, 1piperidyl, 147-9°, 68; Ph, H, 1-piperidyl (III), 153-5°, 35; Me, H, 1piperidyl (IV), 104-6°, 82; Et, H, Et2N (V), 62-3°, 14; H, Et, 1-piperidyl, 133-6°, 34; Me. Et. morpholino, 152-3°, 58; H. 4-pyridyl, 1-piperidyl, 270°, 61; H, 3-pyridyl, morpholino, 195-7°, 51; H, 2-pyridyl, 1-piperidyl, 160-3°, 82. The structure of II was assigned by N.M.R. spectra. Acid treatment of II gave the following VI (R1, R2, m.p., and % yield given): (R1R2 =) (CH2)4, 126-9°, 71; H, Ph, 204-5°, 93; Ph, H, 80-2°, 50; Me, H, 146-9°, 60; Et, H, 102-3°, 68; H, Et, 137-40°, 85; Me, Et, 110°, 85; H, 4-pyridyl, 280-3°, 60; H, 3pyridyl, 194-5°, 62; H, 2-pyridyl, 240-3°, 50. Treatment of I with R4CH:CHR5 gave the following VII (R4, R5, m.p., and % yield given): H, OEt, 86-7°, 71; H, Ac, 110-11°, 54; H, PH, 132-3°, 62; H, 4-pyridyl, 171-2°, 13; H, 2-methyl-5-pyridyl, 144-5°, 15; H, 2-pyridyl, 138-9°, 69; (R4R5 =) (CH2)30 (VIII), 125-6°, 15; (R4R5 =) CONPhCO (IX), 245-6°, 56. N.M.R. spectra of II and VII showed that H in 4 and 5 positions in dihydrooxazole rings for VIII and IX are cis, and for IV, V, and VI trans.
- IT 14730-45-1P 14734-58-8P 14734-59-9P 14734-68-2P 14775-81-6P 21706-51-4P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and N.M.R. of)
- RN 14730-45-1 CAPLUS
- CN Pyridine, 2-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-(1-piperidinyl)-5isoxazolyl]- (CA INDEX NAME)

- RN 14734-58-8 CAPLUS
- CN Pyridine, 4-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

- RN 14734-59-9 CAPLUS
- CN Pyridine, 5-[4,5-dihydro-3-(5-nitro-2-furany1)-5-isoxazoly1]-2-methyl-

(CA INDEX NAME)

- RN 14734-60-2 CAPLUS
- CN Pyridine, 2-[4,5-dihydro-3-(5-nitro-2-furany1)-5-isoxazoly1]- (CA INDEX NAME)

- RN 14775-81-6 CAPLUS
- CN Pyridine, 4-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-(1-piperidinyl)-5isoxazolyl]- (CA INDEX NAME)

- RN 21706-51-4 CAPLUS
- CN Morpholine, 4-[4,5-dihydro-3-(5-nitro-2-furanyl)-5-(3-pyridinyl)-5isoxazolyl]- (CA INDEX NAME)

- IT 7194-23-2P 7197-35-5P 14734-52-2P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 7194-23-2 CAPLUS
- CN Pyridine, 2-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

RN 7197-35-5 CAPLUS

CN Pyridine, 3-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

RN 14734-52-2 CAPLUS

CN Pyridine, 4-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L5 ANSWER 42 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1966:67826 CAPLUS Full-text

DN 64:67826

OREF 64:12682h,12683a-f

TI 3-(5-Nitro-2-furyl)pyrazoles and -isoxazoles

IN Haber, Ralph G.; Schoenberger, Eva

PA Abic Ltd.

SO 17 pp.

DT Patent

LA Unavailable

FAN.CNT 1

AB

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 6504329		19651006	NL 1965-4329	19650405 <
PRAI	IL		19640405		

GI For diagram(s), see printed CA Issue.

A series of title compds. was prepared Difuroylmethane (10.2 g.) in 185 cc. dry CHG13 treated below -20° with 14.7 cc. concentrated H2004 and then 2.8 cc. concentrated HN03 in 25 cc. CHG13 during 0.5 hr., stirred 1 hr. at -20°, treated with 70 g. crushed ice, and stirred again 2 hrs. yielded 6.09 g. yellowish I (R = 2-furoyl) (III), m. 173-7° (Me2CO). Similarly prepared were the following I (R, m.p., and % yield given) Bz (III), 158-9° (iso-PrOH), 26; P-CLCGH4CO, 175.5°, -r.; p-MeSCH4CO, 175.5°, p-BrCGH4CO, 172-3° (iso-

PrOH), 25; 3-pyridoyl, 175°, --; 2-pyridoyl, 171°, --; 2-thenoyl, 219-21°, --. 2-Furoylacetylmethane (3.04 g.), b10 107-10°, in 125 cc. CHCl3 treated below -20° with 1.26 cc. 100% HNO3 and 6.02 cc. concentrated H2SO4, stirred 1.5 hrs. at -20°, diluted with iced H2O, and stirred 2 hrs. yielded 1.15 q. I (R = Ac) (IV), m. $116-17^{\circ}$ (iso-PrOH). Similarly prepared were the following I (R and m.p. given): EtCO, 118-19°; CC13CO, 191-3°; CF3CO, 180-3°. II (1.97 g.) in 70 cc. iso-PrOH treated with MeNHNH2 in 7 cc. H2O (from 1.5 q. sulfate) and refluxed 5 hrs. yielded 1.2 g. V (R = R' = Me), m. 142-4° (iso-PrOH). IV with PhNHNH2 gave similarly 70% V (R = Ph. R' = Me), m. 81.5-82°. III (1 g.) in 50 cc. boiling MeOH treated with 0.3 g. N2H4.H2O, refluxed 5 hrs. with stirring, and kept overnight gave the yellow V (R = H, R' = Ph) (VI), m. 216-17° (chromatographed on Al203. 3-(2-Furyl)-5-phenylpyrazole (2.09 g.) in 37 cc. CHCl3 treated at -20° with 3 cc. concentrated H2S04 and then 0.56 cc. concentrated HNO3 in 5 cc. CHCl3, kept 1 hr. at -20°, diluted with 10 g. ice, and kept overnight yielded 1.6 g. light yellow VI, m. 213-15°. 3,5-Difurylpyrazole (3.3 g.) in 65 cc. CHCl3 gave similarly with 4.85 cc. concentrated H2SO4 and 0.95 cc. concentrated HNO3 in 8.5 cc. CHCl3 V (R = H, R' = 2-furyl), m. 191-2.5° (aqueous Me2CO). 3-Furyl-5-(p-chlorophenyl)pyrazole (2.45 g.) gave similarly 1.55 g. V (R = H, R' = p-C1C6H4), m. 275-6° (MeOH). Similarly prepared were the following I (R = H) (R' and m.p. given): p-MeC6H4, 231-3°; Me, 216.5-17.5°; 2-pyridoyl, 259-9.5°; 3-pyridoyl, 284°. II (1.8 g.) in 50 cc. iso-PrOH and 2.9 g. NH2OH.HCl in 10 cc. H2O refluxed 5 hrs. yielded 1.21 g. yellow VII (R = 2-furyl) (VIII), m. 202.5° (iso-PrOH). 3,5-Difurylisoxazole (IX) (3 q.) in 100 cc. dry CHCl3 treated at -20° with 1.7 cc. concentrated HNO3 in 10 cc. CHCl3 and 8.8 cc. concentrated H2SO4 gave 3 g. light yellow VII (R = 5-nitro-2-furyl) (X), m. 224.5° (Me2CO). IX nitrated similarly but with only 50% nitrating agent gave a mixture of VIII and 3fury1-5-(5-nitro-2-fury1)isoxazole, m. 175°, which further nitrated gave X. IV (1.97 q.) in 50 cc. MeOH refluxed 2 hrs. with 2 q. NH2OH.HCl in 10 cc. H2O gave 1.8 g. brown VII (R = Me) (XI), m. 132-2.5° (iso-PrOH). 3-Furvl-5methylisoxazole (2.83 g.) with 6 cc. concentrated H2SO4 and 1.25 cc. concentrated HNO3 at -20° gave 2.2 g. XI, m. 132-2.5° (iso-PrOH). Similarly prepared was VII (R = Et), m. 128-9°. III (1 g.) in 50 cc. iso-PrOH refluxed 6 hrs. with 3 g. NH2OH.HCl in 10 cc. H2O gave 1 g. VII (R = Ph) (XII), m. 193-4° (iso-PrOH). 3-(2-Furyl)-5-phenylisoxazole (2.75 g.) in 48 cc. CHCl3 treated at -20° with 3.82 cc. concentrated H2SO4 and 0.73 cc. HNO3 in 6.5 cc. CHCl3 vielded 55% XII. Similarly prepared were the following VII (R and m.p. given): p-C1C6H4, 195°; p-BrC6H4, 209-10°; p-MeC6H4, 196-6.5°; thienyl, 189.5-91°; 4,3-MeO(O2N)C6H3, 235-6°; 2-pyridyl, 234-5°; 3-pyridyl, 193-4°. The activity of the V and VII against Staphylococcus aureus, Shigella sonnei and S. flexneri, Escherichia coli, Salmonella, Candida albicans, and Pseudomonas aeruginosa was determined; the results are tabulated. 5952-78-8P, Isoxazole, 5-(2-furvl)-3-(5-nitro-2-furvl)-5230-16-0P, Isoxazole, 3-(2-furyl)-5-(5-nitro-2-furyl)-

5230-17-1P, Isoxazole, 3,5-bis(5-nitro-2-furyl)-

7194-23-2P, Pyridine, 2-[3-(5-nitro-2-furyl)-5-isoxazolyl]-

7194-24-3P, Isoxazole, 3-(5-nitro-2-furyl)-5-(2-thienyl)-

7197-35-5P, Pyridine, 3-[3-(5-nitro-2-furyl)-5-isoxazolyl]-RL: PREP (Preparation)

(preparation of)

RN 5052-78-8 CAPLUS

ΙT

CN

Isoxazole, 5-(2-furanyl)-3-(5-nitro-2-furanyl)- (CA INDEX NAME)

10/574,612

- RN 5230-16-0 CAPLUS
 CN Isoxazole, 3-(2-furany1)-5-(5-nitro-2-furany1)- (CA INDEX NAME)
- O_{2N}
- RN 5230-17-1 CAPLUS
- CN Isoxazole, 3,5-bis(5-nitro-2-furanyl)- (CA INDEX NAME)

- RN 7194-23-2 CAPLUS
- CN Pyridine, 2-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

- RN 7194-24-3 CAPLUS
- CN Isoxazole, 3-(5-nitro-2-furanyl)-5-(2-thienyl)- (CA INDEX NAME)

- RN 7197-35-5 CAPLUS
- CN Pyridine, 3-[3-(5-nitro-2-furanyl)-5-isoxazolyl]- (CA INDEX NAME)

L5 ANSWER 43 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1965:463027 CAPLUS Full-text

DN 63:63027

OREF 63:11537b-q

TI Synthesis of pyridyl derivatives of 5-pyrazolone

AU Kuczynski, Leonard; Wykret, Leszek

CS Akad. Med., Wroclaw, Pol.

SO Dissertationes Pharmaceuticae (1964), 16(4), 485-93 CODEN: DIPHAH; ISSN: 0301-1615

DT Journal

LA Polish AB The in

The influence of the α -, β -, and γ -pyridyl, and Ph substituents in positions 3 and 4 on the pharmacol. activity of 5-pyrazolone derivatives were examined The phenylhydrazone (Ia) of picolinoylphenylacetic acid Me ester (I), m. 142-4° (MeOH), was obtained in 89% yield when the starting ester I (5 g.) in 50 ml. MeOH was refluxed with 3 g. PhNHNH2.HCl in 15 ml. H2O and 4 ml. C5H5N 1 hr. Nicotinoylphenylacetamide (IIa) (1.2 q.) kept with 0.7 q. PhNHNH2 at room temperature 24 hrs. vielded nicotinovlphenvlacetamide phenvlhydrazone (IIIa), m. 207-9° (ether-MeOH). Similarly from isonicotinoylphenylacetamide (IIb), its phenylhydrazone (IIIb), m. 241-3° (ether-MeOH), was obtained. IIa (12 q.) boiled with 6 q. PhNHNH2 in 30 ml. iso-BuOH during the continuous passage of N gave in 90.2% yield 1.4-diphenyl-3-(3-pyridyl)-5-pyrazolone (IVa), m. 221-3° (MeOH); IVa picrate m. 208-11° (EtOH). Similarly from IIb, 1,4-diphenyl-3-(4pyridyl)-5-pyrazolone (IVb), m. 235-7° (EtOH) (87.9% yield), was obtained; IVb picrate m. 246-9° (EtOH). 1,4-Diphenvl-3-(2-pvridvl)-5-pvrazolone (IVc), m. 188-9° (Me2CO), was prepared in 82% yield when 3.5 g. Ia in 30 ml. iso-BuOH or PhMe was refluxed 4 hrs. and then the mixture concentrated In this same way IIIa or IIIb yielded IVa or IVb, resp. IVc was also obtained in 78% yield when 4.8 g. picolinovlphenylacetamide (IIc) in 4 ml. PhNHNH2 was heated 2 hrs. at 100-10°. I (5 g.) and 3 g. PhNHNH2 in 20 ml. iso-BuOH refluxed 3 hrs. yielded 4.6 g. IVc. IIa (9.6 g.) in 50 ml. EtOH heated with 5 g. semicarbazide hydrochloride in 25 ml. H2O and 7 g. AcONa in 20 ml. H2O or 5 ml. C5H5N gave in 89.2% yield 3-(3-pyridyl)-4-phenyl-5-pyrazolone (Va), m. 255-7° (EtOH); Va picrate m. 231-5° (EtOH). Similarly from IIb or IIc 3-(4pyridyl)-4-phenyl-5-pyrazolone (Vb), m. 269-71° (EtOH), in 90.6% yield, or 3-(2-pvridvl)-4-phenvl-5-pvrazolone (Vc), m. 228-30° (MeOH), in 78.7% vield were obtained; Vb picrate m. 229-30° (EtOH) and Vc picrate m. 210° (EtOH). The above 3-pvridvl-4-phenvl-5-pvrazolones (V) were also obtained from 9.6 g. corresponding amide (II) and 3 g. thiosemicarbazide heated together 4 hrs. at 140-80°. Amides (II) (24 g.) in 100 ml. C5H5N refluxed with 11 g. N2H4.HC1 4 hrs. gave corresponding Va, Vb, and Vc. Similarly Vc was obtained from I. 1-Benzoyl-3-(3-pyridyl)-4-phenyl-5-pyrazolone (VIa), m. 194-6° (MeOH), was obtained in 82.8% yield when 2.4 q. Va was heated with 2 ml. BzCl 1 hr. at 70-5°. Similarly 1-benzovl-3(4-pvridvl)-4-phenvl-5-pvrazolone (VIb), m. 193-5° (EtOH), in 85.7%

1-benzoy1-3(4-pyriay1)-4-pheny1-3-pyrazolone (VID), m. 193-5° (ECOH), n. 85.% yield and 1-benzoy1-3-(2-pyriay1)-4-pheny1-5-pyrazolone (VIC), m. 192-3.5° (MeOH) (77.1% yield), were obtained from Vb and Vc, resp. 1-Acety1-3-(3-pyriay1)-4-pheny1-5-pyrazolone (VIIa) m. 225-7° (EtOH) was prepared in 71.4% yield from 2.4 g. Va in 20 ml. Ac20 and 2.5 ml. dry C5H5N boiled 3 hrs. In this same manner Vb and Vc gave 1-acety1-3-(4-pyridy1)-4-pheny1-5-pyrazolone (VIIb), m. 207-9° (ECOH) (78.5% yield), and 1-acety1-3-(2-pyridy1)-4-pheny1-5-

pyrazolone (VIIc), m. 135-7° (BtOH) (85.7% yield), resp. The benzoyl derivs. (VI) and acetyl derivs. (VII) (2 g.) heated 1 hr. with 50 ml. 5% NaOH in 70% BtOH yielded the starting 3-pyridyl-4-phenyl-5-pyrazolones (V).

- IT 2976-11-6 3120-92-9
 (Derived from data in the 7th Collective Formula Index (1962-1966))
- RN 2976-11-6 CAPLUS
- CN Isoxazole, 5-(5-bromo-2-furany1)-3-(2-furany1)- (CA INDEX NAME)



- RN 3120-82-9 CAPLUS
- CN Isoxazole, 5-(5-bromo-2-furanyl)-3-(2-thienyl)- (CA INDEX NAME)



- L5 ANSWER 44 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1965:463026 CAPLUS Full-text
- DN 63:63026
- OREF 63:11536q-h,11537a-b
- TI Furylalkynes. V. Synthesis of furyl-substituted pyrazoles and isoxazoles from derivatives of furylacetylene
- AU Vereshchagin, L. I.; Korshunov, S. P.; Skoblikova, V. I.; Lipovich, T. V.
- CS State Univ., Irkutsk
- SO Zhurnal Organicheskoi Khimii (1965), 1(6), 1089-94
- CODEN: ZORKAE; ISSN: 0514-7492
- DT Journal
- LA Russian
- AB cf. CA 63, 6943g. 1-Phenyl-3-(2-furyl)-1-propyn-3-ol added to MnO2 suspended in C6H6 and refluxed with gradual removal of H2O as an azcetrope gave 85.1% 1-phenyl-3-(2-furyl)-1-propyn-3-one (I), m 52°, bl 150-1° (2,4-dinitrophenylhydrazone m. 134°). Reduction with H over Raney Ni gave 91% 1-phenyl-3-(2-furyl)-3-propanone, b0.5 122°, n19D 1.5680. EtMgBr and PhC.tpibond.CH heated 5 hrs., then treated with 5-bromofurfural overnight gave after an aqueous treatment a crude solution of 1-phenyl-3-(5-bromo-2-furyl)-1-propyn-3-ol, which with MnO2 as above in 10 hrs. at room temperature gave 42.7% 1-phenyl-3-(5-bromo-2-furyl)-1-propyn-3-one (II), m. 68° (2,4-dinitrophenylhydrazone m. 234°). Similar reaction with 5-iodofurfural failed in Et2O, while in tetrahydrofuran it gave a very unstable 1-phenyl-3-(5-iodo-2-furyl)-1-propyn-3-one, m. 130° (2,4-dinitrophenylhydrazone m. 197°). I and N2H4.H2SO4 in hot EtOH gave in 20 min. 3-phenyl-5-(2-furyl)pyrazole, m. 172°;

II gave similarly 95% 3-phenyl-5-(5-bromo-2-furyl)pyrazole, m. 177-9°. The furylacetylenic ketones above and semicarbazide gave unidentified products as follows: I gave C14H11N3O2 m. 145°; II gave C9H8BrN3O2 m. 162-4° 1-(5-bromo-2fury1)-3-(2-fury1)-1-propyn-5-one gave C12H8BrN3O5 m. 123-5°. The furylacetylenic ketones and HONH2.HCl in hot aqueous EtOH gave the following: 3-(2-furyl)-5-phenylisoxazole m. 77-9°; 3-(5-bromo-2-furyl)-5-phenylisoxazole

m. 96.5-7°; 3-phenyl-5-(5-bromo-2-furyl)isoxazole m. 129-31°; 3-(2-furyl)-5-(5-bromo-2-fury1)isoxazole m. 82-3°; 3-(2-thieny1)-5-(5-bromo-2furv1)isoxazole m. 141-3°: 3-methv1-5-(5-bromo-2-furv1)isoxazole m. 15-20°, bl

105-10°. Furfurylideneacetophenone heated with HONH2.HCl in aqueous alc. KOH 4 hrs. gave 71.4% 3-phenyl-5-(2-furyl)isoxazoline m. 52-3°, which with Cr203 in AcOH gave 3-phenyl-5-(2-furyl)isoxazole m. 79-81°. Ir spectra of the products were reported.

2976-11-6P, Isoxazole, 5-(5-bromo-2-furyl)-3-(2-furyl)-ΤТ 3120-82-9P, Isoxazole, 5-(5-bromo-2-furyl)-3-(2-thienyl)-RL: PREP (Preparation) (preparation of)

2976-11-6 CAPLUS CN Isoxazole, 5-(5-bromo-2-furanv1)-3-(2-furanv1)- (CA INDEX NAME)

RM

3120-82-9 CAPLUS RN

CM Isoxazole, 5-(5-bromo-2-furanv1)-3-(2-thienv1)- (CA INDEX NAME)

- ANSWER 45 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1964:404201 CAPLUS Full-text
- 61:4201 DN

OREF 61:648g-h,649a-c

- Chemistry of selenophene. I. Orientation of enolization of ω-(2-thenoy1)-2-acetoselenophene and ω-benzov1-2-acetothiophene
- AU Yur'ev, Yu. K.; Magdesieva, N. N.; Titov, V. V.
- CS M. V. Lomonosov State Univ., Moscow
- Zhurnal Obshchei Khimii (1964), 34(4), 1078-81 SO CODEN: ZOKHA4: ISSN: 0044-460X
- DT Journal
- LA Unavailable

- GI For diagram(s), see printed CA Issue.
- AB Treatment of 6.3 g. 2-acetylthiophene and 8 g. selenophene-2-carboxaldehyde with MeONa-MeOH 3 days gave 56% 2-(2-selenophene-vlmethyleneacetyl)thiophene, m. 96-6.5°, which refluxed 2 hrs. with HONH2.HCl in aqueous alc. NaOH, then kept 1 day, gave 3-(2-thienyl)-5-(2-selenophene-yl)isoxazole, m. 88.5-89°, after heating the crude oily product with AcOH 2 hrs. Similarly, 2acetylselenophene and thiophene-2-carboxaldehyde in MeOH-MeONa gave 51% 2-(2thenylideneacetyl) selenophene, m. 74-5°, which with HONH2 as above gave 45% 5-(2-thienyl)-3-(2-selenophene-yl)isoxazole (I), m. 91-2°, after refluxing the intermediately formed 1-(2-selenophene-v1-carbony1)-2-hydroxyamino-2-(2thienyl)ethane oxime, m. 60-92°, with AcOH 2 hrs. I formed in 60% yield from 2-(2-thenov1-acetv1)selenophene and HONH2.HCl refluxed 4 hrs. in EtOHpyridine. 2-(Benzylideneacetyl)thiophene and HONH2.HCl in aqueous alc. NaOH refluxed 3 hrs., diluted, extracted with Et20, the aqueous layer aerated, and neutralized with HCl gave 28.5% 1-(2-thenoy1)-2-hydroxyamino-2- phenylethane oxime, m. 155-6.5°, which refluxed 4.5 hrs. in AcOH gave 72% 5-phenyl-3-(2thienvl)-isoxazole, m. 96-7°, ω -(2-Thenvlidene)acetophenone treated as above with HONH2 gave 16.5% 1-benzoyl-2-hydroxyamino-2-(2-thienyl)ethane oxime, m. 167-8°, which refluxed 3 hrs. in AcOH gave 58% 3-phenvl-5-(2thienyl)isoxazole, m. 96-7°, also formed by heating 2-(benzoylacetyl)thiophene with HONH2.HCl in EtOH-pyridine 4 hrs., followed by 1 day at room temperature; the residues gave 19% 2-(benzoylacetyl)thiophene monoxime, m. 163-4.5°.
- IT 94624-80-3P, Isoxazole, 3-selenophene-2-yl-5-(2-thienyl)-94624-81-4P, Isoxazole, 5-selenophene-2-yl-3-(2-thienyl)-RL: PREP (Preparation)
- (preparation of)
- RN 94624-80-3 CAPLUS
- CN Isoxazole, 3-selenophene-2-yl-5-(2-thienyl)- (CA INDEX NAME)



- RN 94624-81-4 CAPLUS
- CN Isoxazole, 5-selenophene-2-y1-3-(2-thieny1)- (CA INDEX NAME)



- L5 ANSWER 46 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1962:38458 CAPLUS Full-text
- DN 56:38458
- OREF 56:7292e-i,7293a-d
- TI Synthesis of linear octa isoxazoles
- AU Gaudiano, Giorgio; Ricca, Aldo; Quilico, Adolfo
- CS Politecnico, Milan
- SO Gazzetta Chimica Italiana (1960), 90, 1253-65

CODEN: GCITA9; ISSN: 0016-5603

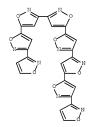
DT Journal

AB

- LA Unavailable
- GI For diagram(s), see printed CA Issue.

cf. CA 55, 17622g. -By the use of a previously established technique, the 5,5'difonnyl-3,3'-diisooxazole tetracetal (I), C16H24O6N2, needles m. 66-7° (hexane), was prepared with 48% yield by adding, with continuous cooling and stirring, 25.5 g. HC.tplbond.CCH(OEt)2 (Claisen, Ber. 31, 1022(1898)) in 75 ml. tetrahydrofuran (THF) to the reaction product of 50 g.Mg and 22.8 EtBr in 100 ml. THF, gradually (20 min.) heating to a boil, cooling over ice water, adding 7.85 g. dichloroglyoxime (Ponzio, CA 25, 80) in 30 ml. THF in about 15 min., letting stand 20 hrs., extracting with Et20 after diluting with crushed ice and NH40Ac, and working up the Et20 extract 5,5'-Diformyl-3,3'diisoxazole (II), needles, m. 159-60° (CH6), was obtained by hydrolysis of 1.5 g. I in 15 ml. EtOH, 20 ml. H2O, and 2 ml. concentrated HCl. The dioxime (III) of II, needles, m. 315° (decomposition) (pyridine), was prepared with 84% yield by refluxing 1 hr. 4.3 g. I in 20 ml. EtOH with 2 g. HONH2.HCl (in 15 ml. H2O); III was sublimated in vacuo. Dichlorodioxime (IV) of II, needles, m. 265° (decomposition), was prepared with 65% yield by suspending 0.9 g. III in 80 ml. aqua regia 1 day, filtering off the precipitate by suction, washing with H2O, and recrystg. from dioxane (1 mole of the solvent was removed from IV by drying over P205 in a pistol). 3,3', 5', 5'', 3'', 5''', 3''', 3''', 5'''', 3''''', 5''''', 5''''', 3''''''' - Octaisoxazole (V), not m. at 400°, resulted with 94% yield by treating 0.33 g. Mg with 1.45 g. EtBr in 10 ml. THF, adding 2.0 g. 5-ethynyl-3,3'diisoxazole (CA 54, 5618c) in 10 ml. THF, heating 1 hr. to 40-50°, cooling, adding drop by drop 0.9 g. IV in THF, agitating 2 hrs. more, keeping overnight, decomposing with ice and HCl, and collecting the precipitate The dioxime of 3,3'-diformvl-5,5'-diisoxazole (VI), (Gruenanger and Fabbri, CA 54, 3380f), small prisms, m. 224° (from EtOH), was similarly prepared with 20% yield by treating 16.5 g. Mg and 75 g. EtBr in 300 ml. THF with cooling and stirring, adding 14.4 g. diacetylene in 50 ml. THF, stirring 3.5 hrs., cooling with ice water, adding 23.5 g. β monochloroglyoxime in 60 ml. THF in 15 min., stirring until too gelatinous to continue, keeping 16 hrs. at room temperature, and extracting with Et20 after decomposing with ice and HCl. VI sublimed in vacuo. From the alc. mother liquors, 3-formyl-5-ethynylisoxazole oxime (VII), C6H4O2N2, needles (or prisms, by sublimation), m. 138-9°, was isolated as a by-product. Dichlorodioxime (VIII) of VI, microcryst, powder not m. at 360° (decomposed above 220°), was prepared by treating the VI dioxime in aqua regia, as described above for IV. 3,3', 5', 5prime;', 3'', 3''', 5''', 5'''', 3'''', 3'''', 5'''', 5''''', 3''''', 3''''''- Octaisoxazole (IX), not m. at 300°, was prepared in a manner analogous to that described for V, from VIII and the BrMq deriv, of 5-ethynyl-3,3'-diisoxazole, with a 27% yield. Oxidation of VII with KMnO4 vielded 3,5-isoxazoledicarboxylic acid, microcryst, powder, m. 212° (sublimes in vacuo at 130°) (CA 44, 4461f). Mild CrO3 oxidation yielded 5-ethynylisoxazole-3-carboxylic acid, shiny needles, m. 154-6° (sublimated in vacuo). An insol. brown product, probably a linear polvisoxazole (X), was obtained by treating 33 g. dichloroglyoxime with the BrMq derivative of diacetylene, by methods described above, with 5,5'diethynyl-3,3'-diisoxazole (XI), m. 129-31°, as a by-product. X did not m. at 300°, was insol. in water or solvents (as were V and IX), and stable to oxidation by KMnO4 or CrO3. XI also resulted by treating 16.3 g. diacetylene in 120 ml. THF with a solution prepared from 7.6 q. Mg and 34.4 q. EtBr in 200 ml. THF, as described earlier, and then adding in 11 min. at -15°, 11.8 g. dichloroglyoxime in 50 ml. THF.

(preparation of)
RN 89925-52-0 CAPLUS
CN 3,3':5',5':3'',5'':3''',3'''':5'''',5''''',5''''',3'''''
''-Octiiooxazole (7CI) (CA INDEX NAME)



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L5 ANSWER 47 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
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- AN 1961:93455 CAPLUS Full-text
- DN 55:93455

OREF 55:17622i,17623a-b

- TI Some new 2-arylamino-3-aryl-5-methyl-4-thiazolidones and 3-aryl-5-methyl-2,4-thiazolidones
- AU Bhargava, P. N.; Ram, Phulgan
- CS Hindu Univ., Banaras
- SO Journal of the Indian Chemical Society (1961), 38, 127-9 CODEN: JICSAH; ISSN: 0019-4522
- DT Journal
- LA Unavailable
- GI For diagram(s), see printed CA Issue.

- AB The aryliminothiazolidones were prepared from a diarylurea and MeCHCLCO2H and fused NaOAc in BtOH by refluxing for 5 hrs. to give RN.CO.CHMe.S.C:NR RA and m.p. given): Ph. 105°; o-tolyl, 110°; m-tolyl, 98°; p-tolyl, 160°; m-clC6H4, 122°; o-anisyl, 150°; o-phenetyl, 130°; p-phenetyl, 108°; β-naphthyl, 184°. The arylthioazolidones were prepared from a diarylthiourea and MeCHCLCO2H by refluxing in glacial HOAc for 3 hrs. to give RN.CO.CHMe.S.CO (R and m.p. given): Ph, 80°; o-tolyl, 105°; m-tolyl, 120°; p-tolyl, 140°; m-clC6H4, 120°; p-ClC6H4, 160°; o-anisyl, 125°; p-anisyl, 180°; o-phenetyl, 130°; p-phenetyl, 70°; α-naphthyl, 72°; β-naphthyl, 69°.
- IT 132273-42-1

(Derived from data in the 6th Collective Formula Index (1957-1961))

RN 122273-42-1 CAPLUS

CN 3,3':5',5'':3'',3''':5''',3'''':5'''',5''''':3''''',3'''''-Septiisoxazole (6CI) (CA INDEX NAME)

- (preparation of)
- RN 110357-84-1 CAPLUS
- CN 3,3':5',3'':5'',5''':3''''-Quinqueisoxazole (6CI) (CA INDEX NAME)

- L5 ANSWER 48 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1961:93454 CAPLUS Full-text
- DN 55:93454
- OREF 55:17622q-i
- TI Polvisoxazoles
- AU Ricca, Aldo; Gaudiano, Giorgio

- CS Politecnico Milan
 - O Atti accad. nazl. Lincei Rend., Classe sci. fis., mat. e nat. (1960), 28, 211-18
- DT Journal
- LA Unavailable
- AB cf. CA 54, 5618c. An extension of the reaction between hydroximic chlorides and acetylenic Grignard reagents gave 2 new polyisoxazoles, 3, 3'-5',3''-5'',5'''-3''', 3IV pentaisoxazole (I) and 3,3'-5',5''-3'',3'''-5''',3IV-5IV,5V-3V,3VI-heptaisoxazole (II). Excess 5-ethynyl-3,3'-biisoxazole (III) with 5-formyl-3,3'-biisoxazole chlorooxime gave 31.5% I, m. 275°, \(\lambda\) 265 mm. Excess III with 3,5-diformylisoxazole bis-(chlorooxime) gave 62% II, m. 245°, \(\lambda\) 268 mm. Infrared spectra and prepns. of intermediates are given. I and II sublimed in vacuo without decomposition and were not fluorescent in Woods light.
- IT 122273-42-1
 - (Derived from data in the 6th Collective Formula Index (1957-1961))
- RN 122273-42-1 CAPLUS
- CN 3,3':5',5'':3'',3''':5''',3'''':5'''',5'''':3''''',3'''''-Septiisoxazole
 (6CI) (CA INDEX NAME)

- (preparation of) RN 108725-82-2 CAPLUS
- 100725 02 2 0.
 - CN 1,2,5-Oxadiazole, 3,4-bis([3,3'-biisoxazol]-5-yl)-, 5-oxide (CA INDEX NAME)

RN 110357-84-1 CAPLUS CN 3,3':5',3'':5'',5''':3''',9'''-Quinqueisoxazole (6CI) (CA INDEX NAME)

L5 ANSWER 49 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1960:28682 CAPLUS Full-text

DN 54:28682

OREF 54:5618c-i,5619a TI Polvisoxazoles

AU Gaudiano, G.; Quilico, A.; Ricca, A.

CS Polytech., Milan

SO Tetrahedron (1959), 7, 24-30

CODEN: TETRAB; ISSN: 0040-4020

LA Unavailable

AR

cf. C.A. 52, 18375d. The reaction of hydroximic chlorides on acetylenic Grignard reagents was applied to the synthesis of unknown polyisoxazoles. Precipitated MnO2 (55 g.) added to 7.7 g. 5'-hydroxymethyl-3,3'-biisoxazole in 500 ml. Me2CO and the mixture kept 20 hrs. at room temperature, the filtered solution and Me2CO washings evaporated in vacuo and the residue refluxed 15 min. with 6.0 g. HONH2.HCl and 4.6 g. Na2CO3 in 100 ml. H2O, the cooled mixture made slightly alkaline with N NaOH and the filtered solution acidified with 10% HCl yielded 1.7 g. 5'-formyl-3,3'-biisoxazole oxime (I), m. 187-9° (H2O). I (1.0 g.) in 20 ml. dry CCl4 saturated 10 min. at 0° with Cl, kept overnight at 0-5° and filtered, the residue washed with dry CC14 and sublimed at 150-70°/0.5 mm. yielded 84% 3,3' biisoxazole-5'-formohydroximic chloride (II), m. 219-21°. II (2 g.) in 20 ml. tetrahydrofuran added portionwise with stirring and cooling in 15 min. to HC.tplbond.CMgBr (containing 0.53 g. Mg) in tetrahydrofuran and the mixture stirred 3 hrs. with cooling, kept overnight at room temperature and decomposed with ice and HCl, extracted with Et20 and the dried extract (Na2SO4) evaporated yielded 79% residue, crystallized (H2O) and sublimed to give pure 3,3';5',3''-triisoxazole (III), m. 153-5°, λ 239 m μ (log ε4.175, alc.). Tetrahydrofuran (100 ml.) containing 10 g. 3isoxazolylformohydroximic chloride added in 10 min. with stirring at 0° to (C.tplbond.CMqBr)2 prepared from 4.0 g. Mg and the mixture stirred 6 hrs., kept overnight at room temperature and decomposed with ice and HCl, filtered from 19% yield of 3,3';5',5'';3'',5'''-tetraisoxazole (IV) and the filtrate repeatedly extracted with Et20 yielded 6.3 g. pure 5'-ethynyl-3,3'-biisoxazole (V), m. 82-3° (C6H14). V (5 g.) in 50 ml. dry Et2O added in 30 min. with stirring to EtMgBr (from 0.84 g. Mg) and the cooled solution stirred 30 min., treated dropwise with 5.1 g. freshly distilled HC(OEt)3 in 100 ml. cold C6H6 and the Et20 evaporated, the residue refluxed 4 hrs. and the mixture decomposed with 10 g. NH4OAc in ice H2O, extracted with Et2O and the dried extract evaporated in vacuo gave crude 3,3'-biisoxazole-5'-propargylic

aldehyde diethyl acetal (VI). VI refluxed 2.5 hrs. with 2.5 g. HONH2.HCl in 40 ml. EtOH-H2O (3:1) and the alc. evaporated in vacuo, the residue diluted with H2O and filtered gave 0.4 g. 3,3',5',5''-triisoxazole (VII), m. 160-1° (H2O), λ 3 m μ (log ϵ 4.28, alc.). (C.tplbond.CH)2 (1.7 g.) in 15 ml. tetrahydrofuran added with cooling and stirring in 5 min. to EtMgBr (1.5 g. Mq) in 80 ml. tetrahydrofuran and the mixture stirred 2.5 hrs. at 20°, treated dropwise in 20 min. with 5 q. (C1C:NOH)2 in 50 ml. tetrahydrofuran and the mixture kept overnight, decomposed with ice H2O and HCl and the precipitate crystallized gave III, m. 265° (C6H6), λ 267 m μ (log ϵ 4.335). The acid filtrate extracted with Et20 gave 0.8 g. V. V (5 g.) in 25 ml. tetrahydrofuran added in 10 min, with stirring and cooling to EtMgBr (0.84 g. Mq) in 30 ml. tetrahydrofuran and the mixture heated 20 min. at 40° the solution cooled with ice and stirred with 1.37 g. (ClC:NOH)2 in 10 ml. tetrahydrofuran added in 10 min., the mixture stirred 1.5 hrs. at 20° and kept overnight, decomposed with ice and HCl and faltered vielded 40% 3.3';5',5''3'' 3''';5IV,5IV;3IV,3V-hexaisoxazole, m. 370° (decomposition), insol. in alc., subliming at 250-80°/0.5 mm. The infrared spectra show characteristically intense bands at 3.2, 6.5, 9.0 μ . VII and IV, containing a 5,5 linkage conjointly with 3,3 linkages show an ultraviolet spectrum similar to that of 5.5'-biisoxazole, λ 265 mu, whereas III with 3.5 linkage conjointly with 3.3 linkage has a spectrum very similar to that of 3,3'-biisoxazole, \(\lambda 240 \) mm. 112534-16-4P, 3,3':5',5''-Terisoxazole 112534-28-8P. 3,3':5',3''-Terisoxazole 112844-00-5P,

112534-16-4P, 3,3':5',5''-Terisoxazole 112534-28-8P, 3,3':5',3''-Terisoxazole 112844-60-5P, 3,3':5',5'':3'''-Quaterisoxazole 113895-66-2P, 3,3':5',5'':3''',3''''-Sexiisoxazole RL PREP (Preparation (preparation of) 112534-16-4 CAPLUS 3,3':5''-Terisoxazole (6CI) (CA INDEX NAME)

RN

CN

RN 112534-28-8 CAPLUS CN 3,3':5',3''-Terisoxazole (6CI) (CA INDEX NAME)

RN 112844-00-5 CAPLUS CN 3,3':5',5'':3'',3'''-Quaterisoxazole (6CI) (CA INDEX NAME)

RN 113895-66-2 CAPLUS CN 3,3':5',5'':3'',3''':5''',5'''':3'''',3'''''-Sexiisoxazole (6CI) (CA INDEX NAME)

- ANSWER 50 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN L5
- AN 1953:51506 CAPLUS Full-text
- DN 47:51506
- OREF 47:8725c-d
- ΤI Synthesis of 2-furancarboxylic acid
- Tanivama, Masakazu AU
- CS Toho Rayon Co. Ltd., Tokyo
- SO Kogyo Kagaku Zasshi (1951), 54, 248-50
 - CODEN: KGKZA7; ISSN: 0368-5462
- DT Journal
- T.A Unavailable
- AB Addnl. remarks are given on the improvement of the Quaker Oats method (U.S. patent 2,041,184, (C.A. 30, 4515.7) for the preparation of 2-furancarboxylic acid by the direct oxidation of furfural.
- ΙT 872788-74-4F, Isoxazole, 3,5-di-2-furyl-RL: PREP (Preparation)
 - (preparation of)
- RN
- 872788-74-4 CAPLUS
- CN Isoxazole, 3,5-di-2-furanyl- (CA INDEX NAME)



- L5 ANSWER 51 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 1953:51505 CAPLUS Full-text
 D1 47:51505
 OREF 47:8724i,8725a-c
 T1 D1- and tri-2-furoylmethane
 AU Hammond, George S.; Schultz, Frederick S.
 CS Iowa State Coll., Ames
 SU Journal of the American Chemical Society (1952), 74, 329-32
 CODEN: JACSAT; ISSN: 0002-7863
- DT Journal

ΔR

- LA Unavailable
 - Di- (I) and tri-2-furoylmethane (II) were identified as by-products in the synthesis of 2-acetylfuran (III) from furoyl chloride and Me2Cd. The nearultraviolet absorption spectra of the ketones indicate that both are highly enolized in EtOH. The spectra of the enolate anions are strikingly similar to those of the enols. This phenomenon appears to be general and indicates that the bond orbitals of the terminal O atoms of a β -ketone system are essentially unhybridized in the enoles as well as in the enolate ions. III (10 q.) in 50 cc. Et20 added dropwise to 13 g. Et furoate and 6 g. NaOEt at reflux temperature, the mixture refluxed 2 hrs., extracted with 100 cc. KOH, diluted with 400 cc. Et2O, extracted with 50 cc. KOH, and the alkaline exts. acidified yielded 9 g. I, m. 70.5-2° PhMe (50 cc.) containing 3.68 g. I and 0.326 g. Na refluxed until the Na dissolved, 3 g. furoyl chloride added, the mixture diluted with Et20, extracted with 10% NaOH, the extract acidified, the precipitate extracted (Soxhlet) with Skellysolve A, the residue extracted with EtOH, and the extract diluted with water yielded 2.67 g. II, m. 193°. I and II yielded di-2-furoylmethane dioxime, m. 174-8°. Either I or II with HONH2.HCl by the method of Wislicenus [Ann. 308, 219(1898)] yielded 3,5-di-2furylisoxazole, m. 112 (from H2O-EtOH).
- IT 872788-74-4P, Isoxazole, 3,5-di-2-furyl-
 - RL: PREP (Preparation) (preparation of)
- RN 872788-74-4 CAPLUS
- CN Isoxazole, 3,5-di-2-furanyl- (CA INDEX NAME)

- L5 ANSWER 52 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1942:18623 CAPLUS Full-text
- DN 36:18623

OREF 36:2860g-i,2861a-i,2862a-f

- TI Triisoxazoles
- AU Musante, Carlo
- SO Gazzetta Chimica Italiana (1941), 71, 172-82 CODEN: GCITA9; ISSN: 0016-5603
- DT Journal
- LA Unavailable
- GI For diagram(s), see printed CA Issue.

AB

The earlier expts. (Quilico and M., C. A. 35, 3638.5; M., C. A. 35, 7962.5) were continued by a study of compds. containing more than 2 isoxazole nuclei united directly. Of 27 triisoxazoles theoretically possible, 4 isomeric dimethyltriisoxazoles (the 1st triisoxazoles to be described) were chosen. Their 4 parent triisoxazoles are the only ones containing a normal chain of C atoms, i.e., in which the union between any 2 nuclei is through the $\alpha, \gamma(3,5)$ positions. The di-Me derivs, were prepared because of the difficulty of preparing the unsubstituted triisoxazoles. After studying various general procedures by which these triisoxazoles can theoretically be synthesized, it was finally decided to prepare the isoxazole- β -diketone, RCOCH2COR', and, by the action of NH2OH (I) on the latter, to form the triisoxazole. A mixture of MeMgI (from 42.6 g. MeI and 7.3 g. Mg in anhydrous Et20 (II)) and O.N:CMe.CH:CCOC1 (III) (14.6 g.) in II, heated several min. at 100° the amorphous product decomposed with ice-cold 5% aqueous H2SO4, extracted with Et2O, the extract washed with aqueous Na2S2O4, dried by CaCl2, evaporated, and the oil purified by saturating its aqueous solution with (NH4)2SO4, yields (3methyl-5-isoxazolyl)dimethylcarbinol, O.N:CMe.CH:CC(OH)Me2 (IV), slightly thick oil, b8-9 108-9°, b22 115-16°, d427.6 1.0596, nD27.6 1.46791. Its solns, in concentrated H2SO4 turn brown-red when heated. It does not react with hot concentrated aqueous alkalies, nor with p-O2NC6H4NHNH2. It is volatile with steam. Better yields of IV can be obtained by warming a mixture of O.N:CMe.CH:CCO2Et (V) (47 g.) in II and MeMgI (from 90 g. MeI and 15 g. Mg in II) at $36-7^{\circ}$ until the reaction is complete, allowing to stand several hrs. (frequent agitation) and proceeding as before; 31.6 g. (74%) of IV is obtained. The same procedure used in preparing IV can be used for preparing (3-methyl-5-isoxazolyl)diethylcarbinol, thick oil, b22 132°, d417 1.0493, n417 1.47536. When heated several min. with P205, it does not react. IV and P205 (0.5 part by weight), heated cautiously (heat is evolved), the product treated with water, the separated oil extracted with Et20, the residue dried with CaCl2 and fractionally distilled in vacuo, yield 3-methyl-5-isopropenylisoxazole, O.N:CMe.CH:CC(:CH2) Me (VI), b22 100-5°, b760 181-3° (the distillate is vellowish); when heated to its decomposition point, NH3 is evolved. The dehydration of IV can be accomplished also by refluxing for several min. a mixture of 31.6 g. IV and 20 g. AcCl (HCl is evolved), allowing to stand 2 hrs., diluting with water, steam-distilling and extracting the distillate with Et20. The yield of VI is 24.1 g. (88%). Aqueous KMnO4 (19.73 g. in 575 cc.), added dropwise to a suspension of 6.5 g. VI in 155 cc. 10% H2SO4 at 0-5°, most of the MnO2 eliminated by (CO2H)2, extracted with Et2O, and the residue distilled in vacuo, vields O.N:CMe.CH:CAc (VII) (Ouilico, Panizzi and Epifani, C. A. 34, 1316.5). V (6.2 g.) and 2.5 g. VII, fused together, 0.46 g. Na added (heat is evolved, the mixture turns dark red, and must be cooled with ice-water), II added, allowed to stand several hrs., the Na salt washed with Et20, dissolved in ice-water, acidified with dilute H2SO4, and the precipitate purified by EtOH, yield bis(3-methyl-5-isoxazoyl)methane, [O.N:CMe.CH:CCO]2CH2 (VIII), m. 180-1°, soluble in dilute aqueous NaOH (repptd, by acids); with alc. FeCl3 it gives a red color. In dilute EtOH, it gives with Cu(OAc)2 a green Cu salt, C22H18O8N24Cu, turns yellow at 115°, gray at 180°-210°, maroon-red at 240°, and brown at 263°. Alc. VIII (2.34 g.), 1.4 g. I.HCl and aqueous NaOH (0.8 g.), refluxed 2 hrs., most of the EtOH eliminated, diluted with water, allowed to stand, and the precipitate purified by dilute EtOH, yield the dioxime, [O.N:CMe.CH:C(C:NOH)]2-CH2, m. 212-14°, soluble in dilute aqueous alkalies (repptd. by acids). It gives no color with FeCl3. It is easily benzoylated in alkaline solution When treated with concentrated HCl at 100% evaporated almost to dryness, the residue extracted with water, and purified by EtOH, it yields γ, γ'' -dimethyl- $\alpha, \alpha', \gamma', \alpha''$ triisoxazole, (IX), m. 235°. It is not altered by boiling 20% aqueous NaOH or by boiling concentrated HC1. Alc. VIII and PhNHNH2 (equimol. wts.), refluxed, and the product purified by EtOH, yield 1-pheny1-3,5-bis(3-methy1-5isoxazolyl)pyrazole, O.N:CMe.CH:CC:N.NPh.C(C:CH.CMe: N.O):CH, m. 154-5°,

insol. in aqueous alkalies. 5-Methylisoxazole-3-carboxylic acid (Mumm and Bergell, C. A. 7, 1010) (7.5 g.), 1 cc. concentrated H2S04 and 20 cc. absolute EtOH, refluxed 3 hrs., and then the same procedure followed as in the preparation of V, yield 5-methyl-3-carbethoxyisoxazole, HC:CMe.O.N:CCC2Et (X), b33 130°, odor similar to that of V. X (1.53 g.), 1.25 g. 5-methyl-3-acetylisoxazole and 0.23 g. Na in II react vigorously, and form a yellow Na salt, which, treated as in the preparation of VIII, yields bis(5-methyl-3-isoxazoyl) methane, [HC:CMe.O.N:CCO]2CH2 (XI), m. 142°. With alc. FeCl3 it gives an intense red color. With Cu(OAc)2 it forms a light green Cu salt, C22H1800NaCu, decomps. 243°. Alc. XI (0.243 g.), 0.28 g. I.RCl and 0.212 g. Na2CO3, heated at 100°, most of the EtOH evaporated, concentrated HCl added, heated again at 100°, and the product purified by EtOH, yield α, α '-dimethyl- γ, α', γ' ''-

triisoxazole, HC:CMe.O.N:CC:CH.C(C:N.O.CMe:CH):N.O (XII), m. 201°, insol. in boiling aqueous alkalies. V (3.3 g.), 2.7 g. O.N:CAc.CH:CMe (XIII) (Ajello and Cusmano, C. A. 34, 99.1) and 0.5 g. Na do not react in II but, in the same proportions without a solvent, heat is evolved, condensation takes place, and the product, extracted with Et20, and the evaporated extract purified by EtOH, vields (5-methyl-3-isoxazovl)(3-methyl-5-isoxazovl) methane, O.N: CMe.CH:CCOCH2COC:N.O.CMe:CH (XIV), m. 153-4°. With Cu(OAc)2 and purification by glacial AcOH, XIV forms a Cu salt, decomps. approx. 250°. XIV is formed also from VII and X in the same way. With I under the same conditions as those used with VIII and with XI, XIV gives, after repeated crystns. from EtOH, a mixture which m. 226-8° but which could not be separated into its components, which are probably O.N:CMe.CH:CC:CHC(C:N.O.CMe:CH):N.O (XV) and O.N:CMe.CH:CC:N.O.C(C:N.O.CMe:CH):CH (XVI). Detns. of the m. ps. of mixts. of IX and XII in various proportions indicate that they form solid solns., as do the 5,3- and 3,5-derivs. of isoxazole (Quilico, et al., C. A. 33, 1728.3). IX, XII, XV and XVI should form complex salts analogous to the coordination compds. of polypyridyls with various salts of metals of different valences. If, furthermore, they undergo the Claisen condensation, compds. with a very high number of nuclei should be obtainable, and these high-mol, compds, may be of interest in connection with the general subject of polymers.

IT 850856-29-0P, Isoxazole, 3,5-bis(5-methyl-3-isoxazolyl)-

850856-30-3P, 5,5'-Biisoxazole, 3-methyl-3'-(3-methyl-5-isoxazolyl)-

RL: PREP (Preparation)

(preparation of) RN 850856-29-0 CAPLUS

CN 3,3':5',3''-Terisoxazole, 5,5''-dimethyl- (9CI) (CA INDEX NAME)

RN 850856-30-3 CAPLUS

CN 5,3':5',5''-Terisoxazole, 3,3''-dimethyl- (9CI) (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L5 ANSWER 53 OF 53 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1912:19856 CAPLUS Full-text

DN 6:19856

OREF 6:2749c-a

TI Syntheses in the Pyrrole Group. V. Pyrrolic $\alpha-$, $\beta-$ and

AU Oddo, Bernardo; Dainotti, Cesarina

CS Univ. Pavin

SO Gazzetta Chimica Italiana (1912), 42(I), 716-26 CODEN: GCITA9: ISSN: 0016-5603

T Journal

LA Unavailable

GI For diagram(s), see printed CA Issue.

- AB cf. C. A., 5, 2638. α, α -Dipyrryl- β, β -propanedione (I), from CH2(COC1)3 and 2 mols. C4H4NMgI in Et2O, lemon-yellow, soluble without change in alks., gives an intense green color with Fecl3 in alc., imparting a red color to CHCl3; gives with Cu(OAc)2 a salt, [(C4H4NCO)2CH]2Cu. insol. in H2O; with AgNO3 and a drop of NH3 a lemon-vellow precipitate changing to brick-red, having the comp. (AqNC4H3CO) 2CH3, soluble in excess of NH3. With 1.5 mols. PhNHNH2.AcOH in alc. the diketone gives I-phenyl-3,5-dipyrrylpyrazole (II), pale yellow, m. about 166° (decompose); Na and alc. reduce the 2 pyrryl nuclei to pyrroline or pyrrolidine residues and as the reduction continues the pyrazole group is also attacked and a H2SO4 solution of the product exposed to the air soon gives the garnet-red color characteristic of pyrazoline. B. 20 hrs. in alc. with 1.5 mols. NH2OH.HCl and Na2CO3, the diketone yields dipyrrylisoxazole (III), m. about 167°, feebly basic. B. 2 hrs. with 40% KOH, the diketone is converted into C4H4NAc and α -C4H4NCO2H. α, α -Dipyrryl- γ, γ -butanedione, from (CH2COC1)2 and C4H4NMqI, silvery needles, m. 234-5° (decompose), insol. in cold., soluble without change in hot alks. Dioxime, obtained by b. the diketone in concentrate alc. solution 20 hrs. with excess of NH2OH, HCl and Na2CO3, microcryst. powder, decompose about 175°. With 1.5 mols. NH2OH is obtained the monooxime, pale vellow, m. 147°, unchanged by heating in alc. in sealed tubes up to 120°. The diketone is stable towards fused KOH or in sealed tubes at 140-50°.
- IT 861592-07-6P, Isoxazole, 3,5-di-2-pyrryl-RL: PREP (Preparation) (preparation of)

RN 861592-07-6 CAPLUS

CN Isoxazole, 3,5-di-1H-pyrrol-2-yl- (CA INDEX NAME)

OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

=> s 14 not 15 L6 44 L4 NOT L5

=> dis 16 1-44 bib abs fhitstr

L6 ANSWER 1 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2009:1035199 CAPLUS <u>Full-text</u>

DN 151:234956

TI Isoxazolyl-thiazole derivatives as fungicidal compounds and their preparation and use in controlling plant disease

IN Hanagan, Mary Ann; Pasteris, Robert James

PA E. I. du Pont de Nemours and Company, USA SO PCT Int. Appl., 210pp.

SO PCT Int. Appl. CODEN: PIXXD2

DT Patent

LA English

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		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	
		GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	KE,	KG,	KM,	KN,	
		KΡ,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	MG,	MK,	MN,	
		MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,	
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,	TM,	TN,	TR				
	RW:	ΑT,	BE,	BF,	ΒJ,	CF,	CG,	CH,	CI,	CM,	CY,	DE,	DK,	ES,	FI,	FR,	GA,	GB,	
		GR,	ΙE,	IS,	IT,	LU,	MC,	ML,	MR,	MT,	NE,	NL,	NO,	PT,	SE,	SN,	TD,	TG,	TR
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GI																			

Page 88 of 155

II

AB Disclosed are compds. of formulas I, including all geometric and stereoisomers, N-oxides, and salts thereof. Also disclosed are compns. containing the compds. of formula I and methods for controlling plant disease caused by a fungal pathogen comprising applying an effective amount of a compound or a composition of the invention. Compds. of formula I wherein R1 is (un)substituted Ph, (un)substituted 5- to 6-membered heteroary1 and (un) substituted naphthalenyl; A is (un) substituted methylene and NH and derivs.: W is O and S: X is ethylene, methyleneaming, ethenylene, propenylene, etc.; each R2 is independently C1-4 alkyl, C1-4 alkenyl, C1-4 haloalkyl, halo, etc.; G is (un)substituted 5-membered heterocyclic ring; J is (un)substituted 5- to 7-membered ring; (un)substituted 8- to 11-membered bicyclic ring system, and (un)substituted 7- to 11-membered spirocyclic ring; n is 0, 1 and 2; and their N-oxides and salts, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their fungicidal activity. Compound II showed 91 - 100 % control of the fungal plant disease. [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints. 1175091-54-9P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

(preparation of isoxazolylthiazole derivs. as fungicides)

RN 1175091-54-9 CAPLUS

CN

Ethanone, 1-[4-[4-[4,5-dihydro-5-(3-phenyl-2-thienyl)-3-isoxazolyl]-2-thiazolyl]-1-piperidinyl]-2-[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]-(CA INDEX NAME)

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L6 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
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AN 2009:913028 CAPLUS Full-text

DN 151:173451

TI Isoxazolyl-thiazole derivatives as fungicidal compounds and their preparation and use in controlling plant diseases

IN Kamireddy, Balreddy; Pasteris, Robert James; Hanagan, Mary Ann

PA E. I. du Pont de Nemours and Company, USA

SO PCT Int. Appl., 260pp. CODEN: PIXXD2

OT Patent

LA English

FAN.	CNT	1																
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PI	WO	2009	0944	45		A2		2009	0730		WO 2	009-	US31	686		2	0090	122
		W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,

FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,

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KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY T, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, BG, GR, HR, HU, LE, 1S, 1T, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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PRAI US 2008-62395P OS MARPAT 151:173451

GI

AB Disclosed are compds. of Formula (1), including all geometric and stereoisomers, N-oxides, and salts thereof. Also disclosed are compns. containing the compds. of formula I and methods for controlling plant disease caused by a fungal pathogen comprising applying an effective amount of a compound or a composition of the invention. Compds. of formula I wherein E is acyl, iminomethyl, sulfonyl, aminocarbonyl, etc.; X is ethylene, methylamino, ethenylene, propenylene, propylene, etc.; Z1 is a bond, O, CO, S, SO, SO2, etc.; J is (un)substituted 5- to 7-membered ring, (un)substituted 8- to 11membered bicyclic ring, and (un)substituted 7- to 11-membered spirocyclic ring; G is (un)substituted 5-membered heterocyclic ring; each R2 is halo, CN, OH, C1-4 alkvl, C1-4 alkenvl, etc.; n is 0, 1 and 2; dotted line is single or double bond; and their N-oxides and salts, are claimed. Example compound II was prepared by substitution of Me 4-[4-(4,5-dihydro-5-phenyl-3-isoxazolyl)-2thiazolyl]-N-(2,5- dimethylphenyl)-1-piperidinecarboximidothioate with methanol. All the invention compds. were evaluated for their fungicidal activity. Compound II showed 99 - 100 % control of the fungal plant diseases. 1174200-22-6P IT

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPM (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

(preparation of isoxazolylthiazole derivs. as fungicides)

RN 1174200-22-6 CAPLUS

CN 1(2H)-Pyridinecarboximidothioic acid,

4-[3-[5-(2,6-difluorophenyl)-4,5-dihydro-3-isoxazolyl]-5-isothiazolyl]-N-(2,5-dimethylphenyl)-3,6-dihydro-, methyl ester (CA INDEX NAME)

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L6 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2009:911588 CAPLUS Full-text
DN 151:173450
TI
    Isoxazolyl-thiazole derivatives as fungicidal compounds and their
    preparation and use in controlling plant disease
     Hanagan, Mary Ann; Pasteris, Robert James
PA
    E. I. du Pont de Nemours and Company, USA
SO
    PCT Int. Appl., 210pp.
    CODEN: PIXXD2
DT
    Patent
LA English
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FAN.	CNT	1																
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PI	WO	2009	0944	07		A2		2009	0730		WO 2	009-	US31	518		2	0090	122
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			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
			KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ТJ,
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,
			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
			TD,	TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,
			ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM						
PRAI	US	2008	-623	67P		P		2008	0125									

20080125

OS MARPAT 151:173450

GI

ΙI

$$\begin{array}{c} R1 = A \\ N \end{array} \begin{array}{c} X = 21 = J \\ R2 \end{array} \begin{array}{c} N \\ N \end{array} \begin{array}{c} X = 21 = J \\ R2 \end{array} \begin{array}{c} N \\ N \end{array} \begin{array}{c} N \end{array} \begin{array}{c} N \end{array} \begin{array}{c} N \\ N \end{array} \begin{array}{c} N \end{array} \begin{array}{c} N \end{array} \begin{array}{c} N \\ N \end{array} \begin{array}{c} N \\ N \end{array} \begin{array}{c} N \end{array} \begin{array}{c}$$

- AB Disclosed are compds. of formulas I, including all geometric and stereoisomers, N-oxides, and salts thereof. Also disclosed are compns. containing the compds. of formula I and methods for controlling plant disease caused by a fungal pathogen comprising applying an effective amount of a compound or a composition of the invention. Compds. of formula I wherein R1 is (un)substituted Ph, (un)substituted 5- to 6-membered heteroaryl and (un) substituted naphthalenvl; A is (un) substituted methylene and NH and derivs.; W is O and S; X is ethylene, methyleneamino, ethenylene, propenylene, etc.; each R2 is independently C1-4 alkyl, C1-4 alkenyl, C1-4 haloalkyl, halo, etc.; G is (un)substituted 5-membered heterocyclic ring; J is (un)substituted 5- to 7-membered ring; (un)substituted 8- to 11-membered bicyclic ring system, and (un)substituted 7- to 11-membered spirocyclic ring; n is 0, 1 and 2; and their N-oxides and salts, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their fungicidal activity. Compound II showed 91 - 100 % control of the fungal plant disease. [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints. ΙT 1174990-56-7P
 - RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)
- (preparation of isoxazolylthiazole derivs. as fungicides) RN 1174990-56-7 CAPLUS
- CN Ethanone, 1-[4-[3-[4,5-dihydro-5-(2-phenoxyphenyl)-3-isoxazolyl]-5-isothiazolyl]-3, edhydro-1(2H)-pyridinyl]-2-[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-vl]- (CA INDEX NAME)

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L6
    ANSWER 4 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
    2009:737404 CAPLUS Full-text
AN
DN
    151:56853
ΤI
    Preparation of novel heteroaromatic compounds as inhibitors of
```

stearoyl-coenzyme A delta-9 desaturase (SCD)

IN Li, Chun Sing; Ramtohul, Yeeman K.; Leclerc, Jean-Philippe PA Merck Frosst Canada Ltd., Can.

PCT Int. Appl., 70pp. CODEN: PIXXD2 SO

DT Patent LA English

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		W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
			KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
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			TM,	TN,	TR,	ΤT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	zw		
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			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
			TG,	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM							
PRAI	US	2007	-723	3P		P		2007	1211									

MARPAT 151:56853

os

GI

- AB The title compds. I [HetAr-W-X-Sr, X = 0, S, S(0), SO2, (un)substituted NH or CH2; W = (un)substituted phenylene, pyridinylene, pyrimidylene, etc.; HetAr = heteroaryl-substituted thiodiazolyl, oxadiazolyl, thiazolyl, etc.; Ar = (un)substitited Ph or naphthyl] that are inhibitors of stearoyl-CoA delta-9 desaturase (SCD), and therefore useful for the prevention and treatment of conditions related to abnormal lipid synthesis and metabolism, including cardiovascular disease, atherosclerosis, obesity, diabetes, neurol. disease, metabolic syndrome, insulin resistance, cancer, liver steatosis and non-alc. steatohepatitis, were prepared E.g., a multi-step synthesis of II, starting from 4-fluorobenzaldehyde and 2-bromo-5-fluorophenol, was given. Compds. I, particularly exemplified compds. I, exhibit an inhibition constant IC50 of less than 1 μM and more typically less than 0.1 μM. Pharmaceutical composition comprising the compound I is disclosed.
- IT 1161025-79-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel heteroarom. compds. as inhibitors of stearoyl-CoA delta-9 desaturase (SCD))

- RN 1161025-79-1 CAPLUS
- CN 2H-Tetrazole-2-acetic acid, 5-[3-[6-(2-bromo-5-fluorophenoxy)-3-pyridiny1]-5-isoxazoly1]- (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

- L6 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2009:709285 CAPLUS Full-text
- DN 150:554527
- TI Heterocyclic compounds as fungicides and their preparation and fungicidal mixtures
- IN Gregory, Vann; Pasteris, Robert James
- PA E. I. Du Pont De Nemours and Company, USA
- SO PCT Int. Appl., 498pp.

CODEN: PIXXD2 DT Patent LA Enalish PATENT NO. KIND DATE APPLICATION NO. DATE PΙ WO 2009055514 A2 20090430 WO 2008-X080850 20081023 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, MT, NE, NL, NO, PT, SE, SN, TD, TG, TR PRAI US 2007-2P 20071023 US 2008-62400P 20080125

GT

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph, naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un)substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting

1.1-dimethylethyl 4-[4-(4.5-dihydro-5-phenylisoxazol-3-v1)-2- thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4,5-dihydro-5phenylisoxazol-3-v1)-2-thiazolv1]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.1

1151986-52-5P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic): SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

RN 1151986-52-5 CAPLUS

CN 3H-1,2,4-Triazole-3,5(4H)-dione, 4-[(5R)-4,5-dihydro-3-[2-[1-[2-methoxy-2-[5-methyl-3-(trifluoromethyl)-lH-pyrazol-l-yl]acetyl]-4-piperidinyl]-4thiazolv11-5-isoxazolv11- (CA INDEX NAME)

Absolute stereochemistry.

- L6 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2009:709284 CAPLUS Full-text
- DN 150:554526
- Heterocyclic compounds as fungicides and their preparation and fungicidal TΙ mixtures
- IN Gregory, Vann; Pasteris, Robert James
- PA E. I. Du Pont De Nemours and Company, USA
- SO PCT Int. Appl., 498pp. CODEN: PIXXD2

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	W:	ΑE,	AG,	AL,	AM,	ΑΟ,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	ΒZ,	CA,	
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	
		GD,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KN,		
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	RW:	AT,	BE,	BF,	ВJ,	CF,	CG,	CH,	CI,	CM,	CY,	DE,	DK,	ES,	FΙ,	FR,	GΑ,	GB,	
		GR,	ΙE,	IS,	IT,	LU,	MC,	ML,	MR,	MT,	ΝE,	NL,	NO,	PT,	SE,	SN,	TD,	ΤG,	TR
PRAI	US	2007	-2P	200	7102	3													

US 2008-62400P 20080125

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph, naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroarv1 and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un) substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1.1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1.1-dimethylethyl 4-[4-(4.5-dihydro-5-phenylisoxazol-3-yl)-2- thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4,5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.l

IT 1151984-40-5P

CN

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

RN 1151984-40-5 CAPLUS

 $\begin{array}{ll} \mbox{H-Pyrrole-2,5-dione, } 1-[(5R)-4,5-dihydro-3-[2-[1-[2-hydroxy-2-[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolyl]-5- \end{array}$

isoxazolyl]- (CA INDEX NAME)

Absolute stereochemistry.

- L6 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2009:709283 CAPLUS Full-text
- DN 150:554525
- Heterocyclic compounds as fungicides and their preparation and fungicidal mixtures
- IN Gregory, Vann; Pasteris, Robert James
- PA E. I. Du Pont De Nemours and Company, USA
- PCT Int. Appl., 498pp. SO
- CODEN: PIXXD2 DT Patent
- English

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		GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	
		ΚP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	MG,	MK,	MN,	
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		GR,	ΙE,	IS,	ΙT,	LU,	MC,	ML,	MR,	MT,	NE,	NL,	NO,	PT,	SE,	SN,	TD,	TG,	TR

PRAI US 2007-2P 20071023 US 2008-62400P 20080125

GI

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition. Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph. naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un) substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-yl)-2-thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4.5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.l

IT 1151984-50-7P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

RN 1151984-50-7 CAPLUS

CN 1H-Pyrrole-2,5-dione, 1-[(5R)-3-[2-[1-[2-(2,5-dichlorophenyl)-2-methoxyacetyl]-4-piperidinyl]-4-thiazolyl]-4,5-dihydro-5-isoxazolyl]- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 8 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN L6

AN 2009:709282 CAPLUS Full-text

DN 150:554524

Heterocyclic compounds as fungicides and their preparation and fungicidal mixtures

IN Gregory, Vann; Pasteris, Robert James

E. I. Du Pont De Nemours and Company, USA PA

so PCT Int. Appl., 498pp. CODEN: PIXXD2

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		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	
		GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	
		KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	MG,	MK,	MN,	
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	RW:	AT,	BE,	BF,	ВJ,	CF,	CG,	CH,	CI,	CM,	CY,	DE,	DK,	ES,	FΙ,	FR,	GA,	GB,	
		GR,	ΙE,	IS,	ΙT,	LU,	MC,	ML,	MR,	MΤ,	ΝE,	NL,	NO,	PΤ,	SE,	SN,	TD,	TG,	TR
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GI

Page 100 of 155

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition. Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph. naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroarvl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un)substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; 21 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-yl)-2- thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4,5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.1

IT 1151984-10-9P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREF (Preparation)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

RN 1151984-10-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

- L6 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2009:701288 CAPLUS Full-text
- DN 150:554523
- TI Heterocyclic compounds as fungicides and their preparation and fungicidal mixtures

10/574,612

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Gregory, Vann; Pasteris, Robert James
PA
     E. I. Du Pont De Nemours and Company, USA
SO
     PCT Int. Appl., 498pp.
     CODEN: PIXXD2
DT
     Patent
     English
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     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                  DATE
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     WO 2009055514 A2
                                20090430
                                         WO 2008-XK80850
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         CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB,
         GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
         KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN,
        MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,
         SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR
     RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB,
         GR, IE, IS, IT, LU, MC, ML, MR, MT, NE, NL, NO, PT, SE, SN, TD, TG, TR
PRAI US 2007-2P 20071023
     US 2008-62400P 20080125
```

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph, naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkv1, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un)substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond,

CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-yl)-2- thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4,5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.1

1014615-97-4P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

1014615-97-4 CAPLUS RN

CN

3H-1,2,4-Triazole-3,5(4H)-dione, 4-[(5R)-3-[2-[4-[2-[5-bromo-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-oxazolyl]-4,5dihydro-5-isoxazolyl]- (CA INDEX NAME)

Absolute stereochemistry.

- L6 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- 2009:701287 CAPLUS Full-text AN
- DN 150:554522
- Heterocyclic compounds as fungicides and their preparation and fungicidal mixtures
- IN Gregory, Vann; Pasteris, Robert James
- PA E. I. Du Pont De Nemours and Company, USA
- SO PCT Int. Appl., 498pp.
 - CODEN: PIXXD2

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		TENT I				KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
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		GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,
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		SC.	SD.	SE.	SG.	SK.	SL.	SM.	ST.	SV.	SY.	T.T.	TM.	TN.	TR			

GR, IE, IS, IT, LU, MC, ML, MR, MT, NE, NL, NO, PT, SE, SN, TD, TG, TR PRAI US 2007-2P-20071023

US 2008-62400P 20080125

GI

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph, naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un) substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-yl)-2- thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4,5-dihydro-5phenylisoxazol-3-v1)-2-thiazolv1]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 1014615-37-21

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

10/574,612

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

- RN 1014615-37-2 CAPLUS
- CN 1H-Pyrrole-2,5-dione, 1-[(5R)-3-[2-[1-[2-[5-bromo-3-(trifluoromethyl)-1H-pyrazol-1-y]]acetyl]-4-piperidinyl]-4-oxazolyl]-4,5-dihydro-5-isoxazolyl]-(CA INDEX NAME)

Absolute stereochemistry.

- L6 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2009:701286 CAPLUS Full-text
- DN 150:554521
- TI Heterocyclic compounds as fungicides and their preparation and fungicidal mixtures
- IN Gregory, Vann; Pasteris, Robert James
- PA E. I. Du Pont De Nemours and Company, USA
- SO PCT Int. Appl., 498pp.
- CODEN: PIXXD2

DT LA	Patent English PATENT				KIN	D	DATE		i	APPL	ICAT	ION I	NO.		Di	ATE		
PT	WO 2009			2		-	2009	0430	ToTa		08-X				21	0081		
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		CN.																
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	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,	
	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ТJ,	TM,	TN,	TR				
	RW: AT,	BE,	BF,	ΒJ,	CF,	CG,	CH,	CI,	CM,	CY,	DE,	DK,	ES,	FI,	FR,	GA,	GB,	
	GR,	IE,	IS,	ΙT,	LU,	MC,	ML,	MR,	MT,	NE,	NL,	NO,	PT,	SE,	SN,	TD,	TG,	TR
PRAI	US 200"				-													
	US 2008	3-624	00P	200	8012	5												

GI

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition. Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph. naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un) substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-yl)-2-thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4.5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.1

IT 1014617-12-9P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

RN 1014617-12-9 CAPLUS

CN 1H-Pyrrole-2,5-dione, 1-[(5R)-3-[2-[4-[2-[5-ethyl-3-(trifluoromethyl)-1Hpyrazol-1-yl]acetyl]-1-piperazinyl]-4-thiazolyl]-4,5-dihydro-5-isoxazolyl]-(CA INDEX NAME)

Absolute stereochemistry.

10/574,612

L6 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2009:701285 CAPLUS Full-text

US 2008-62400P 20080125

GI

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DN
    150:554520
ΤI
    Heterocyclic compounds as fungicides and their preparation and fungicidal
    mixtures
IN
    Gregory, Vann; Pasteris, Robert James
    E. I. Du Pont De Nemours and Company, USA
    PCT Int. Appl., 498pp.
SO
    CODEN: PIXXD2
DT
    Patent
    English
LA.
                       KIND DATE
                                                               DATE
    PATENT NO.
                                         APPLICATION NO.
                         20090430 WO 2008-XH80850
    WO 2009055514 A2
    W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
        CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB,
        GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
        KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN,
        MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,
        SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR
    RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB,
        GR, IE, IS, IT, LU, MC, ML, MR, MT, NE, NL, NO, PT, SE, SN, TD, TG, TR
PRAI US 2007-2P 20071023
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Page 107 of 155

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition. Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph. naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un) substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-yl)-2-thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4.5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.l

T 1014615-38-3P

CN

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

RN 1014615-38-3 CAPLUS

3H-1,2,4-Triazole-3,5(4H)-dione, 4-[(5R)-3-[2-[1-[2-[5-bromo-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-oxazolyl]-4,5-dihydro-5-isoxazolyl]- (CA INDEX NAME)

L6 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2009:701284 CAPLUS Full-text

PRAI US 2007-2P 20071023 US 2008-62400P 20080125

GI

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DN
    150:554519
ΤI
    Heterocyclic compounds as fungicides and their preparation and fungicidal
    mixtures
IN
    Gregory, Vann; Pasteris, Robert James
    E. I. Du Pont De Nemours and Company, USA
    PCT Int. Appl., 498pp.
SO
    CODEN: PIXXD2
DT
    Patent
    English
LA.
                       KIND DATE
                                                               DATE
    PATENT NO.
                                         APPLICATION NO.
                         20090430 WO 2008-XG80850
    WO 2009055514 A2
    W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
        CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB,
        GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
        KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN,
        MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,
        SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR
    RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB,
        GR, IE, IS, IT, LU, MC, ML, MR, MT, NE, NL, NO, PT, SE, SN, TD, TG, TR
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Page 109 of 155

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition. Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph. naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un) substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-yl)-2-thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4.5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.1

T 1014618-26-8P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

RN 1014618-26-8 CAPLUS

CN 3H-1,2,4-Triazole-3,5(4H)-dione, 4-[(5R)-3-[2-[4-[2-[5-ethyl-3-(trifluoromethyl)-lH-pyrazol-1-yl]acetyl]-l-piperazinyl]-4-oxazolyl]-4,5dihydro-5-isoxazolyl]- (CA INDEX NAME)

L6 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2009:701283 CAPLUS Full-text

150:554518

DN

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ΤI
    Heterocyclic compounds as fungicides and their preparation and fungicidal
    mixtures
IN
    Gregory, Vann; Pasteris, Robert James
    E. I. Du Pont De Nemours and Company, USA
    PCT Int. Appl., 498pp.
SO
    CODEN: PIXXD2
DT
    Patent
    English
LA.
                       KIND DATE
                                                               DATE
    PATENT NO.
                                         APPLICATION NO.
                         20090430 WO 2008-XF80850
    WO 2009055514 A2
    W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
        CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB,
        GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
        KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN,
        MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,
        SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR
    RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB,
        GR, IE, IS, IT, LU, MC, ML, MR, MT, NE, NL, NO, PT, SE, SN, TD, TG, TR
PRAI US 2007-2P 20071023
    US 2008-62400P 20080125
GI
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AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition. Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph. naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un) substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-yl)-2-thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4.5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.l

IT 1014614-80-2P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

RN 1014614-80-2 CAPLUS CN 1H-Pyrrole-2,5-dione

1H-Pyrrole-2,5-dione, 1-[(5R)-3-[2-[4-[2-[5-bromo-3-(trifluoromethyl)-1Hpyrazol-1-yl]acetyl]-1-piperazinyl]-4-thiazolyl]-4,5-dihydro-5-isoxazolyl]-(CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2009:701282 CAPLUS Full-text

PRAI US 2007-2P 20071023 US 2008-62400P 20080125

GI

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DN
    150:554517
ΤI
    Heterocyclic compounds as fungicides and their preparation and fungicidal
    mixtures
IN
    Gregory, Vann; Pasteris, Robert James
    E. I. Du Pont De Nemours and Company, USA
    PCT Int. Appl., 498pp.
SO
    CODEN: PIXXD2
DT
    Patent
    English
LA.
                       KIND DATE
                                                               DATE
    PATENT NO.
                                         APPLICATION NO.
                         20090430 WO 2008-XE80850
    WO 2009055514 A2
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        CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB,
        GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
        KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN,
        MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,
        SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR
    RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB,
        GR, IE, IS, IT, LU, MC, ML, MR, MT, NE, NL, NO, PT, SE, SN, TD, TG, TR
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Page 113 of 155

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition. Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph. naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un) substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-yl)-2-thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4.5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.1

T 1014708-11-2P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

RN 1014708-11-2 CAPLUS

CN 3H-1,2,4-Triazole-3,5(4H)-dione, 4-[(5R)-3-[2-[4-[2-[2-chloro-5-(trifluoromethyl)phenyl]acetyl]-1-piperazinyl]-4-thiazolyl]-4,5-dihydro-5-isoxazolyl]- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2009:701184 CAPLUS Full-text

PRAI US 2007-2P 20071023 US 2008-62400P 20080125

GI

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DN
    150:554516
ΤI
    Heterocyclic compounds as fungicides and their preparation and fungicidal
    mixtures
IN
    Gregory, Vann; Pasteris, Robert James
    E. I. Du Pont De Nemours and Company, USA
    PCT Int. Appl., 498pp.
SO
    CODEN: PIXXD2
DT
    Patent
    English
LA.
                        KIND DATE
                                                                DATE
    PATENT NO.
                                          APPLICATION NO.
                              20090430 WO 2008-XD80850
    WO 2009055514 A2
    W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
        CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB,
        GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
        KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN,
        MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,
        SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR
    RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB,
        GR, IE, IS, IT, LU, MC, ML, MR, MT, NE, NL, NO, PT, SE, SN, TD, TG, TR
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Page 115 of 155

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph. naphthvl and 5- t0 6-membered heteroarvl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un) substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-y1)-2-thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4.5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.1

IT 1151983-60-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREF (Preparation)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

RN 1151983-60-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

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L6 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
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AN 2009:701183 CAPLUS Full-text

DN 150:554515

ΤI Heterocyclic compounds as fungicides and their preparation and fungicidal mixtures

Gregory, Vann; Pasteris, Robert James IN

PA E. I. Du Pont De Nemours and Company, USA SO PCT Int. Appl., 498pp.

CODEN: PIXXD2

DT LA	Eng	ent glish																	
	PA:	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D)	ATE		
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PI	WO	2009	0555	14 A	2			2009	0430	W	20	08-X	2808	50		2	0081	023	
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		KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	MG,	MK,	MN,	
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		SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,	TM,	TN,	TR				
	RW	AT,	BE,	BF,	ВJ,	CF,	CG,	CH,	CI,	CM,	CY,	DE,	DK,	ES,	FI,	FR,	GA,	GB,	
		GR,	ΙE,	IS,	IT,	LU,	MC,	ML,	MR,	MT,	NE,	NL,	NO,	PT,	SE,	SN,	TD,	TG,	TR
PRAI	US	2007	-2P	200	7102	3													
	US	2008	-624	00P	200	8012	5												
0.7																			

GI

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph. naphthvl and 5- t0 6-membered heteroarvl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un) substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-y1)-2-thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4.5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.1

IT 1151983-62-8P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

RN 1151983-62-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

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L6 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
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AN 2009:701182 CAPLUS Full-text

DN 150:554514

ΤI Heterocyclic compounds as fungicides and their preparation and fungicidal mixtures

Gregory, Vann; Pasteris, Robert James IN

PA E. I. Du Pont De Nemours and Company, USA

SO PCT Int. Appl., 498pp. CODEN: PIXXD2

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PI	WO	2009	0555	14 A	2			2009	0430	W	0 20	08-X	B808	50		2	0081	023	
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PRAI	US	2007	-2P	200	7102	3													
	US	2008	-624	00P	200	8012	5												
0.7																			

GI

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IT 1151983-61-7P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREF (Preparation)

RN 1151983-61-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

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L6 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
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AN 2009:690682 CAPLUS Full-text

DN 150:529951

ΤI Heterocyclic compounds as fungicides and their preparation and fungicidal mixtures

Gregory, Vann; Pasteris, Robert James IN

PA E. I. Du Pont De Nemours and Company, USA SO PCT Int. Appl., 498pp.

CODEN: PIXXD2

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	DATEME	2.7

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PI	WO	2009			2			2009	0430	W	0 20	08-X	A808	50		2	0081	023	
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		GD,	GE,	GH,	GM,	GT,	HN,	HR.	HU,	ID,	IL,	IN,	IS,	JP,	KE.	KG,	KM,	KN,	
		GD, GE, GH, GI KP, KR, KZ, LJ				LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	MG,	MK,	MN,	
		MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,	
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	TJ,	TM,	TN,	TR				
	RW:	AT,	BE,	BF,	ВJ,	CF,	CG,	CH,	CI,	CM,	CY,	DE,	DK,	ES,	FI,	FR,	GA,	GB,	
		GR,	ΙE,	IS,	IT,	LU,	MC,	ML,	MR,	MT,	NE,	NL,	NO,	PT,	SE,	SN,	TD,	TG,	TR
PRAI	I US 2007-2P 200710					3													
	US	2008	-624	00P	200	8012	5												

GI

AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition. Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph. naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un) substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-yl)-2-thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4.5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.1

T 1014616-54-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); USES (Uses); PREP (Preparation)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

RN 1014616-54-6 CAPLUS CN 1H-Pyrrole-2,5-dione

1H-Pyrrole-2,5-dione, 1-[(5R)-3-[2-[1-[2-[5-ethyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolyl]-4,5-dihydro-5-isoxazolyl]-(CA INDEX NAME)

Absolute stereochemistry.

- L6 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2009:552835 CAPLUS Full-text
- DN 150:515149
- TI Biarylcarboxmides as P2X3 receptor antagonists for treatment of pain and their preparation
- IN Burgey, Christopher S.; Nguyen, Diem N.; Paone, Daniel V.; Potteiger, Craig M.; Vacca, Joseph P.
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 121pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

FAN.		ENT I	NO.			KIN	D	DATE			APPL	ICAT	ION I	.00		D	ATE	
PI	WO	2009	0582	99		A1		2009	0507		WO 2	008-	US12:	271		2		
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			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
			KG,	KM,	KN,	ΚP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	TJ,
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
			TG,	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
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PRAI		2007						2007	1031									
	US	2008	-132	178P		P		2008	0616									
OS	MAF	PAT	150:	5151	49													

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The subject invention relates to compds. of formula I as P2X3 receptor antagonists that play a critical role in treating disease states associated with pain, in particular peripheral pain, inflammatory pain, or tissue injury pain that can be treated using a P2X3 receptor subunit modulator. Compound of formula I wherein X and Y are independently N and CRI; A is (un)substituted 5—membered heteroaryl ring; Rl is H, Cl-6 alkyl, halo, (CH2)0-4-CF3, C3-10 cvcloalkyl, CN; R2 is H and Cl-6 alkyl, R3 is CR2AHS; NR2R3 taken together to

form (un)substituted CS-10 heterocyclyl; R4 and R5 are independently H, C(H2)0-4-OP2, CHF2, C(H2)0-4-C5-10 heterocyclyl, etc.; and pharmaceutically acceptable salts, enantiomers and diastereoisomers thereof, are claimed. Example compound II was prepared by amidation of 3(5-methylpyridin-3-yl)-5-(SS)-5-pyridin-2-yl-4,5- dihydroisoxazol-3-yl)lenzoic acid with (IR)-[6-trifluoromethylpyridin-3-yl]ethanamine hydrochloride. All the invention compds. were evaluated for their PZX3 receptor antagonistic activity. From the assay, it was determined that compound II exhibited IC50 value of 10 nM. 1149:750-13-79

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of biarylcarboxamides as P2X3 receptor antagonists useful in the treatment of pain)

RN 1149750-13-9 CAPLUS

CN 4-Pyridinecarboxamide, 2-[4,5-dihydro-5-(2-pyridiny1)-3-isoxazoly1]-6-(2fluoro-4-methylpheny1)-N-[(IR)-1-[6-(trifluoromethy1)-3-pyridiny1]ethy1]-(CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2009:519929 CAPLUS Full-text

DN 150:494853

TI Heterocyclic compounds as fungicides and their preparation and fungicidal mixtures

IN Gregory, Vann; Pasteris, Robert James

PA E. I. Du Pont De Nemours and Company, USA

SO PCT Int. Appl., 498pp.

CODEN: PIXXD2 DT Patent

DI Patent

LA English

FAN CNT 1

	PA:	CENT :	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE		
							_												
PI	WO	2009	0555	14		A2		2009	0430		WO 2	008-	US80:	850		2	0081	023	
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			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
	FI, GB,				GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	
			KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	

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ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
             PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
             IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2007-2P
                          P
                                20071023
     US 2008-62400P
                                20080125
     MARPAT 150:494853
os
GI
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AB Disclosed is a fungicidal composition comprising of at least one compound selected from the compds. of formula I N-oxides, and salts thereof, and at least one addnl. fungicidal compound Also disclosed is a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of the aforesaid composition Also disclosed is a composition comprising component of aforesaid composition and at least one insecticide. Compds. of formula I wherein dashed bond is single or double bond; R1 is (un) substituted Ph, naphthyl and 5- t0 6-membered heteroaryl; A is CHR15 and NH and derivs.; R15 is H, halo, CN, OH, CHO. C1-4 alkyl, SH, amino, C1-6 hydroxyalkyl, etc.; W is O and S; X is aminoalkyl, alkyl, alkenyl, etc.; each R2 is halo, CN, OH, C1-4 alkyl, etc.; G is (un)substituted 5-membered heteroaryl and 5-membered (un)saturated heterocycle; J is (un)substituted 5to 7- membered ring, (un)substituted 8- to 11-membered bicyclic ring and (un) substituted 7- to 11-membered spirocycle; n is 0, 1, and 2; Z1 is a bond, CO, S, SO, SO2, NH and derivs., CH2, etc.; and N-oxides and salts thereof are claimed. Example compound II was prepared by cyclization of 1,1-dimethylethyl 4-(4-formyl-2-thiazolyl)-1-piperidinecarboxylate with styrene; the resulting 1,1-dimethylethyl 4-[4-(4,5-dihydro-5-phenylisoxazol-3-y1)-2-thiazolyl]-1piperidinecarboxylate underwent deprotection to give 4-[4-(4,5-dihydro-5phenylisoxazol-3-yl)-2-thiazolyl]-1-piperidine, which underwent acetylation with 5-methyl-3-(trifluoromethyl)-1H-pyrazole-1- acetic acid to give compound II. All the example compds. in combination with other fungicides were evaluated for their fungicidal activity (some data given). [This abstract record is one of 16 records for this document necessitated by the large number

Page 125 of 155

of index entries required to fully index the document and publication system constraints.]

1003317-49-4P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as fungicides and their fungicidal mixts. for controlling plant fungal diseases)

1003317-49-4 CAPLUS

RN Ethanone, 1-[4-[4-[4,5-dihydro-5-(2-pyridiny1)-3-isoxazoly1]-2-thiazoly1]-CN 1-piperidiny1]-2-[5-methy1-3-(trifluoromethy1)-1H-pyrazol-1-y1]- (CA INDEX NAME)

- ANSWER 22 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- 2009:98222 CAPLUS Full-text AN
- DN 151:220951
- Synthesis of some pyridine, thiopyrimidine, and isoxazoline derivatives based on the pyrrole moiety
- AII Radwan, Mohamed A. A.; Abbas, Eman M. H.
- CS Applied Organic Chemistry Department, National Research Centre, Dokki, Cairo, Egypt
- SO Monatshefte fuer Chemie (2009), 140(2), 229-233 CODEN: MOCMB7; ISSN: 0026-9247
- SpringerWienNewYork PB
- DT Journal
- LA. English
- AB Condensation of 2-acetylpyrrole with 5-methylfuran-2-carboxaldehyde and 4chlorobenzaldehyde in 20% NaOH give the corresponding 2-chalconylpyrroles. Some new 2-alkoxy-3-cyano-4,6-diarylpyridines were synthesized by condensation of chalcones with malononitrile, followed by cyclization in sodium alkoxide. The reactivity of chalcones towards nitrogen nucleophiles such as thiourea and hydroxylamine hydrochloride to provide thiopyrimidines and isoxazolines was investigated. Graphical Abstract
- ΙT 1174916-20-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of pyridine, thiopyrimidine, and isoxazoline derivs. based on the pyrrole moiety)

RN 1174916-20-1 CAPLUS

CN Isoxazole, 4.5-dihvdro-5-(5-methvl-2-furanvl)-3-(1H-pvrrol-2-vl)- (CA INDEX NAME)

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- 1.6 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN 2008:1481515 CAPLUS Full-text AN
- DN 150:16695
- TΙ Synergistic fungicidal mixtures containing isoxazoles
- IN Renner, Jens; Ulmschneider, Sarah; Dietz, Jochen; Haden, Egon
- PA BASF SE, Germany so
 - PCT Int. Appl., 88pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN	CNT	1

	PATENT	NO.		KIN	D	DATE		i		ICAT				Dž	ATE	
PI	WO 2008	148859		A2 A3		2008		1		008-				20	00800	505
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		ME, M	M, KN, IG, MK, PT, RO, ER, TT,	MN, RS,	MW, RU,	MX, SC,	MY, SD,	MZ, SE,	NA, SG,	NG, SK,	NI, SL,	NO, SM,	NZ, SV,	OM,	PG,	PH,
	RW:	IE, I TR, E TG, E	BE, BG, S, IT, BF, BJ, BW, GH, AZ, BY,	LT, CF, GM,	LU, CG, KE,	LV, CI, LS,	MC, CM, MW,	MT, GA, MZ,	NL, GN, NA,	NO, GQ, SD,	PL, GW, SL,	PT, ML, SZ,	RO, MR, TZ,	SE, NE,	SI, SN,	SK, TD,
PRAI OS	EP 2007	-10968	31			2007		,	,	,			***			

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Synergistic fungicidal mixts. comprise (1) a fungicidal compound I (R1 = AB alkyl, alkoxyalkyl, haloalkyl, arylalkyl, aryl, heteroaryl; R2 = alkyl, alkoxyalkyl, haloalkyl, arylalkyl, aryl, heteroaryl, 5-pyrimidinyl, thiazolyl; R3 = H, alkyl, alkoxyalkyl, haloalkyl, arylalkyl, aryloxyalkyl, arylthioalkyl, aryl, heteroaryl, alkylsilyl; R4 = H, acyl, haloacyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl) or a salt thereof

and (2) a fungicidal compound selected from azoles, strobilurins, carboxamides, heterocylic compds., carbomates, and other active compds. in synergistically effective amts. Thus, $3-(4-{\rm chlorophenyl})-6-(4-{\rm fluorophenyl})-4-(3-{\rm pyridyl}){\rm hydroxymethyl}{\rm lisoxazole} + {\rm pyraclostrobin}$ at 1 + 0.016 ppm showed synergistic activity against rice blast (Pyricularia oryzae) in a microtiter plate test.

- IT 886084-34-4U, 3-(5-Chloro-2-thienyl)-5-(5-chloro-2-thienyl)-4[(3-pyridyl)hydroxymethyl]isoxazole, mixts. containing
 RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
 (as synergistic funcicides)
- RN 880084-34-4 CAPLUS
- CN 3-Pyridinemethanol, α -[3,5-bis(5-chloro-2-thienyl)-4-isoxazolyl]-(CA INDEX NAME)

- L6 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:1138511 CAPLUS Full-text
- DN 149:524566
- TI Discovery and optimization of substituted piperidines as potent, selective, CNS-penetrant $\alpha 4\beta 2$ nicotinic acetylcholine receptor potentiators
- AU Albrecht, Brian K.; Berry, Virginia; Boezio, Alessandro A.; Cao, Lei; Clarkin, Kristie; Guo, Wenhong; Harmange, Jean-Christophe; Hierl, Markus; Huang, Liyue; Janosky, Brett; Knop, Johannes; Malmberg, Annika; McDermott, Jeff S.; Nguyen, Hung Q.; Springer, Stephanie K.; Waldon, Daniel; Woodin, Katrina; McDonohy, Stefan I.
- CS Department of Medicinal Chemistry, Amgen Inc., Cambridge, MA, USA
- SO Bioorganic & Medicinal Chemistry Letters (2008), 18(19), 5209-5212 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 149:524566
- AB The discovery of a series of small mol. $\alpha 4 \beta 2$ nAChR potentiators is reported. The structure-activity relationship leads to potent compds. selective against nAChRs including $\alpha 3 \beta 2$ and $\alpha 3 \beta 4$ and optimized for CNS penetrance. Compds. increased currents through recombinant $\alpha 4 \beta 2$ nAChRs, yet did not compete for binding with the orthosteric ligand cytisine. High potency and efficacy on the rat channel combined with good PK properties will allow testing of the $\alpha 4 \beta 2$ potentiator mechanism in animal models of disease.
- IT 1076223-93-2P
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 - (Discovery and optimization of substituted piperidines as potent, selective, CNS-penetrant $\alpha 4\beta 2$ nicotinic acetylcholine

receptor potentiators)

- RN 1076223-93-2 CAPLUS



- OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
- RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
- ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:634957 CAPLUS Full-text
- DN 149:79528
- TI Synthesis of 5-(Thiazol-5-yl)-4,5-dihydroisoxazoles from 3-Chloropentane-2,4-dione
- AU Milinkevich, Kristin A.; Ye, Long; Kurth, Mark J.
- CS Department of Chemistry, University of California, Davis, CA, 95616, USA
- SO Journal of Combinatorial Chemistry (2008), 10(4), 521-525
- CODEN: JCCHFF; ISSN: 1520-4766
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 149:79528
- CI

AB Condensation of 3-chloropentane-2, 4-dione with thioamides gives 1-(thiazol-5-yl)ethanones and subsequent Wittig olefination, followed by nitrile oxide 1,3-dipolar cycloaddn. to the resulting prop-1-en-2-yl moiety, delivers racemic 5-(thiazol-5-yl)-4,5-dihydroisoxazoles, e.g. I. When this thiazole and isoxazoline diheterocyclic scaffold has a carboethoxy substituent at C2 of the

thiazole ring, aminolysis provides for effective diversification. A 50-member library of various 5-(thiazol-5-yl)-4,5-dihydroisoxazoles is reported.

1034058-06-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 5-(thiazol-5-yl)-4,5-dihydroisoxazoles by cyclocondensation of 3-chloropentane-2,4-dione with thioamides and subsequent Wittig olefination followed by nitrile oxide 1,3-dipolar cycloaddn. and aminolysis)

1034058-06-4 CAPLUS RN

CN 2-Thiazolecarboxylic acid, 5-[4,5-dihydro-5-methyl-3-(3-pyridinyl)-5isoxazolvl]-4-methvl-, ethvl ester (CA INDEX NAME)

OSC. G THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 26 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN 1.6

AN 2008:487093 CAPLUS Full-text

DN 148:419520

TΙ Fungicidal azocyclic amides

IN Pasteris, Robert James; Hanagan, Mary Ann; Shapiro, Rafael

PA E. I. du Pont de Nemours and Company, USA

SO PCT Int. Appl., 298 pp.

CODEN: PIXXD2

DT Patent English

LA

FAN.		4																
	PAT	ENT I	. OV			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
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PI	WO	2008	0139	25		A2		2008	0131		WO 2	007-	XA16	875		2	0070	727
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			BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM									
	WO	2008	0136	22		A2		2008	0131		WO 2	007-	US14	647		2	0070	522
	WO	2008	0136	22		A3		2008	0327									
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
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GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MF, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, TR, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TI, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LU, VM, CM, TN, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,

BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRAI US 2006-833824P P 20060727
US 2007-897173P P 20070124
WO 2007-UIS14647 A 20070622

AB Disclosed are azocyclic amides, including geometric and stereoisomers, Noxides, and salts thereof, comps. containing such compds., and methods for controlling plant diseases caused by fungal pathogens by applying an effective amount of such a compound or composition Thus, spraying tomato seedlings with a suspension 4-14-(4,5-dhydro-5-phenyl-3-isoxazolyl)-2-thiazolyl]-1-[[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]piperidine at a rate equivalent to 500 g/ha provided 100% control of late blight disease caused by Phytophthora infestans. [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 1014991-92-4P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(azocyclic amides and their use as fungicides for controlling plant diseases)

RN 1014991-92-4 CAPLUS

CN 1H-Pyrrole-2,5-dione, 1-[(5R)-4,5-dihydro-3-[2-[4-[2-[3-methyl-5-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-oxazolyl]-5-isoxazolyl]- (CA INDEX NAME)

Absolute stereochemistry.

- L6 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:484502 CAPLUS Full-text
- DN 148:396134
- TI Fungicidal azocyclic amides
- IN Pasteris, Robert James; Hanagan, Mary Ann; Shapiro, Rafael
- PA E. I. du Pont de Nemours and Company, USA
- SO PCT Int. Appl., 294 pp.
- CODEN: PIXXD2
- DT Patient

LA English

FAN.	CNT	4																
	PA:	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
PΙ	WO	2008	0136	22		A2		2008	0131		WO 2	007-	XA14	647		2	0070	622
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			GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
			KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
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			TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
			ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
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PRAI	US 2006-833824P					P		2006	0727									
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US 2007-897173P 20070124

AB Disclosed are azocyclic amides including geometric and stereoisomers, N oxides, and salts thereof. Also claimed are compns. containing certain of these compds. and methods for controlling plant disease caused by a fungal pathogen by applying an effective amount of a compound or a composition of the invention. Thus, 4-[4-(4,5-dihydro-5-phenyl-3-isoxazolyl)-2-thiazolyl]-1-[[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]piperidine (prepared) at a rate equivalent to 500 g/ha provided 100% disease control of downy mildew on grape seedlings inoculated with a spore suspension of Plasmopara viticola. [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.1.

IT 1014991-92-4P

> RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(azocyclic amides and their use as fungicides for controlling plant diseases)

RN 1014991-92-4 CAPLUS

CN 1H-Pyrrole-2,5-dione, 1-[(5R)-4,5-dihydro-3-[2-[4-[2-[3-methyl-5-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-oxazolyl]-5isoxazolyl]- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

2008:122192 CAPLUS Full-text AN

DN 148:185136

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TI Fungicidal azocyclic amides
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- IN Pasteris, Robert James; Hanagan, Mary Ann; Shapiro, Rafael
- PA E. I. du Pont de Nemours and Company, USA
- SO PCT Int. Appl., 298 pp. CODEN: PIXXD2

WO 2007-US16875

- DT Patent
- LA English

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	TN	2008				A	110	2009	0327		IN 2	008-	DN99	0.0		2	0081	127
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		2009				Α		2009			MX 2						0090	
		2009				A		2009			KR 2			83			0090	
PRAI		2006				P		2006										
	US	2007	-897	173P		P		2007	0124									
	WO	2007	-US1	4647		A		2007	0622									

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 148:185136

20070727

W

Disclosed are azocyclic amides, including geometric and stereoisomers, Noxides, and salts thereof, compos. containing such compds., and methods for controlling plant diseases caused by fungal pathogens by applying an effective amount of such a compound or composition Thus, spraying tomato seedlings with a suspension 4-[4-(4,5-dihydro-5-phenyl-3-isoxazolyl)-2-thiazolyl]-1-[[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]piperidine at a rate

equivalent to 500 g/ha provided 100% control of late blight disease caused by Phytophthora infestans. [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.] 1014614-80-2P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(as fungicide for controlling plant diseases)

1014614-80-2 CAPLUS RN

CN 1H-Pyrrole-2,5-dione, 1-[(5R)-3-[2-[4-[2-[5-bromo-3-(trifluoromethy1)-1Hpyrazol-1-vl]acetvl]-1-piperazinvl]-4-thiazolvl]-4.5-dihydro-5-isoxazolvl]-(CA INDEX NAME)

Absolute stereochemistry.

- L6 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:122190 CAPLUS Full-text

DN 148:185135

- ΤI Fungicidal azocyclic amides
- Pasteris, Robert James; Hanagan, Mary Ann; Shapiro, Rafael IN
- E. I. du Pont de Nemours and Company, USA

SO PCT Int. Appl., 294 pp.

CODEN: PIXXD2

Patent DT

LA English

FAN.		2																
	PAT	ENT :	.OV			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
							-											
PI	WO	2008	0136	22		A2		2008	0131		WO 2	007-	US14	647		2	0070	622
	WO	2008	0136	22		A3		2008	0327									
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,
			GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	KΕ,	KG,
			KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
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		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
			IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
			GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
			BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑP,	EA,	EP,	OA					
	AU	2007	2771	57		A1		2008	0131		AU 2	007-	2771	57		2	0070	727
	CA	2653	640			A1		2008	0131		CA 2	007-	2653	640		2	0070	727
	WO	2008	0139	25		A2		2008	0131		WO 2	007-	US16	875		2	0070	727

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WO 2008013925
                         A3
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            CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
            GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
            KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
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            PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
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            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
            GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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    EP 2049111
                         A2
                               20090422 EP 2007-836278
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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            AL, BA, HR, MK, RS
    MX 2009000920
                         Α
                               20090204
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                                                                  20090123
    KR 2009033496
                         Α
                              20090403
                                          KR 2009-704083
                                                                 20090226
PRAI US 2006-833824P
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                               20060727
                       P
    US 2007-897173P
                              20070124
    WO 2007-US14647
                       A
                             20070622
    WO 2007-US16875
                               20070727
OS
    MARPAT 148:185135
AB
    Disclosed are azocyclic amides including geometric and stereoisomers, N
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Disclosed are azocyclic amides including geometric and stereoisomers, N oxides, and salts thereof. Also claimed are compns. containing certain of these compds. and methods for controlling plant disease caused by a fungal pathogen by applying an effective amount of a compound or a composition of the invention. Thus, 4-[4-(4,5-dihydro-5-phenyl-3-isoxazolyl)-2-thiazolyl]-1-[[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]piperidine (prepared) at a rate equivalent to 500 g/ha provided 100% disease control of downy mildew on grape seedlings inoculated with a spore suspension of Plasmopara viticola. [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

T 1003317-88-1

RN

RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(as fungicide for controlling plant diseases) 1003317-88-1 CAPLUS

Ethanone, 1-[4-[4-[5-(2,5-dichloro-3-thieny1)-4,5-dihydro-5-methy1-3-isoxazoly1)-2-thiazoly1)-1-piperidiny1]-2-[5-methy1-3-(trifluoromethy1)-1H-pyrazol-1-y1]- (CA INDEX NAME)

L6 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

DN 148:144684

TI Synthesis of new 3,5-diarylisoxazolidines by cycloaddition of oxaziridines and alkenes

AU Fabio, Marilena; Ronzini, Ludovico; Troisi, Luigino

CS Dipartimento di Scienze e Tecnologie Biologiche ed Ambientali, University of Lecce, Lecce, 73100, Italy

SO Tetrahedron (2007), 63(52), 12896-12902 CODEN: TETRAB; ISSN: 0040-4020

PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 148:144684

No Nome 3

- AB This article reports a novel process of cycloaddn. of C-aryloxaziridines with a variety of arylalkenes to afford stable, five-membered heterocycles, e.g., I. The steric hindrance of the tert-Bu group on the nitrogen atom of the oxaziridine is responsible for the high stereoselectivity of the cycloaddn. reaction.
- IT 1001367-07-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (3,5-diarylisoxazolidines via stereoselective cycloaddn. of
 aryloxaziridines with arylalkenes)
- RN 1001387-07-0 CAPLUS

CN Pyridine, 2-[(3R,5S)-2-(1,1-dimethylethyl)-3-(4-pyridinyl)-5isoxazolidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

- OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
 RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 31 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2007:1061197 CAPLUS Full-text
- DN 147:385984
- TI Imidazolidinedione derivatives and their preparation, pharmaceutical compositions, and use for the treatment of inflammatory disorders
- IN Yu, Wensheng; Tong, Ling; Chen, Lei; Kozlowski, Joseph A.; Lavey, Brian J.; Shih, Neng-Yang; Madison, Vincent S.; Zhou, Guowei; Orth, Peter; Guo, Zhuyan; Wong, Michael K. C.; Yang, De-Yi; Kim, Seong Heon; Shankar,

Bandarpalle B.; Siddiqui, M. Arshad; Rosner, Kristin E.; Dai, Chaoyang; Popovici-Muller, Janeta; Girijavallabhan, Vinay M.; Li, Dansu; Rizvi, Razia; Micula, Aneta M.; Feltz, Robert.

PA Schering Corporation, USA

SO U.S. Pat. Appl. Publ., 430pp., Cont.-in-part of U.S. Ser. No. 333,663. CODEN: USXXCO

DT Patent LA English

FAN.CNT 3 PATENT NO. KIND DATE APPLICATION NO. DATE US 20070219218 PT A1 20070920 US 2007-653676 20070116 US 7488745 20090210 B2 US 20060205797 A1 20060914 US 2005-180863 20050713 US 7482370 B2 20090127 US 20060276506 A1 20061207 US 2006-333663 20060117 IIS 7504424 B2 20090317 US 20090137586 A1 20090528 US 2008-338445 20081218 PRAI US 2004-588502P 20040716 P US 2005-180863 A2 20050713 US 2006-333663 A2 20060117 US 2007-653676 A3 20070116

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 147:385984

GI

AB This invention relates to imidazolidinedione derivs. I [X = S, (un)substituted CH2 or NH; T = H, alkyl, aryl, etc.; U = absent, a bond, O, etc.; V = absent, alkyl, aryl, etc.; Y, Z = absent, a bond, O, etc.; Rl, R2 = H, halo, alkyl, etc.; R4 = H, alkyl, cycloalkyl, etc.] or a pharmaceutically acceptable salt, solvate, ester or isomer thereof, which can be useful for the treatment of diseases or conditions mediated by MMPs, ADAMs, TACE, aggrecanase, TNF- or combinations thereof. Thus, amidation of 5-methoxy-2-nitrobenzoic acid with 5-(aminomethyl)-5-methylimidazolidine-2,4-dione followed by reduction and cyclization of the resulting N-(2,4-dioxo-5-methylimidazolidin-5-yimethyl) 5-methoxy-2-nitrobenzamide afforded the title compound II. The invention compds. I were evaluated for their antiinflammatory activity. For example, II exhibited Ki value in the range of 100 to 1000 nM.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted imidazolidinediones for treatment and prevention

of inflammatory disorders)

RN 950174-22-8 CAPLUS

CN 2,4-Imidazolidinedione, 5-[(1,3-dihydro-6-methoxy-1-oxo-2H-isoindo1-2-y1)methyl]-5-[3-(4-pyridinyl)-5-isoxazolyl]-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L6 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:827455 CAPLUS Full-text

DN 148:379529

TI Synthesis and antibacterial studies of some novel isoxazoline derivatives

AU Shah, Tejaskumar; Desai, Vikas

CS Department of Chemistry, B. K. M. Science College, Valsad, 396001, India

O Journal of the Serbian Chemical Society (2007), 72(5), 443-449

CODEN: JSCSEN; ISSN: 0352-5139

PB Serbian Chemical Society

DT Journal

LA English

OS CASREACT 148:379529

GI

were screened for in vitro antibacterial activity using gram-pos. and gramneq. bacteria.

1014127-49-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antibacterial activity of [(dichlorofluorophenyl)-2-furanylpyrazolinyl]isoxazolines)

1014127-49-1 CAPLUS RN

Isoxazole, 3-[3-(2,4-dichloro-5-fluorophenyl)-5-(2-furanyl)-4,5-dihydro-1H-CN pyrazol-1-yl]-4,5-dihydro-5-(2-thienyl)- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 19 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:730867 CAPLUS Full-text

DN 147:111908

TΙ Preparation of 5-arylisoxazolines as insecticides and acaricides

Lahm, George Philip; Patel, Kanu Maganbhai; Pahutski, Thomas Francis, Jr.; Smith, Benjamin Kenneth

PΛ E. I. du Pont de Nemours and Company, USA

PCT Int. Appl., 127 pp. SO CODEN: PIXXD2

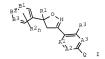
Patent DT

LA English

		ENT:				KIN		DATE				ICAT					ATE	
PI		2007						2007	0705			006-					0061	
	WO	2007	0754	59		A3		2008	0131									
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	ΚE,	KG,	KM,	KN,
			KΡ,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
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			TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW						
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								TM,										
	AU	2006	3298	56		A1											0061	215
		2626						2007									0061	
	EP	1966																
		R:						CZ,										
							LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	ΑL,
			BA,	HR,	MK,	RS												

	JP	2009519953	T	20090521	JP	2008-545857	20061215
	US	20090133319	A1	20090528	US	2008-83944	20080421
	IN	2008DN03407	A	20080815	IN	2008-DN3407	20080424
	MX	2008007634	A	20080701	MX	2008-7634	20080612
	CN	101331127	A	20081224	CN	2006-80047429	20080616
	KR	2008080189	A	20080902	KR	2008-717188	20080715
PRAI	US	2005-751226P	P	20051216			
	US	2005-752511P	P	20051221			
	US	2006-849037P	P	20061003			
	WO	2006-US47999	W	20061215			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 147:111908; MARPAT 147:111908



- AB The 5-arylisoxazolines I [Al, A2, A3 = CR3 or N, Bl, B2, B3 = CR2 or N; Q = (un)substituted Ph or 5- or 6-membered saturated or unsatd. heterocyclyl; R1 = (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylcycloalkyl or cycloalkylalkyl; R2 = H, halo, CN, NO2, (thalo)alkyl, (halo)alkoxy, etc.; R3 = H, halo, CN, NO2, (un)substituted NH2, C(O)NH2, C(S)NH2, CO2H, (halo)alkyl, etc.; n = 1 or 2], its isomers, N-oxides and salts, are prepared as insecticides and acaricides.
- IT 1045407-99-5
 - RL: PRPH (Prophetic)
 - (Preparation of 5-arylisoxazolines as insecticides and acaricides)
- RN 1045407-99-5 CAPLUS
- CN Pyridine, 5-[5-(2-chloro-4-pyridiny1)-4,5-dihydro-5-(trifluoromethy1)-3isoxazoly1]-3-methy1-2-(1H-1,2,4-triazol-1-y1)- (CA INDEX NAME)

- OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
- L6 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2007:33450 CAPLUS Full-text
- DN 146:142662
- TI Preparation of piperidinyl azoles as G-protein coupled receptor (GPR119)

agonists.

IN Bradley, Stuart Edward; Dawson, Graham John; Fyfe, Matthew Colin Thor; Bertram, Lisa Sarah; Gattrell, William; Jeevaratnam, Revathy Perpetua; Keily, John; Mistry, Neela Sumit; Procter, Martin James; Rasamison, Chrystelle Marie; Rushworth, Philip John; Sambrook-Smith, Colin Peter; Stonehouse, David French

PA Prosidion Limited, UK

SO PCT Int. Appl., 80pp.

CODEN: PIXXD2

DT Patent

LA English FAN.CNT 1

		TENT I															ATE	
PI		2007				 A1		2007									0060	
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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			GE,	GH,	GM,	HN,	HR.	HU,	ID,	IL,	IN,	IS,	JP,	KE.	KG,	KM,	KN,	KP,
			KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
			MW,	MX,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,
			SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,
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		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
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			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	KZ,	MD,	RU,	TJ,	TM										
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			BA,	HR,	MK,	RS												
	JP	2008	5450	07		T		2008	1211		JP 2	008-	5200	06		2	0060	629
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	CN	1012	8772	9		A		2008	1015		CN 2	006-	8003	2110		2	0080	229
PRAI	GB	2005	-132	57		A		2005	0630									
	GB	2006	-553	9		A		2006	0320									
	WO	2006	-GB5	0176		W		2006	0629									
OS	MAI	RPAT :	146:	1426	62													
GI																		

AB Title compds. [I] V = (alkyl-substituted) 5-membered heteroaryl; A = CH:CH, (CH2)n; B = CH:CH, (CH2)n, where 1 CH2 group may be replaced by 0, NR5, CO, SOm, CO2, etc.; m = 0-2; n = 0-3; p = 0-3; p = 1-5; p+q = 2-5; G = CHR12, NR2; R1 = (substituted) Ph, 5-6 membered heteroaryl; R2 = COZR3, SOZR3, COR3, (substituted) heterocyclyl, heteroaryl, etc.; R3 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, heteroaryl, etc.; R5 = H, alkyl; R12 = alkyl], were prepared Thus, tert-Bu 4-(N-hydroxycarbamimidoylmethoxy)piperidine-1-carboxylate (preparation given) and KCCMe3 in Me2SO were sonicated followed by addition of Me 3-cyano-4-methoxybenyolate and stirring for 15 h at 60° to give tert-Bu 4-(5-(3-cyano-4-methoxyphenyl)-1,2,4-oxadiazol-3-ylmethoxylpiperidine-1-carboxylate

Representative I increased insulin secretion from HIT-T15 cells with EC50 $^{<\!10}$ μM_{\bullet}

IT 918965-87-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Usee)

(preparation of piperidinyl azoles as G-protein coupled receptor (GPR119) agonists)

RN 918965-87-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[5-[3-(1-methyl-1H-pyrazol-4-yl)-5-isoxazolyl]-1,2,4-oxadiazol-3-yl]methoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN AN 2006:707591 CAPLUS Full-text

DN 145:211028

TI Preparation of aryl-substituted isoxazolidines as agrochemical fungicides

IN Cheng, Chunsheng; Li, Zhinian; Zhang, Baoyan; Li, Tao; Zhang, Hong

PA Shenyang Research Institute of Chemical Industry, Peop. Rep. China

SO Faming Zhuanli Shenging Gongkai Shuomingshu, 12 pp.

CODEN: CNXXEV

DT Patent LA Chinese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI CN 1690050 A 20051102 CN 2004-10020467 20040427

PRAI CN 2004-10020467 20040427

CS CASSEACT 145:211028: MARPAT 145:211028

GI

$$\begin{array}{c} \text{(X)} \text{ n} \\ \text{R} \\ \text{I} \\ \text{II} \\ \text{MeO} \\ \end{array}$$

- AB The title aryl-substituted isoxazolidines I (wherein X = H, halo, cyano, nitro, alkoxy, alkyl, or haloalkyl; n = 1-5; Y = CH or N; R = (cycloalkyl, alkenyl, alkynyl, aryl, etc.; R1 = H, alkyl, alkenyl, alkynyl, etc.; R2, R3 and R5 = independently H, (cyclo)alkyl, alkoxy, etc.; R4 = aryl; with provisos], or geometrical, optical isomers, or argrochem. acceptable salts thereof were prepared as fungicides. For example, C-(4-methoxyphenyl)-N-methylnitrone (preparation given) was reacted with 3-methoxystyren in toluene to give II (75%). II showed 90-100% fungicidal activity against cucumber milder.
- IT 904668-49-1P
 - RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of aryl-substituted isoxazolidines as agrochem. fungicides)
- RN 904668-49-1 CAPLUS
- CN Pyridine, 4,4'-(2,3-dimethyl-3,5-isoxazolidinediyl)bis- (CA INDEX NAME)

- L6 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN AN 2006:269517 CAPLUS <u>Full-text</u> DN 144:312077
- TI Preparation of substituted isoxazoles as fungicides
- IN Lee, Shy-Fuh; Gliedt, Micah
- PA Cropsolution, Inc., USA
- SO PCT Int. Appl., 56 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT	NO.			KIN	D	DATE		1	APPL	ICAT	ION	NO.		D	ATE	
						-									-		
PI	WO 2006	0316	31		A1		2006	0323	1	WO 2	005-	US32	080		2	0050	909
	W:	W: AE, AG, AL,				AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN, CO, CR,				CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		LC, LK, LR, NG, NI, NO,				OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		NG, NI, NO, SL, SM, SY,				TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,

Page 143 of 155

				ZM,														
		RW:										, ES,						
												, RO,						
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML	, MR,	NE,	SN,	TD,	TG,	BW,	GH,
			GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	ΚZ,	MD,	RU,	ΤJ,	TM										
	US	2006	0073	971		A1		2006	0406		US	2005-	2216	70		2	0050	908
	US	7338	967			B2		2008	0304									
	AU	2005	2851:	30		A1		2006	0323		AU	2005-	2851	30		2	0050	909
	CA	2579	199			A1		2006	0323		CA	2005-	2579	199		2	0050	909
	EP	1794	167			A1		2007	0613		EP	2005-	7965	86		2	0050	909
		R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	, ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL	, PT,	RO,	SE,	SI,	SK,	TR	
	CN	1010	6112	5		A		2007	1024		CN	2005-	8003	7941		2	0050	909
	JP	2008	5124	82		T		2008	0424		JP	2007-	5313	48		2	0050	909
	BR	2005	0151	8 0		A		2008	0701		BR	2005-	1510	8		2	0050	909
	IN	2007	DN01	722		A		2007	0803		IN	2007-	DN17	22		2	0070	305
	z_{A}	2007	0020			A		2008	0827		ZA	2007-	2045			2	0070	308
	MX	2007	0029	29		A		2007	0816		MX	2007-	2929			2	0070	309
	KR	2007	0585	99		A		2007	0608		KR	2007-	7081	14		2	0070	410
	US	2008	0096	843		A1		2008	0424		US	2007-	5748	92		2	0070	820
	US	2008	0167	350		A1		2008	0710		US	2008-	4105	8		2	0800	303
PRAI	US	2004	-608	589P		P		2004	0910									
	US	2004	-616	017P		P		2004	1005									
	US	2005	-221	670		A1		2005	0908									
	WO	2005	-US3	2080		W		2005	0909									
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 144:312077

GI

- AB Title compds. represented by the formula I [wherein Rl = (alkoxy)alkyl, haloalkyl, (un)substituted heteroarpl, etc.; R2 = (halo)alkyl, (un)substituted arylalkyl, aryl, etc.; R3 = H, (halo)alkyl, (un)substituted aryl, etc.; R4 = H, (halo)acyl, alkoxycarbonyl, aryloxycarbonyl or (di)alkylaminocarbonyl; and their salts thereof] were prepared as fungicides. For example, reaction of 2,4-dichloro-N-hydroxybenzenecarboximidoyl chloride with 1-(3-pyridyl)-3-(3-chlorophenyl)-2-propyn-1-ol gave II. II were tested for fungicided activity against B. cinerea, P. infestans, S. nodorum and S. tritici, and fungicide turf and cereal trial.
- IT 880984-34-4P, 3-(5-Chloro-2-thienyl)-5-(5-chloro-2-thienyl)-4[(3-pyridyl)hydroxymethyl]isoxazole
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN
 (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
- (preparation of substituted isoxazole derivs. as fungicides) $\ensuremath{\mathtt{RN}} = 880084 34 4$ CAPLUS

CN 3-Pyridinemethanol, α -[3,5-bis(5-chloro-2-thieny1)-4-isoxazoly1]-(CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:1027301 CAPLUS Full-text

DN 143:439793

- TI Investigations on regio- and stereoselectivities in cycloadditions involving a-(3-pyridyl)-N-phenylnitrone: Development of an efficient route to novel nicotine analogs
- AU Singh, Gurpinder; Ishar, M. P. S.; Girdhar, Navdeep K.; Singh, Lakhwinder
- CS Department of Pharmaceutical Sciences, Guru Nanak Dev University, Amritsar, 143 005, India
- SO Journal of Heterocyclic Chemistry (2005), 42(6), 1047-1054 CODEN: JHTCAD: ISSN: 0022-152X
- PB HeteroCorporation
- DT Journal
- LA English
- OS CASREACT 143:439793
- Thermal reactions of hitherto α -(3-pyridyl)-N-phenylnitrone (1) with mono-AB substituted electron-rich and electron-neutral dipolarophiles are regio-, and stereo-selective (exo-selective), controlled by LUMO - dipole - HOMOdipolarophile interaction, and furnish syn-5-substituted-3-(3-pyridy1)isoxazolidines (5) in high yields. With electron deficient dipolarophiles such as acrylonitrile there is observed a loss of regioselectivity as well as stereoselectivity and the regioselectivity is reversed in reactions with Me vinvl ketone and Me acrylate, due to intervention of HOMO-dipole - LUMOdipolarophile interaction, affording 4-substituted-3-(3-pyridyl)isoxazolidines (7) as major products. Reactions of nitrone (1) with disubstituted dipolarophiles such as Me methacrylate and Et coronate furnish Me syn-5-methy-3-pyridyl-1-phenyl-isoxazolidine-5-carboxylate (8) and Et anti-5-methy-3-pyridyl-1-phenyl-isoxazolidine-4-carboxylate (10), resp., in high yields. Reaction with N-Phenylmaleimide affords novel isoxazolidinopyrrolidinediones bearing a 3-pyridyl moiety (11, 12). A mechanistic rationalization of the obtained results in terms of electronic, steric and secondary interactions is proffered.
- IT 868694-55-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (regio- and stereoselectivities in cycloaddns. involving

- α -(3-pyridy1)-N-phenylnitrone)
- RN 868694-55-7 CAPLUS
- CN Pyridine, 3-[(3R,5S)-2-phenyl-5-(4-pyridinyl)-3-isoxazolidinyl]-, rel-(CA INDEX NAME)

Relative stereochemistry.

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:971246 CAPLUS Full-text

DN 143:248341

- TI Synthetic pathways to a family of pyridine-containing azoles-promising ligands for coordination chemistry
- AU Nuriev, Vyatsheslav N.; Zyk, Nikolay V.; Vatsadze, Sergey Z.
- CS Organic Chemistry Chair, Chemistry Department, M. V. Lomonosov Moscow State University, Moscow, 119992, Russia
- SO ARKIVOC (Gainesville, FL, United States) (2005), (4), 208-224 CODEN: AGFUAR

URL: http://www.arkat-usa.org/ark/journal/2005/I04_Zefirov/1534/1534.pdf
PB Arkat USA Inc.

- DT Journal; (online computer file)
- LA English
- OS CASREACT 143:248341
- AB A series of pyridine-containing pyrazoles, isoxazoles, imidazoles, oxazoles, thiazoles, oxadiazoles, triazoles, and 1,3,4-triazepines were synthesized as potential conjugated building blocks for the construction of coordination compds.
- IT 129485-55-8P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of pyridyl-substituted pyrazoles, isoxazoles, imidazoles, oxazoles, thiazoles, thia
- RN 129485-55-8 CAPLUS
- CN Pyridine, 3,3'-(3,5-isoxazolediyl)bis- (9CI) (CA INDEX NAME)



- OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:346860 CAPLUS Full-text
- DN 142:411346

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TI Preparation of azole derivatives as anti-inflammatory compounds
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IN Al-Abed, Yousef; Tracey, Kevin J.

PA North Shore-Long Island Jewish Research Institute, USA

SO PCT Int. Appl., 56 pp. CODEN: PIXXD2

DT Patent

LA English

L PHA .		TENT :	NO.			KIN	D	DATE		1	APPL		-	NO.		D	ATE	
PI		2005				A2		2005		1	WO 2	004-		986		2	0041	007
	WO	2005 W:				A3		2005		D2	DD	D.C.	DD	DW	DV	DZ	C7	CII
		w:						AU, DE,										
								ID,										
			LK, LR, LS, NO, NZ, OM,															
			NO, NZ, OM, TJ, TM, TN,															
		RW:						MW,										
			ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
			SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
			SN,	TD,	TG													
	US	2007	SN, TD, TG 070021465			A1		2007	0125	1	US 2	006-	5746	12		2	0060	715
PRAI	US	2003	:007-0021465 :003-560719P			P		2003	1007									
	US	2003	-516	027P		P		2003	1031									
	WO	2004	-US3	2986		W		2004	1007									

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 142:411346; MARPAT 142:411346

GT

AB Compds. of formula (I) [Ar1, Ar2 = independently a monocyclic six-member optionally substituted heteroaryl; A1 = =N- or -NRa-; A2 = O or S; Ra = H or C1-6 alkyl; R1 = H, C1-6 alkyl, Ph, C1-6 haloalkyl, halogen, OH, ORb, C1-6 hydroxyalkyl, C1-6 alkoxyalkyl, C1-6 haloalkoxy, SH, SRb, NO2, cyano, NRbCO2Rb, NRbC(O)Rb, CO2Rb, C(O)Rb, -C(O)N(Rb)2, -OC(O)Rb, -NRbRb; Rb = H or C1-C6 alkvll or pharmaceutically acceptable salts thereof are prepared Pharmaceutical compns. comprising compds. of formula I and a method of treating a subject with an inflammatory cytokine-mediated disorder comprising administering to the subject a compound of formula I are also disclosed. Inflammatory cytokine-mediated disorders include peritonitis, pancreatitis, ulcerative colitis, Crohn's disease, asthma, organ ischemia, reperfusion ischemia, sepsis, cachexia, burns, myocardial ischemia, adult respiratory distress syndrome, multiple sclerosis, rheumatoid arthritis, systemic lupus erythematosus, chronic obstructive pulmonary disease, psoriasis, Behcet's syndrome, allograft rejection, and graft-vs.-host disease. Thus, a stirring solution of 3-pyridinecarboxaldehyde oxime (3.00 q, 24.6 mmol) and 4vinylpyridine (8.0 mL, 75 mmol) in THF (60 mL) was chilled by an ice bath, slowly treated with a 5% solution of NaOC1 (95 mL) through an addition funnel, and after removing the ice bath the reaction mixture was allowed to warm to room temperature and quenched with 5% citric acid to give, after workup and

silica gel chromatog., 3-(3-pyridyl)-5-(4-pyridyl)-4,5-dihydroisoxazole (II).
II inhibited high-mobility group box-1 (HMGB-1) protein production in LPSstimulated PAW cells in a dose-dependent manner.

IT 950422-74-1P, 3-(3-Pyridyl)-5-(4-pyridyl)-4,5-dihydroisoxazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of azole derivs. as inflammatory cytokine production inhibitors and

anti-inflammatory agents)

RN 850422-74-1 CAPLUS

CN Pyridine, 3-[4,5-dihydro-5-(4-pyridinyl)-3-isoxazolyl]- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:311613 CAPLUS Full-text

DN 143:1566

TI Cholinergic stimulation blocks endothelial cell activation and leukocyte recruitment during inflammation

AU Saeed, Rubina W.; Varma, Santosh; Peng-Nemeroff, Tina; Sherry, Barbara; Balakhaneh, David; Huston, Jared; Tracey, Kevin J.; Al-Abed, Yousef; Metz, Christine N.

CS Laboratory of Medicinal Biochemistry, Institute for Medical Research at North Shore-LIJ, Manhasset, NY, 11030, USA

SO Journal of Experimental Medicine (2005), 201(7), 1113-1123 CODEN: JEMEAV: ISSN: 0022-1007

PB Rockefeller University Press

DT Journal

LA English

AB

Endothelial cell activation plays a critical role in regulating leukocyte recruitment during inflammation and infection. Based on recent studies showing that acetylcholine and other cholinergic mediators suppress the production of proinflammatory cytokines via the α 7 nicotinic acetylcholine receptor (@7 nAChR) expressed by macrophages and the authors' observations that human microvascular endothelial cells express the α 7 nAChR, the authors examined the effect of cholinergic stimulation on endothelial cell activation in vitro and in vivo. Using the Shwartzman reaction, the authors observed that nicotine (2 mg/kg) and the novel cholinergic agent CAP55 (12 mg/kg) inhibit endothelial cell adhesion mol. expression. Using endothelial cell cultures, the authors observed the direct inhibitory effects of acetylcholine and cholinergic agents on tumor necrosis factor (TNF)-induced endothelial cell activation. Mecamylamine, an nAChR antagonist, reversed the inhibition of endothelial cell activation by both cholinergic agonists, confirming the antiinflammatory role of the nAChR cholinergic pathway. In vitro mechanistic studies revealed that nicotine blocked TNF-induced nuclear factor-κB nuclear entry in an inhibitor κB ($I\kappa B$) α - and $I\kappa B\varepsilon$ -dependent manner. Finally, with the carrageenan air pouch model, both vagus nerve stimulation and cholinergic

agonists significantly blocked leukocyte migration in vivo. These findings identify the endothelium, a key regulator of leukocyte trafficking during inflammation, as a target of anti-inflammatory cholinergic mediators.

IT 850422-78-5, CAP 55

RL: BSU (Biological study, unclassified); BIOL (Biological study) (cholinergic agent; cholinergic stimulation blockade of endothelial cell activation and leukocyte recruitment during inflammation and mechanisms thereof)

RN 850422-78-5 CAPLUS

CN Pyridine, 3,3'-(4,5-dihydro-3,5-isoxazolediyl)bis- (CA INDEX NAME)



osc.G 76 THERE ARE 76 CAPLUS RECORDS THAT CITE THIS RECORD (77 CITINGS) THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 48 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:120903 CAPLUS Full-text

DN 142:219266

Preparation of isoxazole derivatives having sulfonamide moiety as MMP TI inhibitors

Watanabe, Fumihiko; Yoshikawa, Naoki; Tamura, Yoshinori TN

PA Shionogi & Co., Ltd., Japan

PCT Int. Appl., 103 pp. SO

CODEN: PIXXD2 Patent

DT LA Japanese

	PATEN	T NO.			KIN	D	DATE					ION :			D	ATE	
ΡI	WO 20	05012	268		A1		2005	0210							2	0040	728
	W	: AE	, AG,	AL.	AM.	AT.	AU.	AZ.	BA.	BB.	BG.	BR.	BW.	BY.	BZ.	CA.	CH
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		GE	, GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC
		LF	, LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI
		NO	, NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY
		TJ	, TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	R	W: BV	, GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM
		A2	, BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK
		EB	, ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE
		SI	, SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE
		SN	, TD,	TG													
	EP 16	50199			A1		2006	0426		EP 2	004-	7480	09		2	0040	728
	R	: A1	, BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE	, SI,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK				
	US 20	06018	3770		A1		2006	0817		US 2	006-	5659	48		2	0060	126
PRAI	JP 20	03-28	2354		A		2003	0730									
	WO 20	04-JE	10697		W		2004	0728									
ASSI	GNMENT	HIST	ORY F	OR U	S PA	TENT	AVA	ILAB:	LE I	N LS	US D	ISPL.	AY F	ORMA'	Г		

OS MARPAT 142:219266

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I [W = II, etc.; R1 = NHOH, OH, alkyloxy; R2, R21 = H, (un)substituted alkyl, etc.; R3 = H, (un)substituted alkyl, etc.; R4 = (un)substituted arylene, etc.; R5 = III; R6 = (un)substituted aryll were prepared For example, reaction of compound IV with 4-ethynyltoluene in the presence of N-chlorosuccinimide followed by hydrolysis using NaOH afforded compound V in 64% overall yield. In NMP-12 (matrix metalloprotease-12) enzyme inhibition assays, the IC50 value of compound V was 70.7 nM. Compds. I are claimed useful as NMP inhibitors. Formulations are given.
- IT 840533-04-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoxazole derivs. having sulfonamide moiety as MMP inhibitors)

- RN 840533-04-2 CAPLUS
- CN L-Valine, N-[[5-[3-(5-methyl-2-thienyl)-5-isoxazolyl]-2-thienyl]sulfonyl](CA INDEX NAME)

Absolute stereochemistry.

$$\text{Me} \quad \text{S} \quad \text{Pr-i}$$

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2004:1080775 CAPLUS Full-text
- DN 142:56307
- TI Preparation of hydantoin derivatives as inhibitors of tumor necrosis factor- α converting enzyme (tace)
- IN Duan, Jingwu; Xue, Chu-Biao; Sheppeck, James; Jiang, Bin; Chen, Lihua
- PA Bristol-Myers Squibb Company, USA
- SO PCT Int. Appl., 101 pp. CODEN: PIXXD2
- DT Patent
- LA English
- DAM ONE 1

PAN.	CMI	1																
	PAT	TENT	NO.			KIN	D	DATE			APPL	ICAT	I NOI	.00		D	ATE	
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PI	WO	2004	1080	86		A2		2004	1216		WO 2	004-	US17	538		2	0040	603
	WO	WO 2004108086						2005	0331									
		W: AE, AG, AL,				AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
		GE, GH, GM, LK, LR, LS,				LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,

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TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
    US 20040254231
                         A1
                               20041216
                                          US 2004-858978
                                                                  20040602
                         B2
                               20061107
    US 7132432
    EP 1628974
                         A2
                              20060301
                                          EP 2004-776254
                                                                  20040603
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
PRAI US 2003-476287P
                        P
                              20030605
    WO 2004-US17538
                         W
                               20040603
OS.
    MARPAT 142:56307
GΙ
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The authors prepared hydantoin derivs. I [R1 = Q, C1-C6 alkylene-Q, AB (CRaRa1)tNRaSO2NRa(CRaRa1)s-Q, etc.; L = bond, CO, (CR2R3)m, R2 = Q1, C2-C6 alkenylene-Q1, C2-C6 alkynylene-Q1, (CRaRa1)rOC(O)NRa(CRaRa1)s-Q1, etc.; R3 = Q, C1-C6 alkylene-Q, C2-C6 alkenylene-Q, C2-C6 alkynylene-Q, (CRaRal)rO(CRaRal)s-Q, etc.; Q = H, CHF2, CH2F, CF3, carbocycle, heterocycle; Q1 = H, carbocycle, heterocycle; Z0 = heterocycle; R11 = W-U-X-Y-Z-Ua-Xa-Ya-Za; W = bond, (CRaRa1)m, C2-C3 alkylene, C2-C3 alkynylene; U = none, O, NRa1, CO, CO2, CONRa1, etc.; X = none, C1-C3 alkylene, C2-C3 alkenylene, C2-C3 alkynylene; Y = none, O, NRa1, S(O)p, CO; Z = C3-C13 carbocycle, heterocycle; Ua = none, O, NRa1, CO, S(O)pNRa1, etc.; Xa = none, C1-C10 alkylene, C2-C10 alkenylene, C2-C10 alkynylene; Ya = none, O, NRa1, S(O)p, CO; Za = C3-C13 carbocycle, heterocycle; Ra = H, C1-C6 alkyl, Ph, PhCH2; Ra1 = H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkylnyl, etc.; R4, R5 = H, C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl; m = 1-3; p = 0-2; r = 0-4; s = 0-4; t = 1-4] to be used as inhibitors of matrix metalloproteinases (MMP), $TNF-\alpha$ converting enzyme (TACE), and aggrecanase and for treating inflammatory disorders. For example, hydantoin derivative II was prepared starting from 4-HOC6H4CHO and 4chloromethyl-2-methylquinoline which upon reaction gave aldehyde III. III was reacted with hydroxylamine to give the oxime which added to acrolein to give isoxazolecarbaldehyde IV. IV was then converted to the hydantoin II upon treatment with KCN/(NH4)2CO3/EtOH/H2O.

IT 809238-50-4P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydantoin derivs. as inhibitors of TNF- α converting enzyme, matrix metalloproteinases, and aggrecanase and for treating inflammatory disorders)

RN 809238-50-4 CAPLUS

2,4-Imidazolidinedione, 5-[4,5-dihydro-5-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-5-(2-thienyl)-3-isoxazolyl]-5-methyl- (CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS) RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:555896 CAPLUS <u>Full-text</u>

DN 141:243387

TI Reaction of 3,5-dicyanoisoxazoles with nucleophiles

AU Tamura, Mina; Nishimura, Tae; Nishiwaki, Nagatoshi; Ariga, Masahiro

CS Department of Chemistry, Osaka Kyoiku University, Osaka, 582-8582, Japan

SO Heterocycles (2004), 63(7), 1659-1665

CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry

DT Journal

LA English

OS CASREACT 141:243387

GT

AB Cyano groups on 3,5-dicyanoisoxazole readily caused nucleophilic addition of alcs. (or amines) to give corresponding imidates (or amidines).

Dicyanoisoxazoles was also converted to 3,5-bis(imidazolinyl)isoxazoles upon treatment with 1,2-diamines. For example, the addition of methanol to 4-(4-methylphenyl)-3,5-isoxazoledicarbonitrile gave a (cyano)isoxazolecarboximidic acid Me ester (I) (15% yield) and a isoxazoledicarboximidic acid ester (II) (85% yield) at 65°.

IT 749216-96-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of bis(imidazolyl)isoxazole by reaction of isoxazoledicarbonitrile with ethanediamine)

- RN 749216-96-4 CAPLUS
- CN Isoxazole, 3,5-bis(4,5-dihydro-1H-imidazol-2-yl)-4-(4-methylphenyl)- (CA INDEX NAME)

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PAGE 2-A

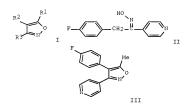
- L6 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2004:177876 CAPLUS Full-text
- DN 140:235698
- Preparation of 4-[4-(4-fluorophenyl)-isoxazol-3-yl]pyridines as immunomodulators
- IN Laufer, Stefan; Striegel, Hans-Guenter; Tollmann, Karola; Albrecht, Wolfgang
- PA Merckle G.m.b.H. Chem.-Pharm. Fabrik, Germany
- SO Ger. Offen., 22 pp.
- CODEN: GWXXBX
- DT Patent
- LA German

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	CA 2495	964			A1		2004	0304		CA 2	003-	2495	964		2	0030	819
	WO 2004	0179	68		A1		2004	0304		WO 2	003-	EP91	91		2	0030	819
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		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,
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		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG

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			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
	US	2006	0128	759		A1		2006	0615	1	US 2	005-	52483	39		2	0050	913
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	WO	2003	-EP9	191		W		2003	0819									
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AB Title compds. I [RI = H, alkyl, aromatic; R2, R3 = aromatic heterocyclic (sic)] and their pharmaceutically acceptable salts were prepared For example, condensation of oxime II, e.g., prepared from 4-fluorophenylacetic acid in 2-steps, and acetic acid Et ester afforded isoxazole III. In p38 MAP kinase inhibition assays, 11-examples of compds. I exhibited IC50 values ranging from 0.4-6.75 x 10-5 M, e.g., the IC50 value of isoxazole III was 6.75 x 10-5 M. Compds. I are claimed to possess immune modulating and/or cytokine release inhibiting effects.

IT 666861-62-7P

GΙ

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fluorophenylisoxazolpyridines as immunomodulators)

RN 666861-62-7 CAPLUS

CN Pyridine, 4,4'-[4-(4-fluorophenyl)-3,5-isoxazolediyl]bis- (9CI) (CA INDEX NAME)

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PAGE 2-A

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

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